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SESSION RESUMED IN FILE 'HOME' AT 09:16:22 ON 19 MAR 2009

FILE 'HOME' ENTERED AT 09:16:22 ON 19 MAR 2009

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 09:16:30 ON 19 MAR 2009

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STRUCTURE FILE UPDATES: 17 MAR 2009 HIGHEST RN 1122748-29-1

DICTIONARY FILE UPDATES: 17 MAR 2009 HIGHEST RN 1122748-29-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

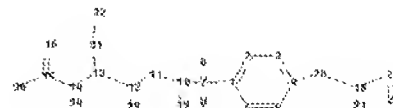
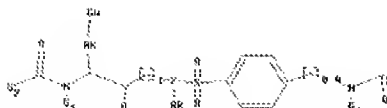
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on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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Uploading C:\Program Files\STNEXP\Queries\10555712 C(O) bonded to N.str

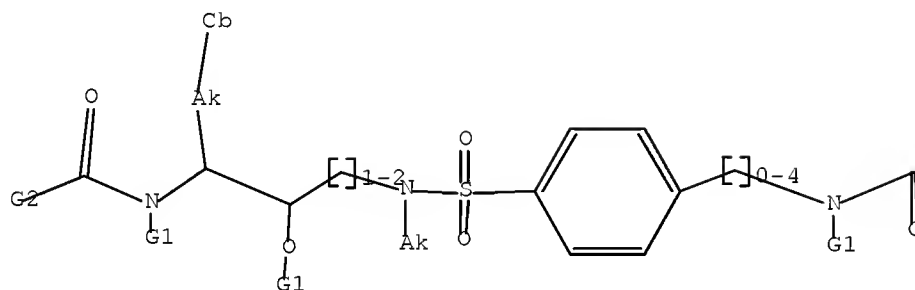


L1 STRUCTURE UPLOADED

=> d L1

L1 HAS NO ANSWERS

L1 STR



G1 H, Ak

G2 O, Cb, Cy, Hy, Ak

Structure attributes must be viewed using STN Express query preparation.

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.48

0.70

FILE 'CAPLUS' ENTERED AT 09:16:49 ON 19 MAR 2009

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 19 Mar 2009 VOL 150 ISS 12  
FILE LAST UPDATED: 18 Mar 2009 (20090318/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l1 SSS full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 09:16:52 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 637 TO ITERATE

100.0% PROCESSED 637 ITERATIONS 134 ANSWERS  
SEARCH TIME: 00.00.01

L2 134 SEA SSS FUL L1

L3 40 L2

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 40 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1179905 CAPLUS Full-text

DOCUMENT NUMBER: 149:425919

TITLE: Carbonylaminoalkyl sulfonamide derivatives as HIV-1 protease inhibitors

INVENTOR(S): Ali, Akbar; Altman, Michael D.; Anjum, Saima Ghafoor; Cao, Hong; Chellappan, Sripriya; Fernandes, Miguel X.; Gilson, Michael; Kairys, Visvaldas; King, Nancy; Nalivaika, Ellen; Prabu, Moses; Rana, Tariq M.; Garudammagari Sai, Kiran Kumar Reddy; Schiffer, Celia A.; Tidor, Bruce

PATENT ASSIGNEE(S): University of Massachusetts, USA; University of Maryland Biotechnology Institute; Massachusetts Institute of Technology

SOURCE: PCT Int. Appl., 199pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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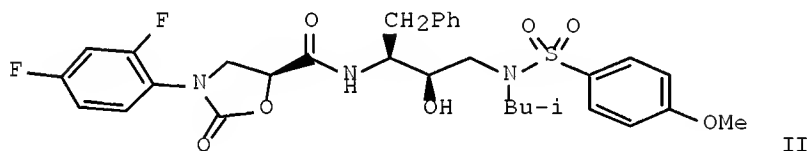
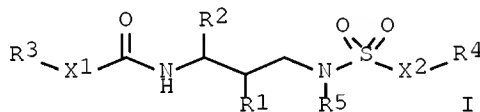
WO 2008118849 A2 20081002 WO 2008-US58004 20080324  
 WO 2008118849 A3 20081218

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 FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,  
 KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,  
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 PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,  
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 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.:

US 2007-919819P P 20070323  
 US 2007-919896P P 20070323  
 US 2007-941786P P 20070604  
 US 2007-941829P P 20070604

OTHER SOURCE(S): MARPAT 149:425919  
 GI



AB Described are protease inhibitors of formula I and methods for using said protease inhibitors in the treatment of human immunodeficiency virus (HIV) infection. Comps. of formula I wherein X1 and X2 are independently O, S, NH and derivs., and cyclopropyl; R1 is OH, SH and NH2 and derivs.; R2 is H, alkyl, cycloalkyl, heterocyclyl, (hetero)aryl, etc.; R3 is H, alkyl, alkenyl, amino, aminoalkyl, amido, etc.; R4 is alkyl, cycloalkyl, (hetero)aryl, etc.; R5 is H, alkyl, cycloalkylalkyl, amino, aminoalkyl, etc.; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention comps. were evaluated for their HIV-1 protease inhibitory activity. From the assay, it was determined that compound II exhibited Ki value of 0.063 nM.

IT 918543-67-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

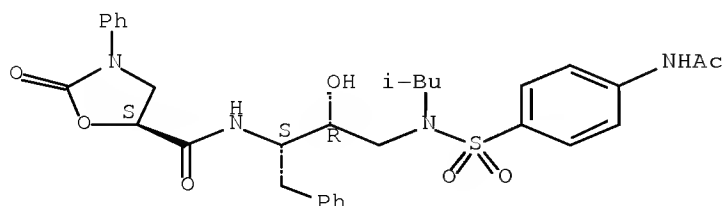
(drug candidate; carbonylaminoalkyl sulfonamide derivs. as HIV-1 protease inhibitors useful in treatment of immunodeficiency virus infection)

RN 918543-67-6 CAPLUS

CN 5-Oxazolidinecarboxamide, N-[(1S,2R)-3-[[[4-

(acetylamino)phenyl]sulfonyl] (2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-oxo-3-phenyl-, (5S)- (CA INDEX NAME)

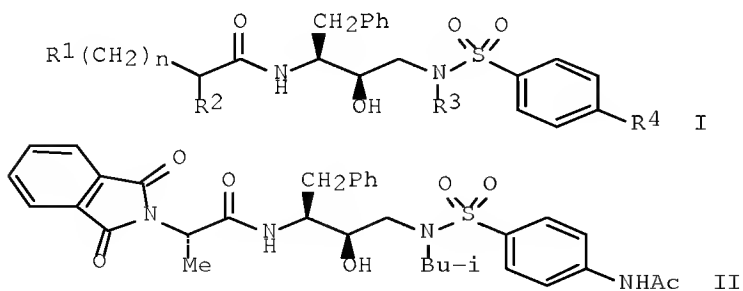
Absolute stereochemistry.



L3 ANSWER 2 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2007:1258696 CAPLUS Full-text  
 DOCUMENT NUMBER: 147:542133  
 TITLE: Preparation of pseudo peptides as HIV-1 protease inhibitors for the treatment of AIDS  
 INVENTOR(S): Yang, Ming; Zhang, Hang; Deng, Xiaomin  
 PATENT ASSIGNEE(S): Peking University, Peop. Rep. China  
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101062909	A	20071031	CN 2006-10076169	20060428
PRIORITY APPLN. INFO.:			CN 2006-10076169	20060428
OTHER SOURCE(S):		CASREACT 147:542133; MARPAT 147:542133		

GI



AB Pseudo peptides I [wherein R1 = phthalimido, (un)substituted phenoxy, naphthyloxy, etc.; R2 = H, alkyl, CH2OH or =CH2; R3 = i-Bu, t-Bu, benzyl, etc.; R4 = H, alkyl, methoxy, etc.; n = 0-3] and pharmaceutically acceptable salts, which are useful HIV-1 protease inhibitors in the treatment of AIDS (no data), were prepared For instance, II was synthesized in 80% yield by

IT 957468-63-2P 957468-64-3P 957468-65-4P

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(preparation of pseudo peptides as HIV-1 protease inhibitors for treatment
of AIDS)
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CN 2H-Isoindole-2-acetamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-1,3-dihydro- $\alpha$ -methyl-1,3-dioxo-, ( $\alpha$ S)-  
(CA INDEX NAME)

C[C@H](C(=O)N[C@@H](Cc1ccccc1)[C@H](O)CN(CCC)c2ccc(NC(=O)c3ccccc3)cc2)c4c(=O)c5ccccc45C(=O)n5c4ccccc5

CN Acetamide, N-[ (1S,2R)-3-[ [4-(acetylamino)phenyl]sulfonyl] (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-(2,6-dimethylphenoxy)- (CA INDEX NAME)

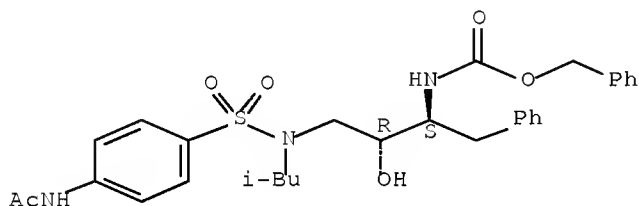
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CN 2H-Isoindole-2-acetamide, N-[ (1S,2R)-3-[[4-(  
(acetylamino)phenyl)sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(  
(phenylmethyl)propyl)-1,3-dihydro- $\alpha$ -(2-methylpropyl)-1,3-dioxo-,  
( $\alpha$ S)- (CA INDEX NAME)

[illegible]

IT 157567-04-9E  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of pseudo peptides as HIV-1 protease inhibitors for treatment  
 of AIDS)  
 RN 157567-04-9 CAPLUS  
 CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-  
 methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester  
 (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 3 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2007:1022703 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 147:344309  
 TITLE: Immunoassays, haptens, immunogens and antibodies for  
 anti-HIV therapeutics  
 INVENTOR(S): Valdez, Johnny  
 PATENT ASSIGNEE(S): Ark Diagnostics, USA  
 SOURCE: PCT Int. Appl., 98pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007103740	A2	20070913	WO 2007-US63090	20070301
WO 2007103740	A3	20081231		
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20070218486	A1	20070920	US 2007-681072	20070301
EP 1994184	A2	20081126	EP 2007-757736	20070301

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
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PRIORITY APPLN. INFO.:

US 2006-777923P

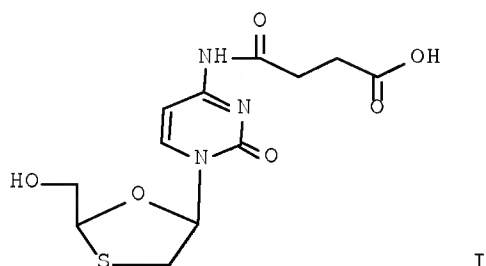
P 20060301

WO 2007-US63090

W 20070301

OTHER SOURCE(S): MARPAT 147:344309

GI



AB I-(X)<sub>k</sub>-(C=O)<sub>m</sub>-(Y)<sub>n</sub>-(L)<sub>p</sub>-Q wherein I is a met-sensitive moiety of an anti-HIV therapeutic, wherein said anti-HIV therapeutic is selected from the group consisting of a HIV protease inhibitor (PI), a nucleoside HIV reverse transcriptase inhibitor (NRTI) and an HIV entry inhibitor (EI); X is O, NH, and CH<sub>2</sub>; Y is O, NH, CH<sub>2</sub>, and CH<sub>2</sub>-S; k, m, n, and p are independently = 0-1; 10 L is a linker consisting of from 1 to 40 carbon atoms arranged in a straight chain or a branched chain, saturated or unsatd., and containing up to two ring structures and 0-20 heteroatoms, with the provision that not more than two heteroatoms may be linked in sequence; and Q is a reactive functional moiety chosen from the group consisting of active esters, halogens, isocyanates, isothiocyanates, thiols, imido-esters, anhydrides, maleimides, thio-lactones, diazonium groups and aldehydes, were prepared as antiviral agents. Thus, nucleoside analog I was prepared by coupling of lamivudine with succinic anhydride. The specificity of the immunoassay was evaluated by adding potentially cross-reactant drugs to human serum and determining the increase in the apparent concentration as a result of the presence of cross-reactant. Sep. stock solns. of tipranavir, ritonavir, amprenavir, saquinavir, indinavir, nelfinavir, efavirenz, lopinavir and lamivudine were prepared by dissolving the drug in methanol to give a stock solution of 1000 µg/mL. 10 µg/mL of cross-reactant plus 5 µg/mL of tipranavir was added to individual human serum samples to give a final volume of 1 mL. Each sample was assayed in duplicate.

IT 948592-12-9P 948592-13-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(immunoassays haptens immunogens and antibodies for anti-HIV therapeutics)

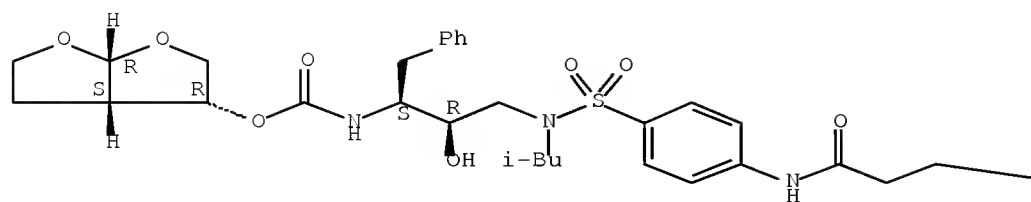
RN 948592-12-9 CAPLUS

CN Butanoic acid, 4-[[4-[[[(2R,3S)-3-[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A



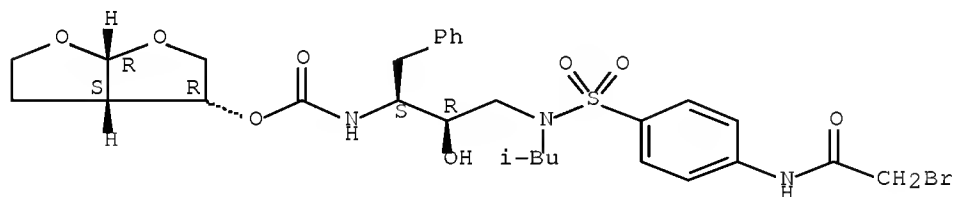
PAGE 1-B

—CO<sub>2</sub>H

RN 948592-13-0 CAPLUS

CN Carbamic acid, N-[(1S,2R)-3-[[[4-[(2-bromoacetyl)amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:14210 CAPLUS Full-text

DOCUMENT NUMBER: 146:121949

TITLE: Oxazolidinecarboxamides as HIV-1 protease inhibitors, and methods of making and using them

INVENTOR(S): Rana, Tariq M.; Ali, Akbar; Cao, Hong; Sai, Kiran Kumar Reddy Ga; Anjum, Saima Ghafoor

PATENT ASSIGNEE(S): University of Massachusetts, USA

SOURCE: PCT Int. Appl., 194 pp.

CODEN: PIXXD2

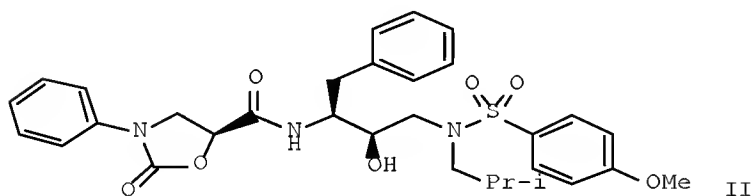
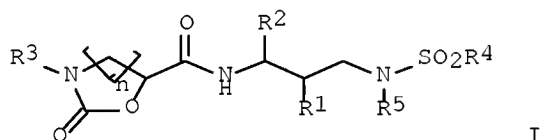
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002173	A1	20070104	WO 2006-US24109	20060621
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AU 2006262275	A1	20070104	AU 2006-262275	20060621
EP 1937655	A1	20080702	EP 2006-785253	20060621
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008546790	T	20081225	JP 2008-518348	20060621
CN 101309911	A	20081119	CN 2006-80030629	20080222
PRIORITY APPLN. INFO.:			US 2005-693134P	P 20050622
			US 2005-749902P	P 20051212
			US 2006-810234P	P 20060602
			WO 2006-US24109	W 20060621
OTHER SOURCE(S):			MARPAT 146:121949	
GI				



AB One aspect of the invention relates to the design, synthesis and biol. activity of novel HIV-1 protease inhibitors of incorporating N-phenyloxazolidine-5-carboxamides into the (hydroxyethylamino)sulfonamide scaffold of formula I as P2 ligands. Compound of formula I wherein n is 1 and 2; R1 is OH, SH, and NH and derivs.; R2 is H, alkyl, cycloalkyl, (hetero)aryl, heterocyclyl(alkyl), and (hetero)aralkyl; R3 is H, alkyl, alkenyl, aminoalkyl, amidoalkyl, ketoalkyl, cycloalkyl, (hetero)aryl, etc.; R4 is alkyl, cycloalkyl, heterocyclyl(alkyl), (hetero)aryl, and (hetero)aralkyl; R5 is H, alkyl, cycloalkyl, heterocyclyl(alkyl), (hetero)aryl, and (hetero)aralkyl; and

their stereochem. configurations at any undefined stereocenter is R, S, or a mixture of these configurations, are claimed. The invention relates to inhibitors with variations at the P2 phenyloxazolidine and the P2' phenylsulfonamide moieties. Remarkably, compds. with an (S)-enantiomer of substituted phenyloxazolidines at P2 show highly potent inhibitory activities against wild-type HIV-1 protease. In certain embodiments, the inhibitors of the invention have  $K_i$  values in low picomolar (pM) range. In certain embodiments, the inhibitors of the invention were shown to be active against a variety of multi-drug resistant (MDR) HIV-1 proteases, each representing different paradigm of drug resistance. Example compound II was prepared by a general coupling reaction using the corresponding sulfonamide. All the invention compds. were evaluated for their HIV-1 protease inhibitory activity (data given).

IT 918543-67-6F

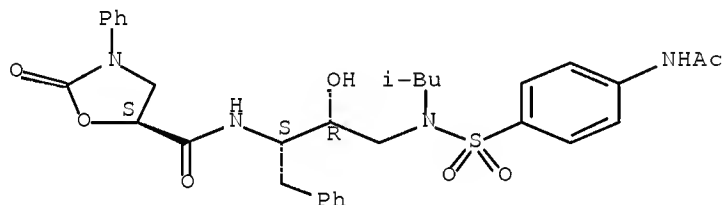
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of oxazolidinecarboxamides as HIV-1 protease inhibitors useful as therapeutic agents)

RN 918543-67-6 CAPLUS

CN 5-Oxazolidinecarboxamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-oxo-3-phenyl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1154157 CAPLUS Full-text

DOCUMENT NUMBER: 143:422465

TITLE: Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds

INVENTOR(S): Arimilli, Murty N.; Becker, Mark M.; Birkus, Gabriel

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 1034 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

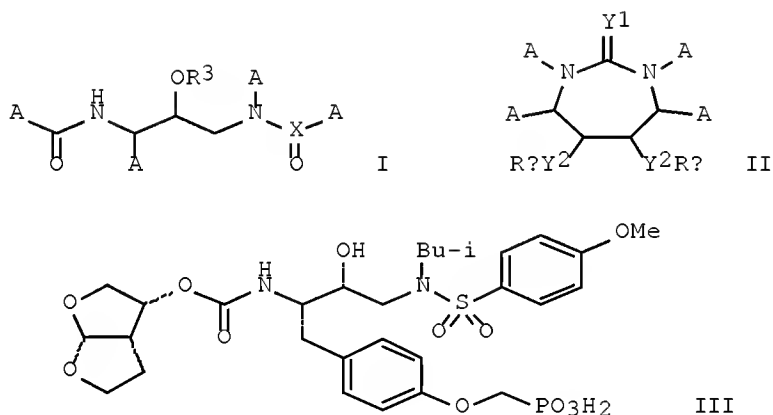
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050239054	A1	20051027	US 2003-740694	20031222
WO 2003090690	A2	20031106	WO 2003-US12901	20030425

WO 2003090690	A3	20040624		
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 US 2002-375622P P 20020426  
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 WO 2003-US12901 A2 20030425  
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 WO 2003-US12943 A2 20030425  
 CN 2003-812478 A3 20030425  
 CN 2003-814963 A3 20030425  
 US 2003-740694 A 20031222  
 WO 2004-US42991 W 20041222

GI



AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = [Y2(CR2R2)1-12]0-12Y2W6; A2 = [Y2(CR2R2)1-12]0-12Y2W3; W3 = substituted (hetero)cyclyl; R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SO0-2, or SO0-2SO0-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, S0-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SORx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5 = substituted alkyl, alkenyl, or alkynyl; or pharmaceutically acceptable salts, hydrates, and formulations thereof] and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have

improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Libraries of such compds. were screened optionally using the novel enzyme GS-7340 ester hydrolase. Compns. and methods relating to GS-7340 ester hydrolase also are provided. Examples include preps. for non-nucleoside phosphonate protease inhibitors. In addition, extensive biol. data regarding PBMC uptake and metabolism, serum stability, and alkaline phosphatase protease inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III ( $K_i \leq 10$  pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.

IT 190444-94-1F

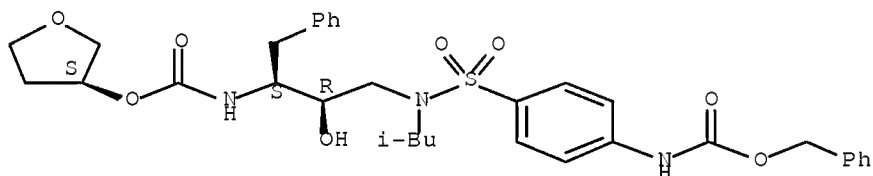
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 190444-94-1 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 622866-24-4F 622866-25-5P 622866-26-6P  
622866-27-7P

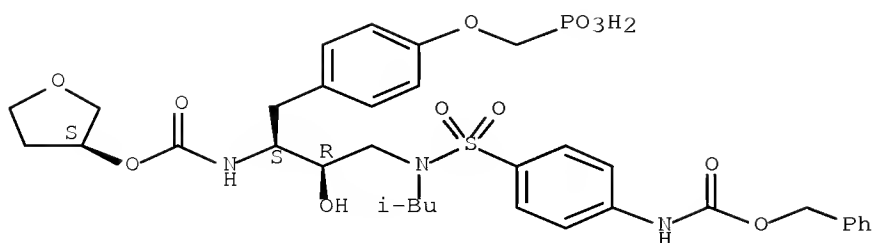
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(protease inhibitor; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 622866-24-4 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-[[4-(phosphonomethoxy)phenyl]methyl]propyl]-, C-[(3S)-tetrahydro-3-furanyl] ester (9CI) (CA INDEX NAME)

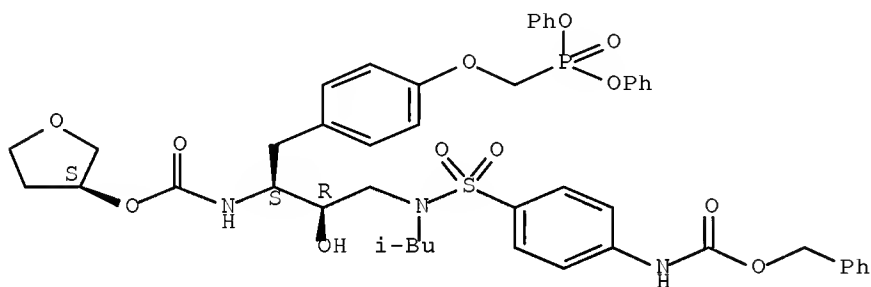
Absolute stereochemistry.



RN 622866-25-5 CAPLUS

CN Carbamic acid, [(1S,2R)-1-[[4-[(diphenoxymethoxy)methoxy]phenyl]methyl]-2-hydroxy-3-[(2-methylpropyl)[4-[(phenylmethoxy)carbonyl]amino]phenyl]sulfonylamino]propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

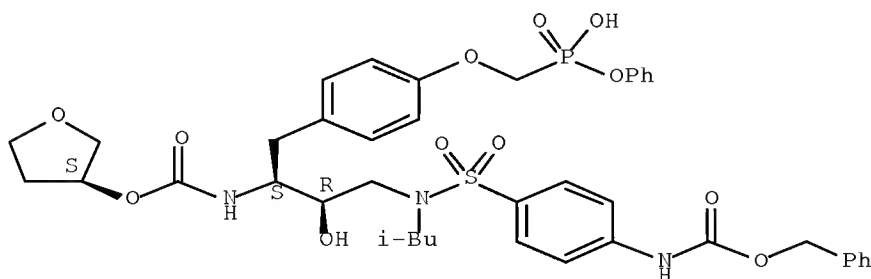
Absolute stereochemistry.



RN 622866-26-6 CAPLUS

CN Carbamic acid, [4-[[[(2R,3S)-2-hydroxy-4-[4-[(hydroxyphenoxyphosphinyl)methoxy]phenyl]-3-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

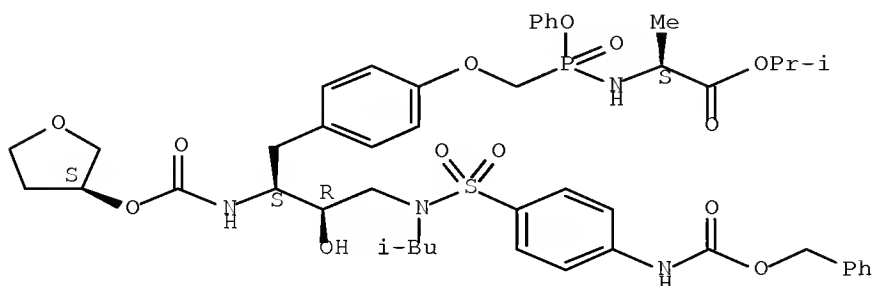


RN 622866-27-7 CAPLUS

CN L-Alanine, N-[[[4-[(2S,3R)-3-hydroxy-4-[(2-methylpropyl)[4-[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-2-[[[(3S)-

tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl]phenoxy]methyl]phenoxyphosph  
inyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 6 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:612479 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 143:97530

TITLE: Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds

INVENTOR(S): Arimilli, Murty N.; Becker, Mark M.; Birkus, Gabriel; Bryant, Clifford; Chen, James M.; Chen, Xiaowu; Cihlar, Tomas; Dastgah, Azar; Eisenberg, Eugene J.; Fardis, Maria; Hatada, Marcos; He, Gong-Xin; Jin, Haolun; Kim, Choung U.; Lee, William A.; Lee, Christopher P.; Lin, Kuei-Ying; Liu, Hongtao; Mackman, Richard L.; McDermott, Martin J.; Mitchell, Michael L.; Nelson, Peter H.; Pyun, Hyung-Jung; Rowe, Tanisha D.; Sparacino, Mark; Swaminathan, Sundaramoorthi; Tario, James D.; Wang, Jianying; Williams, Matthew A.; Xu, Lianhong; Yang, Zheng-Yu; Yu, Richard H.; Zhang, Jiancun; Zhang, Lijun

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA

SOURCE: PCT Int. Appl., 1723 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005064008	A1	20050714	WO 2004-US42991	20041222
WO 2005064008	A9	20060928		

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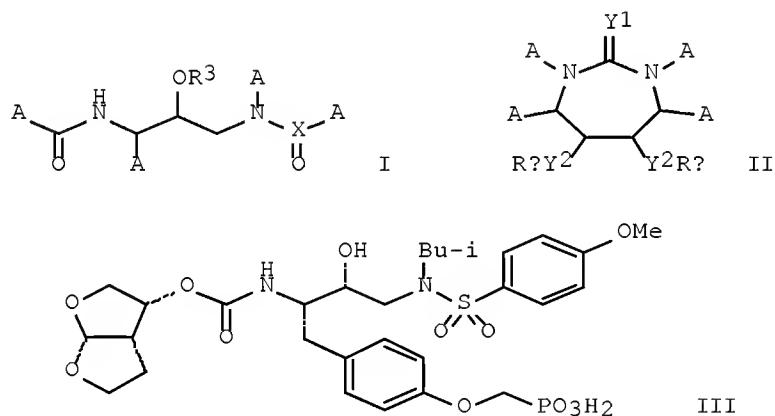
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WO 2003-US12901	A2	20030425
WO 2003-US12926	A2	20030425
WO 2003-US12943	A2	20030425
WO 2004-US42991	W	20041222

GI



AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = [Y2(CR2R2)1-12]0-12Y2W6; A2 = [Y2(CR2R2)1-12]0-12Y2W3; W3 = substituted (hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SO0-2, or SO0-2SO0-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SO-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SORx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5

= substituted alkyl, alkenyl, or alkynyl; or pharmaceutically acceptable salts, hydrates, and formulations thereof] and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Libraries of such compds. were screened optionally using the novel enzyme GS-7340 ester hydrolase. Compns. and methods relating to GS-7340 ester hydrolase also are provided. Examples include preps. for non-nucleoside phosphonate protease inhibitors. In addition, extensive biol. data regarding PBMC uptake and metabolism, serum stability, and alkaline phosphatase protease inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III ( $K_i \leq 10$  pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.

IT 190444-94-1P

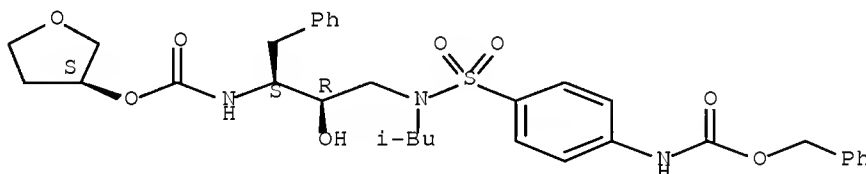
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 190444-94-1 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 622866-24-4P 622866-25-5P 622866-26-6P  
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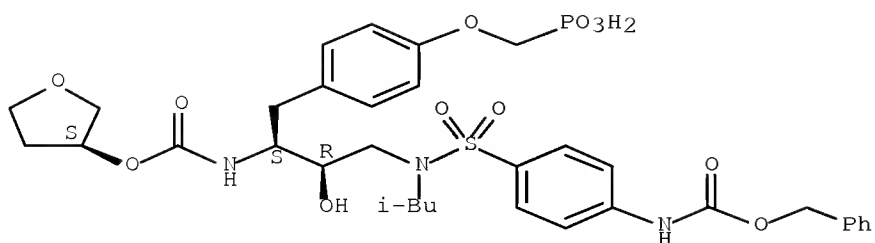
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(protease inhibitor; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 622866-24-4 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-[[4-(phosphonomethoxy)phenyl]methyl]propyl]-, C-[(3S)-tetrahydro-3-furanyl] ester (9CI) (CA INDEX NAME)

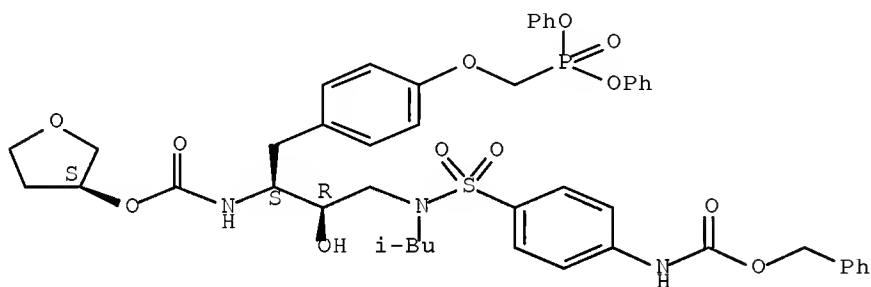
Absolute stereochemistry.



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CN Carbamic acid, [(1S,2R)-1-[[4-[(diphenoxymethyl)phenoxy]phenyl]methyl]-2-hydroxy-3-[(2-methylpropyl)[4-[(phenylmethoxy)carbonyl]amino]phenyl]sulfonylamino]propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

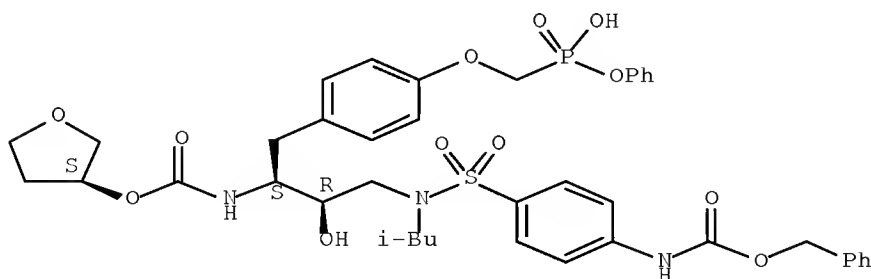
Absolute stereochemistry.



RN 622866-26-6 CAPLUS

CN Carbamic acid, [4-[[[(2R,3S)-2-hydroxy-4-[4-[(hydroxyphenoxyphosphinyl)methoxy]phenyl]-3-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

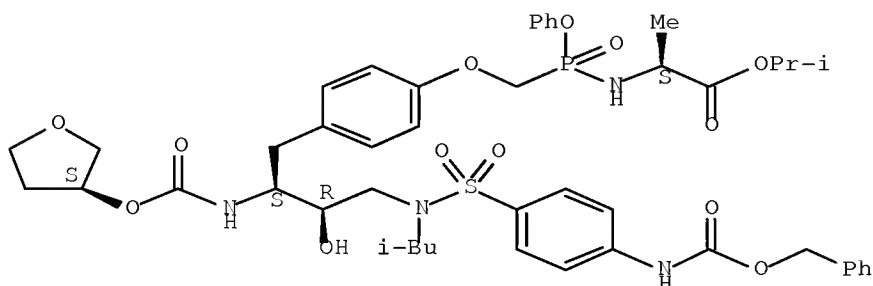


RN 622866-27-7 CAPLUS

CN L-Alanine, N-[[[4-[(2S,3R)-3-hydroxy-4-[(2-methylpropyl)[4-[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-2-[[[(3S)-

tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl]phenoxy]methyl]phenoxyphosph  
inyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2005:527407 CAPLUS Full-text  
DOCUMENT NUMBER: 143:59982  
TITLE: Preparation of HIV protease inhibitors, in particular  
imidazolidine derivatives  
INVENTOR(S): Flentge, Charles A.; Chen, Hui-Ju; Degoe, David A.;  
Flosi, William J.; Grampovnik, David J.; Huang, Peggy  
P.; Kempf, Dale J.; Klein, Larry L.; Krueger, Allan  
C.; Madigan, Darold L.; Randolph, John T.; Sun,  
Minghua; Yeung, Ming C.; Zhao, Chen  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 287 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050131042	A1	20050616	US 2003-733915	20031211
CA 2549389	A1	20050707	CA 2004-2549389	20041110
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			WO 2004-US37745	W 20041110
OTHER SOURCE(S):		CASREACT 143:59982; MARPAT 143:59982		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. of formula ANH(CHR)(CHR1)(CHR2)NR3S(O2)R4 (I) [wherein A = alkylcarbonyl, arylsulfonyl, 1,3-substituted 2-oxoimidazolidinyl, 2,4-dioxoimidazolidinyl, etc.; X, Y = independently O, S, NH; R = (un)substituted alk(en)yl, cycloalk(en)yl, hetero/arylalkyl, etc.; R1 = OH and derivs., OPO3H and derivs., OSO2H and derivs., etc.; R2 = H; R3 = halo/alkyl, halo/alkenyl, (un)substituted cycloalk(en)yl, aryl; R4 = (un)substituted cycloalk(en)yl, heterocyclyl, hetero/aryl] were prepared as HIV protease inhibitors. For example, II was prepared, in 62% yield, by coupling acid III (preparation given) with amine IV (preparation given). I showed antiviral activity against Wild-Type HIV with EC50 in the range of 1 nM to 100 nM.

IT 853893-96-6P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[[4-(formylamino)phenyl]sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-73-2P

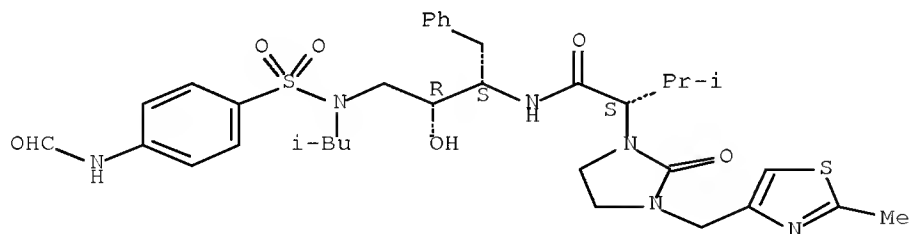
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiviral agent; preparation of HIV protease inhibitors, in particular imidazolidine derivs.)

RN 853893-96-6 CAPLUS

CN 1-Imidazolidineacetamide, N-[(1S,2R)-3-[[[4-(formylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-α-(1-methylethyl)-3-[(2-methyl-4-thiazolyl)methyl]-2-oxo-, (αS)- (CA INDEX NAME)

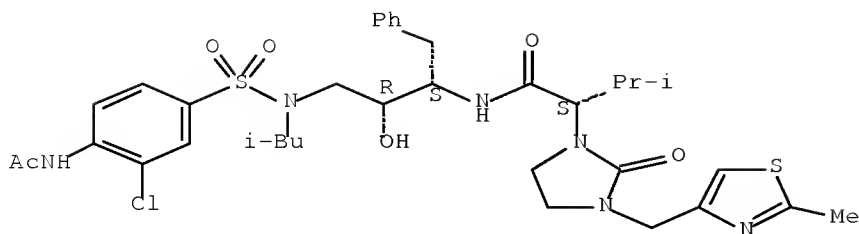
Absolute stereochemistry.



RN 854747-73-2 CAPLUS

CN 1-Imidazolidineacetamide, N-[(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-α-(1-methylethyl)-3-[(2-methyl-4-thiazolyl)methyl]-2-oxo-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 8 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2005:331923 CAPLUS Full-text

DOCUMENT NUMBER: 143:59893

TITLE: Oximinoarylsulfonamides as potent HIV protease inhibitors

AUTHOR(S): Yeung, Clinton M.; Klein, Larry L.; Flentge, Charles A.; Randolph, John T.; Zhao, Chen; Sun, MingHua; Dekhtyar, Tatyana; Stoll, Vincent S.; Kempf, Dale J.

CORPORATE SOURCE: GPRD, Abbott Laboratories, Abbott Park, IL, 60064-3501, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(9), 2275-2278

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:59893

AB The need for a potent HIV protease inhibitor (PI) to combat emerging PI-resistant viruses is anticipated. Analogs formulated from the combination of structural fragments of Ritonavir, Lopinavir, and Amprenavir were synthesized. Analogs containing the oxime pharmacophore were found to have improved activities against both wild type and resistant (A17) viruses. The synthesis and structure-activity relationships (SAR) based upon the in vitro IC<sub>50</sub> of this series of compds. are reported.

IT 853893-96-6P 853893-98-8P

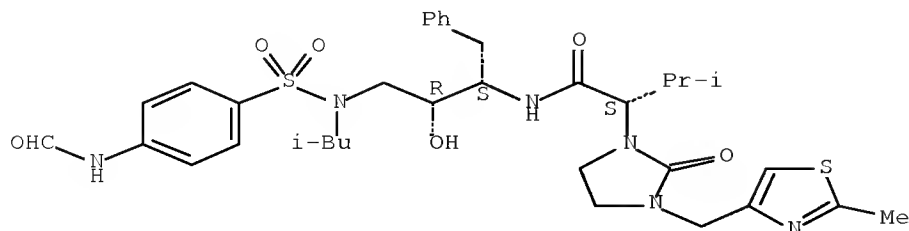
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of oximinoarylsulfonamides as potent HIV protease inhibitors)

RN 853893-96-6 CAPLUS

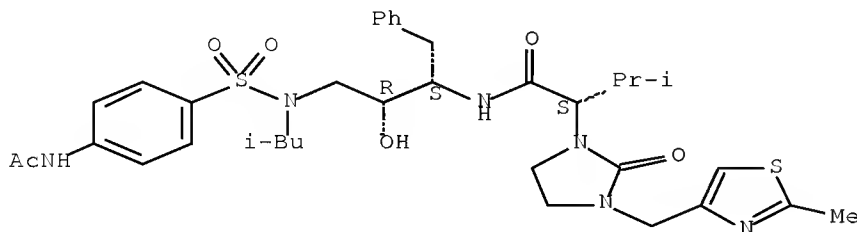
CN 1-Imidazolidineacetamide, N-[(1S,2R)-3-[[[4-(formylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]- $\alpha$ -(1-methylethyl)-3-[(2-methyl-4-thiazolyl)methyl]-2-oxo-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 853893-98-8 CAPLUS  
 CN 1-Imidazolidineacetamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]- $\alpha$ -(1-methylethyl)-3-[(2-methyl-4-thiazolyl)methyl]-2-oxo-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

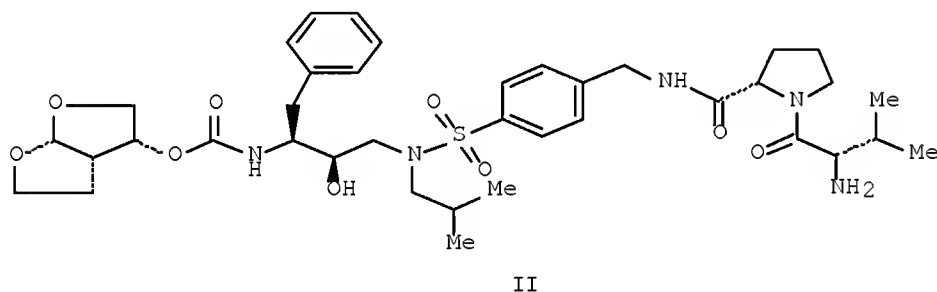
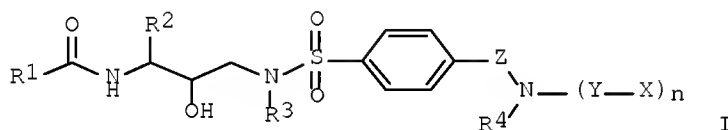
L3 ANSWER 9 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2004:996120 CAPLUS Full-text  
 DOCUMENT NUMBER: 141:411225  
 TITLE: Preparation of peptidyl HIV prodrugs which are cleavable by CD26  
 INVENTOR(S): De Kock, Herman Augustinus; Wigerinck, Piet Tom Bert Paul; Balzarini, Jan  
 PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.  
 SOURCE: PCT Int. Appl., 53 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099135	A2	20041118	WO 2004-EP50753	20040510
WO 2004099135	A3	20050217		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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CA 2517338	A1	20041118	CA 2004-2517338	20040510
EP 1624897	A2	20060215	EP 2004-741542	20040510
EP 1624897	B1	20071010		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

BR 2004010158	A	20060516	BR 2004-10158	20040510
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AT 375172	T	20071015	AT 2004-741542	20040510
ES 2295879	T3	20080416	ES 2004-741542	20040510
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IN 2005DN03880	A	20071130	IN 2005-DN3880	20050831
US 20080214648	A1	20080904	US 2005-555712	20051103
MX 2005012019	A	20060203	MX 2005-12019	20051108
NO 2005005826	A	20060208	NO 2005-5826	20051208
PRIORITY APPLN. INFO.:			GB 2003-10593	A 20030508
			WO 2004-EP50753	W 20040510

OTHER SOURCE(S): MARPAT 141:411225  
GI



AB The invention provides new prodrugs which are conjugates of a therapeutic compound and a peptide which are cleavable by dipeptidyl-peptidases, preferably by CD26, also known as DPPIV (dipeptidyl aminodipeptidase IV). Prodrugs I [n is 1-5; Y is proline, alanine, hydroxyproline, dihydroxyproline, thiazolidinecarboxylic acid (thiopline), dehydroproline, pipecolic acid (L-homoproline), azetidinecarboxylic acid, aziridinecarboxylic acid, glycine, serine, valine, leucine, isoleucine or threonine; X is a D- or L-amino acid; X and Y in each repeat of [Y-X] are chosen independently from one another and independently from other repeats; Z is a direct bond or a bivalent straight or branched saturated hydrocarbon group having from 1 to 4 carbon atoms; R1 is aryl, heteroaryl, aryloxy, heteroaryloxy, aryloxyalkyl, heterocycloalkoxy, heterocycloalkylalkoxy, heteroaryloxyalkyl, heteroarylalkoxy; R2 is arylalkyl; R3 is alkyl, alkenyl or cycloalkylalkyl; R4 is H or alkyl] and their stereoisomeric forms and salts are claimed. Thus, peptide conjugate II (Val-Pro-PI 1) was prepared via peptide coupling reaction and studied biol., e.g., its conversion to the parent drug PI 1 in human or bovine serum.

IT 791071-78-8P 791071-82-4P 791071-83-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES



(Uses)

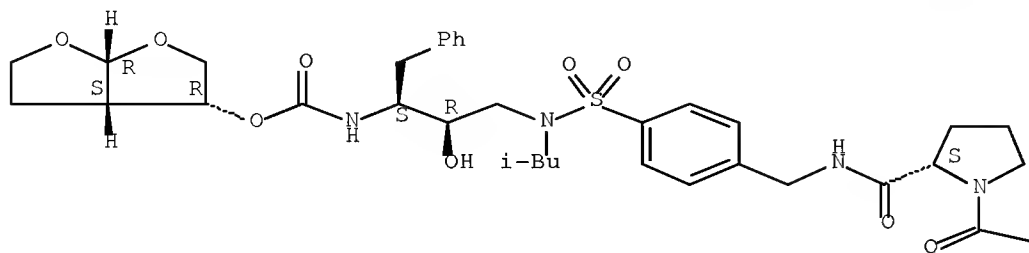
(preparation of peptidyl prodrugs which are cleavable by CD26)

RN 791071-78-8 CAPLUS

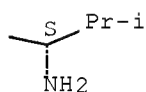
CN Carbamic acid, [(1S,2R)-3-[[[4-[[[(2S)-1-[(2S)-2-amino-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]methyl]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



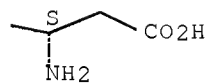
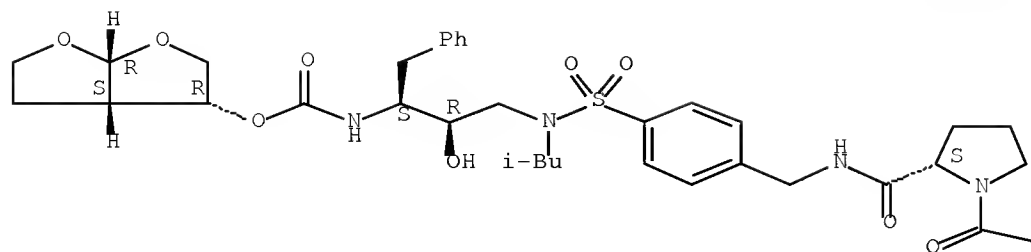
PAGE 1-B



RN 791071-82-4 CAPLUS

CN L-Prolinamide, L- $\alpha$ -aspartyl-N-[[4-[[[(2R,3S)-3-[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

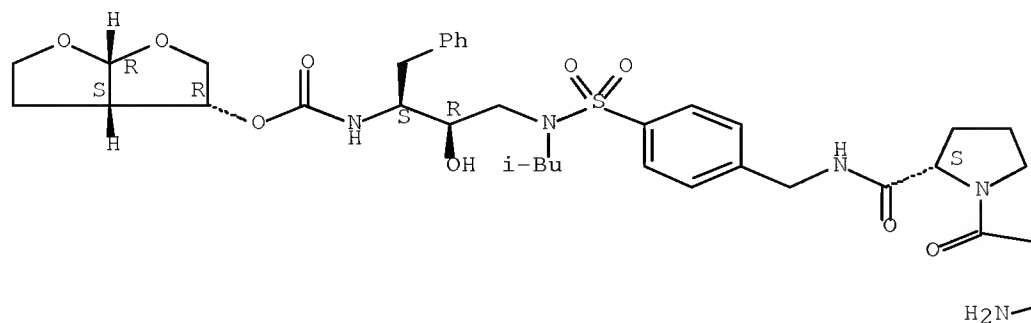
Absolute stereochemistry.



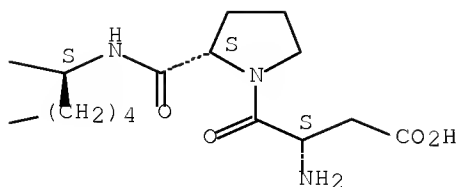
RN 791071-83-5 CAPLUS

CN L-Prolinamide, L- $\alpha$ -aspartyl-L-prolyl-L-lysyl-N-[[4-[[[(2R,3S)-3-[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



H<sub>2</sub>N—



IT 791071-77-7P 791071-79-9P 791071-80-2P

791071-81-3P

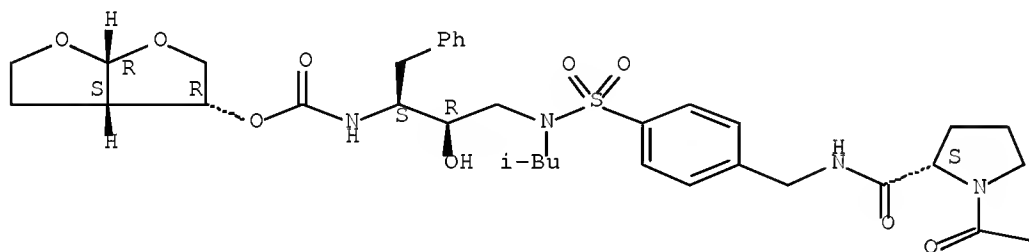
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

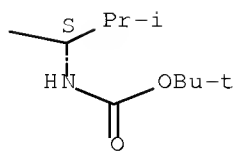
(preparation of peptidyl prodrugs which are cleavable by CD26)

RN 791071-77-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[[(2S)-1-[(2S)-2-[(1,1-dimethylethoxy)carbonyl]amino]-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]methyl]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

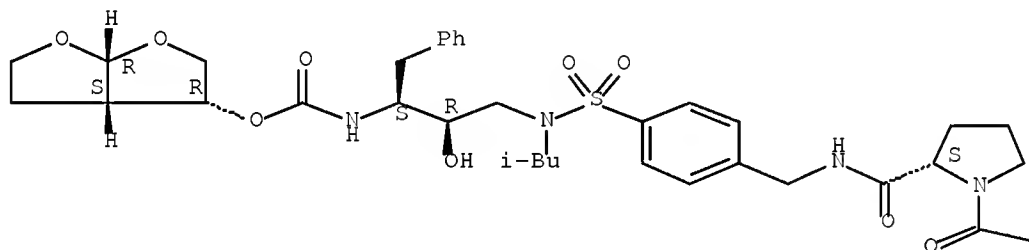




RN 791071-79-9 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[4-[[[(2R,3S)-3-[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

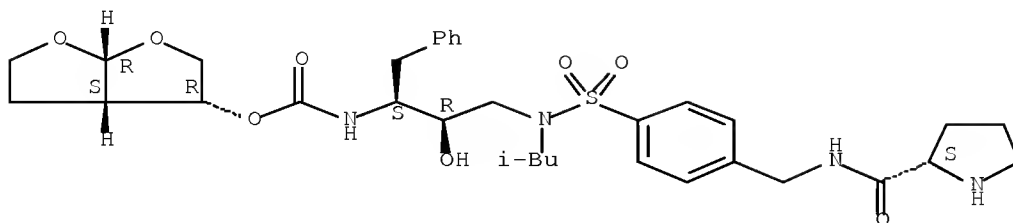


RN 791071-80-2 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[[(2S)-2-pyrrolidinylcarbonyl]amino]methyl]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

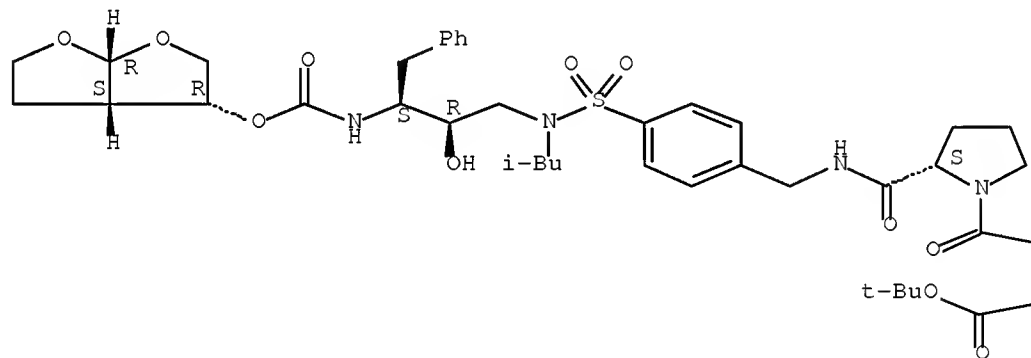


RN 791071-81-3 CAPLUS

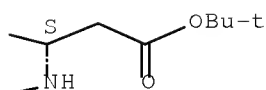
CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L- $\alpha$ -aspartyl-N-[[4-[[[(2R,3S)-3-[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2004:996009 CAPLUS Full-text  
 DOCUMENT NUMBER: 141:411224  
 TITLE: Preparation of peptidyl prodrugs which are cleavable by CD26  
 INVENTOR(S): Balzarini, Jan  
 PATENT ASSIGNEE(S): K.U. Leuven Research & Development, Belg.  
 SOURCE: PCT Int. Appl., 97 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098644	A1	20041118	WO 2004-BE69	20040510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CA 2525191	A1	20041118	CA 2004-2525191	20040510
EP 1620130	A1	20060201	EP 2004-731856	20040510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1784244	A	20060607	CN 2004-80012260	20040510
JP 2006525235	T	20061109	JP 2006-504046	20040510
AT 375172	T	20071015	AT 2004-741542	20040510
ES 2295879	T3	20080416	ES 2004-741542	20040510
US 20070275900	A1	20071129	US 2007-555930	20070731
PRIORITY APPLN. INFO.:			GB 2003-10593	A 20030508
			WO 2004-BE69	W 20040510

OTHER SOURCE(S): MARPAT 141:411224

AB The invention provides new prodrug technol. and prodrugs in order to increase solubility, modulate plasma protein binding or enhance the bioavailability of a drug. The prodrugs are conjugates of a therapeutic compound and a peptide (e.g., a tetra- or hexapeptide) which are cleavable by dipeptidyl-peptidases, preferably by CD26, also known as DPPIV (dipeptidyl aminodipeptidase IV). Claimed prodrugs comprise a therapeutic compound linked via an amide bond to an oligopeptide H-(X-Y)<sub>n</sub>, where X is an amino acid, n is 1-5, and Y is an amino acid selected from the group consisting of proline, alanine, hydroxyproline, dihydroxyproline, thiazolidinecarboxylic acid (thioprolin), dehydroproline, pipecolic acid (L-homoprolin), azetidinecarboxylic acid, aziridinecarboxylic acid, glycine, serine, valine, leucine, isoleucine and threonine. Thus, Val-Pro-NAP-TSAO, the dipeptide conjugate of the antiviral prodrug NAP-TSAO, was prepared and studied biol., e.g., its conversion to the parent drug in human or bovine serum.

IT 791071-82-4 791071-83-5

RL: PRPH (Prophetic)

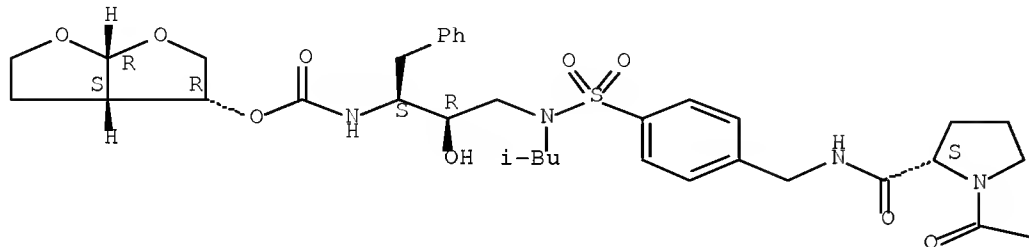
(Preparation of peptidyl prodrugs which are cleavable by CD26)

RN 791071-82-4 CAPLUS

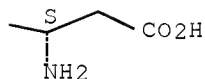
CN L-Prolinamide, L- $\alpha$ -aspartyl-N-[[4-[[[(2R,3S)-3-[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



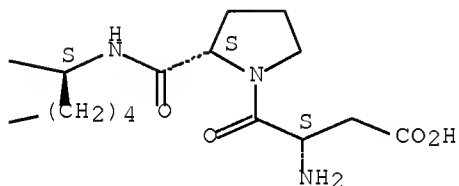
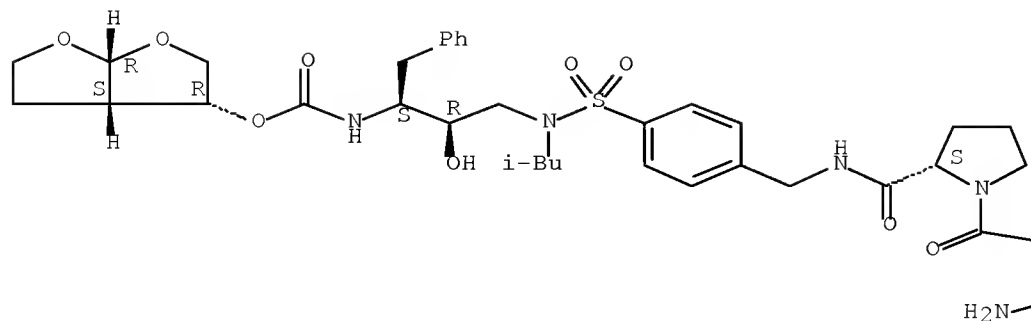
PAGE 1-B



RN 791071-83-5 CAPLUS

CN L-Prolinamide, L- $\alpha$ -aspartyl-L-prolyl-L-lysyl-N-[[4-[[[(2R,3S)-3-[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

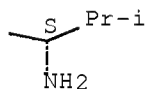
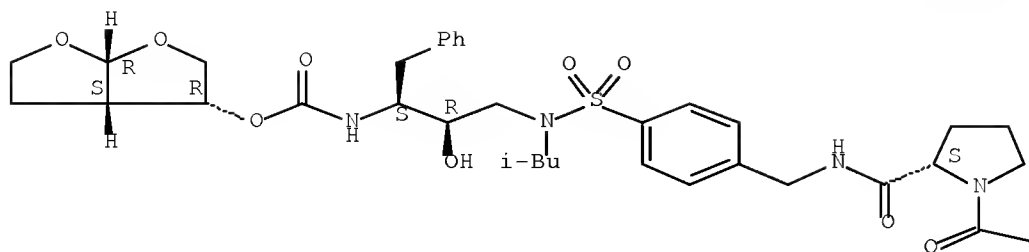
Absolute stereochemistry.



IT 791071-78-8P  
 RL: BSU (Biological study, unclassified); PUR (Purification or recovery);  
 SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)  
 (preparation of peptidyl prodrugs which are cleavable by CD26)  
 RN 791071-78-8 CAPLUS  
 CN Carbamic acid, [(1S,2R)-3-[[[4-[[[(2S)-1-[(2S)-2-amino-3-methyl-1-  
 oxobutyl]-2-pyrrolidinyl]carbonyl]amino]methyl]phenyl]sulfonyl](2-  
 methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-,  
 (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

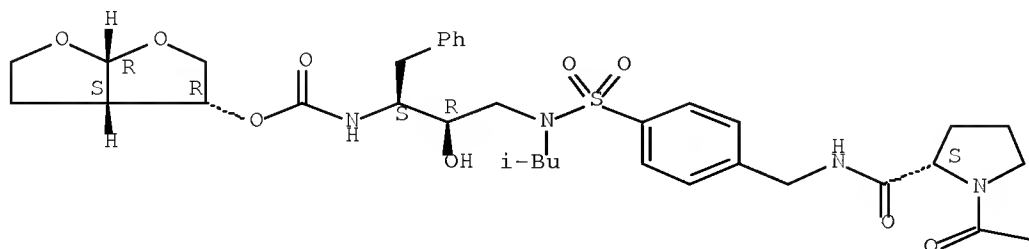
Absolute stereochemistry.

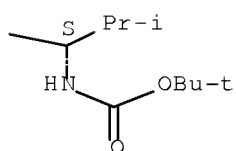




IT 791071-77-7P 791071-79-9P 791071-80-2P  
 791071-81-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of peptidyl prodrugs which are cleavable by CD26)  
 RN 791071-77-7 CAPLUS  
 CN Carbamic acid, [(1S,2R)-3-[[[4-[[[(2S)-1-[(2S)-2-[(1,1-  
 dimethylethoxy)carbonyl]amino]-3-methyl-1-oxobutyl]-2-  
 pyrrolidinyl]carbonyl]amino]methyl]phenyl]sulfonyl](2-methylpropyl)amino]-  
 2-hydroxy-1-(phenylmethyl)propyl]-,  
 (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

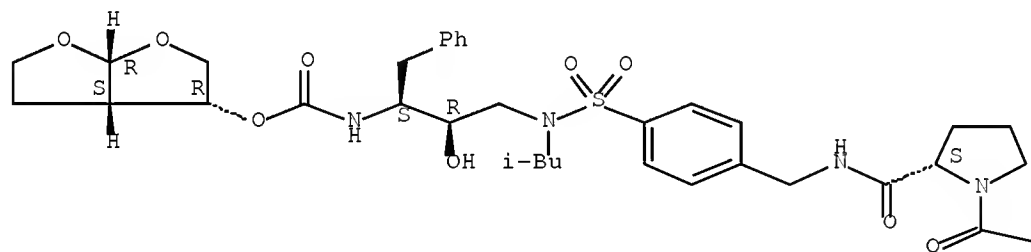




RN 791071-79-9 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[4-[[[(2R,3S)-3-[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

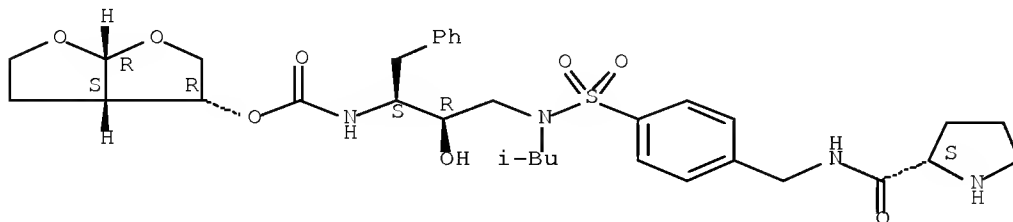
Absolute stereochemistry.



RN 791071-80-2 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[[(2S)-2-pyrrolidinylcarbonyl]amino]methyl]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

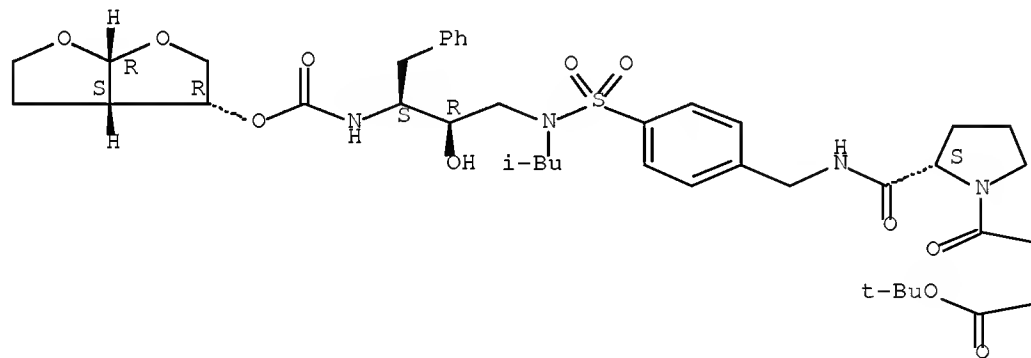


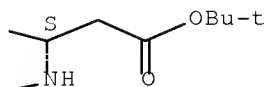
RN 791071-81-3 CAPLUS

CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L- $\alpha$ -aspartyl-N-[[4-[[[(2R,3S)-3-[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2004:534032 CAPLUS Full-text  
 DOCUMENT NUMBER: 141:70254  
 TITLE: Monoclonal antibodies specific to haptens comprising protease inhibitor conjugates for immunoassay  
 INVENTOR(S): Sigler, Gerald F.; Hui, Raymond A.; Deras, Ina; Root, Richard Terry; Ghoshal, Mitali; Huber, Erasmus; Von Der Eltz, Herbert W.; Metz, Sigrun; Kern, Peter  
 PATENT ASSIGNEE(S): Roche Diagnostics Operations, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 52 pp., Cont.-in-part of U.S. Ser. No. 192,052.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 23  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040127689	A1	20040701	US 2003-669831	20030924
US 7193065	B2	20070320		
US 20030100088	A1	20030529	US 2002-192052	20020710
CA 2482232	A1	20050324	CA 2004-2482232	20040920
EP 1519192	A2	20050330	EP 2004-22393	20040921
EP 1519192	A3	20050608		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2005097307	A	20050414	JP 2004-277754	20040924
US 20050064517	A1	20050324	US 2004-982611	20041105
US 7157561	B2	20070102		
US 20050148596	A1	20050707	US 2004-988477	20041112
US 7202092	B2	20070410		
US 20060211107	A1	20060921	US 2006-420502	20060526
US 20060264618	A1	20061123	US 2006-420497	20060526
US 20070142641	A1	20070621	US 2006-615092	20061222
US 20080036187	A1	20080214	US 2007-622070	20070111
US 20070149565	A1	20070628	US 2007-627572	20070126
US 20070148708	A1	20070628	US 2007-669200	20070131
US 20070155959	A1	20070705	US 2007-669397	20070131
US 20080021201	A1	20080124	US 2007-670005	20070201
US 20070141643	A1	20070621	US 2007-670467	20070202

US 7482437  
PRIORITY APPLN. INFO.:

B2 20090127

US 2001-305192P	P 20010713
US 2002-192052	A2 20020710
US 1992-878571	B2 19920505
US 1993-40978	B1 19930331
US 1995-505036	A1 19950721
US 1997-905877	A2 19970804
US 1999-409625	A2 19991001
US 1999-448337	A2 19991123
US 1999-448338	A2 19991123
US 2000-639299	A2 20000815
US 2002-58706	A2 20020128
US 2002-114533	A2 20020402
US 2003-365129	A2 20030212
US 2003-669831	A 20030924
US 2004-982611	A3 20041105

OTHER SOURCE(S): MARPAT 141:70254

AB Activated haptens useful for generating immunogens to HIV protease inhibitors, immunogens useful for producing antibodies to HIV protease inhibitors, and antibodies and labeled conjugates useful in immunoassays for and monitoring therapeutic HIV protease inhibitors. The novel haptens feature an activated functionality at the central, non-terminal hydroxyl group common to all HIV protease inhibitors, e.g., saquinavir, nelfinavir, indinavir, amprenavir, ritonavir, lopinavir, and atazanavir.

IT 485799-62-0P 485799-63-1P

RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

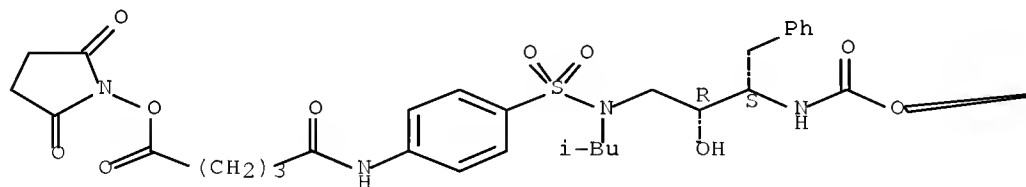
(monoclonal antibodies specific to haptens comprising protease inhibitor conjugates for immunoassay)

RN 485799-62-0 CAPLUS

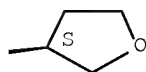
CN Pentanoic acid, 5-[[4-[[[(2R,3S)-2-hydroxy-4-phenyl-3-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-5-oxo-, 2,5-dioxo-1-pyrrolidinyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



Absolute stereochemistry.

O=C1CCCC(=O)N1C(=O)OC(=O)CCCN(CCCCNC(=O)CCNC(=O)CCNC(=O)CCCCCNC(=O)N)C(=O)NCC1=CC=C(C=C1)S(=O)(=O)N(CCC(O)C(Cc2ccccc2)NC(=O)O[C@H]3CCSCC3)C

L3 ANSWER 12 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2004:353035 CAPLUS Full-text  
DOCUMENT NUMBER: 140:350521  
TITLE: Method, assay, and kit for quantifying hiv protease  
inhibitors  
INVENTOR(S): Von der Eltz, Herbert; Arabshahi, Lili; Li, Haijuan;  
Huber, Erasmus  
PATENT ASSIGNEE(S): Roche Diagnostics Operations, Inc., Germany  
SOURCE: U.S. Pat. Appl. Publ., 15 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

AT 347105	T	20061215	AT 2003-24289	20031023
ES 2277018	T3	20070701	ES 2003-24289	20031023
CA 2447172	A1	20040429	CA 2003-2447172	20031028
JP 2004147655	A	20040527	JP 2003-369489	20031029

PRIORITY APPLN. INFO.:

US 2002-284040

A 20021029

AB A method for quantifying an HIV protease inhibitor in a sample includes combining HIV protease, a conjugate comprising an HIV protease inhibitor analog, and a sample suspected of containing an HIV protease inhibitor. The HIV protease and the conjugate are capable of forming a detectable complex. The method also includes measuring the amount of the detectable complex, and relating the amount of the detectable complex to a concentration of the HIV protease inhibitor in the sample.

IT 682334-23-2

RL: RCT (Reactant); RACT (Reactant or reagent)

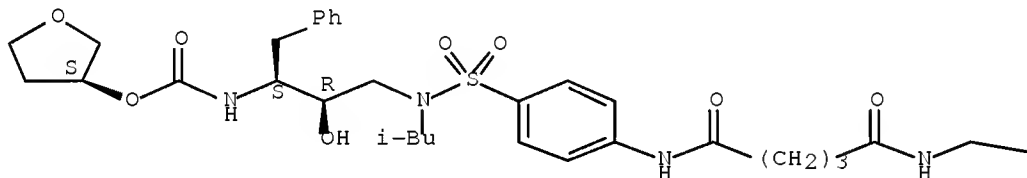
(method, assay, and kit for quantifying hiv protease inhibitors)

RN 682334-23-2 CAPLUS

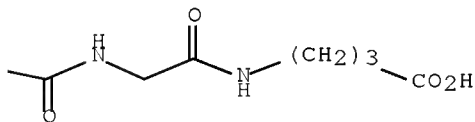
CN Glycinamide, N-[5-[[4-[[[(2R,3S)-2-hydroxy-4-phenyl-3-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-1,5-dioxopentyl]glycyl-N-(3-carboxypropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 485799-62-0P 485799-63-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

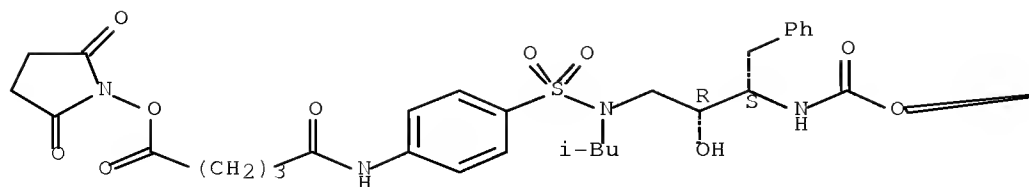
(method, assay, and kit for quantifying hiv protease inhibitors)

RN 485799-62-0 CAPLUS

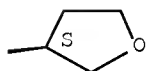
CN Pentanoic acid, 5-[[4-[[[(2R,3S)-2-hydroxy-4-phenyl-3-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-5-oxo-, 2,5-dioxo-1-pyrrolidinyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



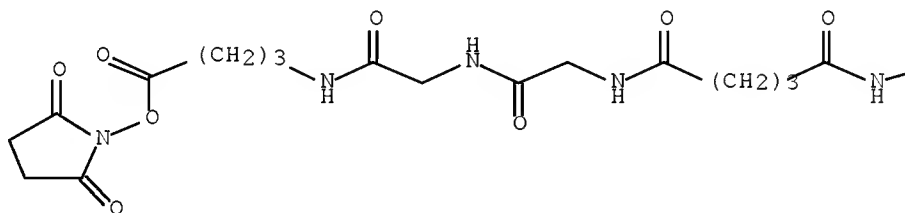
PAGE 1-B



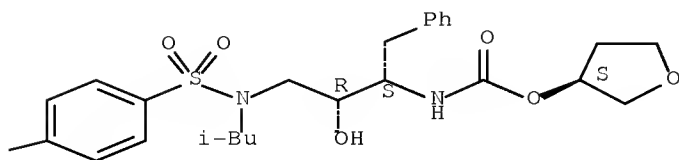
RN	485799-63-1	CAPLUS
CN	Glycinamide, N-[5-[4-[4-[[(2R,3S)-2-hydroxy-4-phenyl-3-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino)sulfonyl]phenyl]amino]-1,5-dioxopentyl]glycyl-N-[4-[(2,5-dioxo-1-pyrrolidinyl)oxy]-4-oxobutyl]- (9CI) (CA INDEX NAME)	

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT:

57

THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



ACCESSION NUMBER: 2003:875072 CAPLUS Full-text

DOCUMENT NUMBER: 139:381610

TITLE: Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds

INVENTOR(S): Birkus, Gabriel; Chen, James M.; Chen, Xiaowu; Cihlar, Tomas; Eisenberg, Eugene J.; Hatada, Marcos; He, Gong-Xin; Kim, Choung U.; Lee, William A.; McDermott, Martin J.; Swaminathan, Sundaramoorthi

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA

SOURCE: PCT Int. Appl., 814 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

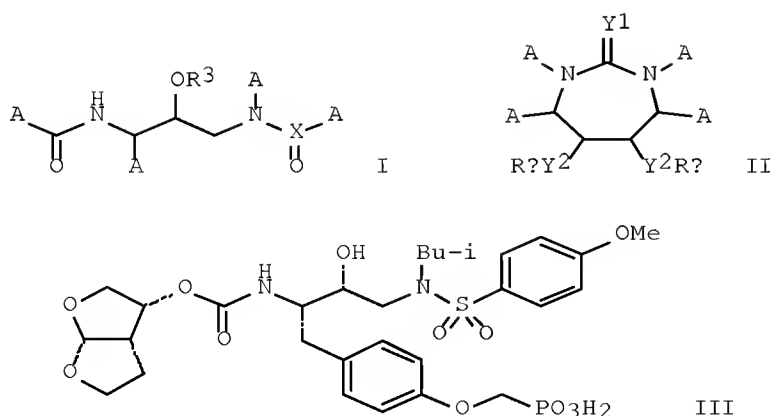
FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003090691	A2	20031106	WO 2003-US12943	20030425
WO 2003090691	A3	20060209		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2481449	A1	20031106	CA 2003-2481449	20030425
AU 2003228707	A1	20031110	AU 2003-228707	20030425
CN 1649885	A	20050803	CN 2003-814963	20030425
CN 1313472	C	20070502		
CN 1656109	A	20050817	CN 2003-812478	20030425
EP 1575486	A2	20050921	EP 2003-726472	20030425
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JP 2006508634	T	20060316	JP 2003-587330	20030425
AT 367394	T	20070815	AT 2003-747326	20030425
CN 101041669	A	20070926	CN 2006-10154203	20030425
CN 101074242	A	20071121	CN 2007-10085746	20030425
WO 2004096818	A2	20041111	WO 2003-EP12423	20031106
WO 2004096818	A3	20050407		
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003291998	A1	20041123	AU 2003-291998	20031106
EP 1620445	A2	20060201	EP 2003-767521	20031106
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IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK					
JP	2006524487	T	20061102	JP 2004-571244	20031106
US	20050239054	A1	20051027	US 2003-740694	20031222
US	20050136397	A1	20050623	US 2004-970389	20041022
US	7273716	B2	20070925		
ZA	2004009376	A	20050914	ZA 2004-9376	20041122
ZA	2004009377	A	20060329	ZA 2004-9377	20041122
US	20060115815	A1	20060601	US 2005-511183	20050223
US	20070190523	A1	20070816	US 2007-554287	20070212
PRIORITY APPLN. INFO.:				US 2002-375622P	P 20020426
				US 2002-375665P	P 20020426
				US 2002-375779P	P 20020426
				US 2002-375834P	P 20020426
				CN 2003-812478	A3 20030425
				CN 2003-814963	A3 20030425
				US 2003-423496	A2 20030425
				US 2003-424130	A2 20030425
				US 2003-424186	A2 20030425
				US 2003-465721P	P 20030425
				US 2003-465810P	P 20030425
				US 2003-465824P	P 20030425
				WO 2003-US12901	A 20030425
				WO 2003-US12926	A 20030425
				WO 2003-US12943	W 20030425
				US 2003-513532P	P 20031024
				US 2003-513542P	P 20031024
				US 2003-514241P	P 20031024
				US 2003-514299P	P 20031024
				US 2003-514894P	P 20031029
				US 2003-514925P	P 20031029
				WO 2003-EP12423	W 20031106
				WO 2004-US35083	A 20041022

GI



AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = [Y2(CR2R2)1-12]0-12Y2W6; A2 = [Y2(CR2R2)1-12]0-12Y2W3; W3 = substituted

(hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SO0-2, or SO0-2SO0-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SO-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SORx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5 = substituted alkyl, alkenyl, or alkynyl; or pharmaceutically acceptable salts, hydrates, and formulations thereof] and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Libraries of such compds. were screened optionally using the novel enzyme GS-7340 ester hydrolase. Compns. and methods relating to GS-7340 ester hydrolase also are provided. Examples include preps. for non-nucleoside phosphonate protease inhibitors. In addition, extensive biol. data regarding PBMC uptake and metabolism, serum stability, and alkaline phosphatase protease inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III (Ki ≤10 pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.

IT 190444-94-1F

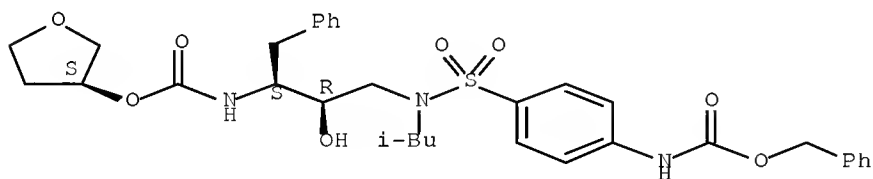
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 190444-94-1 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 622866-24-4F 622866-25-5P 622866-26-6P  
622866-27-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

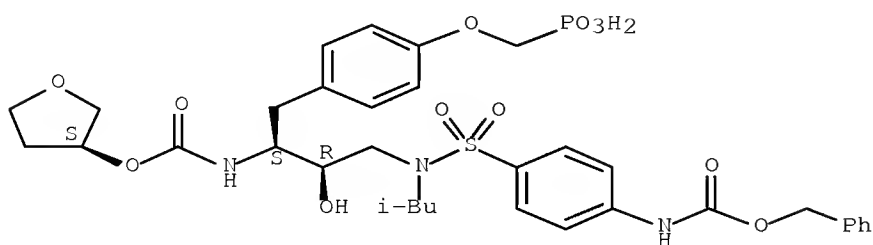
(protease inhibitor; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 622866-24-4 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-[[4-

(phosphonomethoxy)phenyl)methyl]propyl]-, C-[(3S)-tetrahydro-3-furanyl]  
ester (9CI) (CA INDEX NAME)

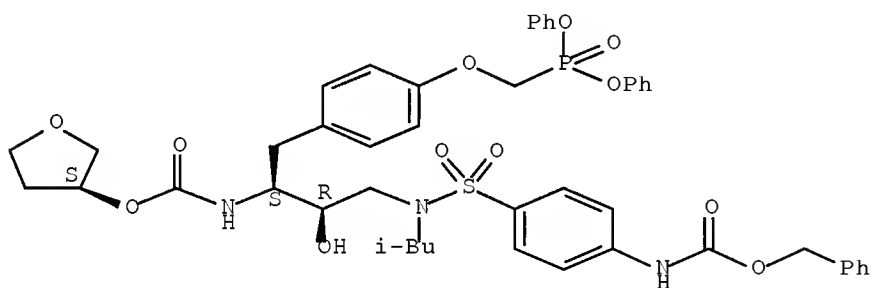
Absolute stereochemistry.



RN 622866-25-5 CAPLUS

CN Carbamic acid, [(1S,2R)-1-[[4-[(diphenoxyphosphinyl)methoxy]phenyl]methyl]-2-hydroxy-3-[(2-methylpropyl)[[4-[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

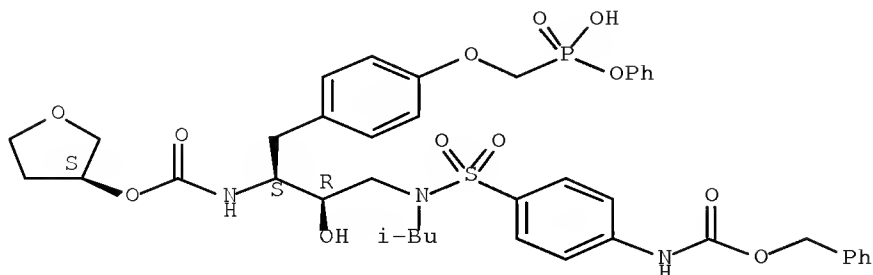
Absolute stereochemistry.



RN 622866-26-6 CAPLUS

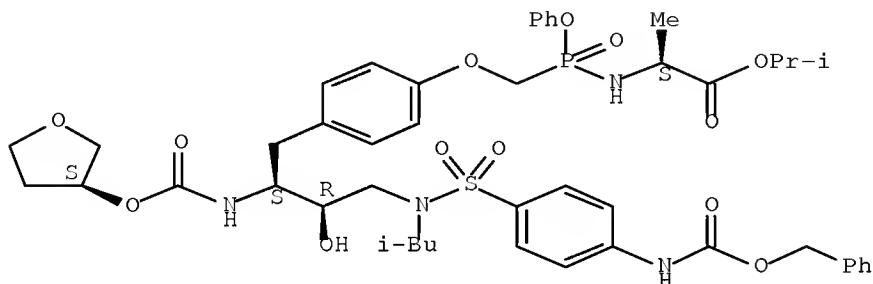
CN Carbamic acid, [4-[[[(2R,3S)-2-hydroxy-4-[4-[(hydroxyphenoxyphosphinyl)methoxy]phenyl]-3-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 622866-27-7 CAPLUS  
 CN L-Alanine, N-[[[4-[(2S,3R)-3-hydroxy-4-[(2-methylpropyl)[[4-  
 [[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-2-[[[(3S)-  
 tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl]phenoxy]methyl]phenoxyphosph  
 inyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 14 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:875071 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 139:381609

TITLE: Preparation of phosphonate analogs of HIV protease inhibitors with improved cellular accumulation properties

INVENTOR(S): Arimilli, Murty N.; Becker, Mark M.; Bryant, Clifford; Chen, James M.; Chen, Xiaowu; Dastgah, Azar; Fardis, Maria; He, Gong-Xin; Jin, Haolun; Kim, Choung U.; Lee, William A.; Lee, Christopher P.; Lin, Kuei-Ying; Liu, Hongtao; Mackman, Richard L.; Mitchell, Michael L.; Nelson, Peter H.; Pyun, Hyung-Jung; Rowe, Tanisha D.; Sparacino, Mark; Swaminathan, Sundaramoorthi; Tario, James D.; Wang, Jianying; Williams, Matthew A.; Xu, Lianhong; Yang, Zheng-Yu; Yu, Richard H.; Zhang, Jiancun; Zhang, Lijun

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA

SOURCE: PCT Int. Appl., 1727 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003090690	A2	20031106	WO 2003-US12901	20030425
WO 2003090690	A3	20040624		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2481261	A1	20031106	CA 2003-2481261	20030425
AU 2003231765	A1	20031110	AU 2003-231765	20030425
BR 2003009573	A	20050201	BR 2003-9573	20030425
EP 1509537	A2	20050302	EP 2003-747326	20030425
EP 1509537	B1	20070718		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2005523912	T	20050811	JP 2003-587329	20030425
CN 1656109	A	20050817	CN 2003-812478	20030425
AT 367394	T	20070815	AT 2003-747326	20030425
CN 101041669	A	20070926	CN 2006-10154203	20030425
NZ 535828	A	20071026	NZ 2003-535828	20030425
CN 101074242	A	20071121	CN 2007-10085746	20030425
ES 2290485	T3	20080216	ES 2003-747326	20030425
WO 2004096818	A2	20041111	WO 2003-EP12423	20031106
WO 2004096818	A3	20050407		

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003291998	A1	20041123	AU 2003-291998	20031106
EP 1620445	A2	20060201	EP 2003-767521	20031106

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK

JP 2006524487	T	20061102	JP 2004-571244	20031106
US 20050239054	A1	20051027	US 2003-740694	20031222
IN 2004DN03045	A	20070413	IN 2004-DN3045	20041005
MX 2004010527	A	20041213	MX 2004-10527	20041022
ZA 2004009376	A	20050914	ZA 2004-9376	20041122
ZA 2004009377	A	20060329	ZA 2004-9377	20041122
HR 2004001113	B1	20080930	HR 2004-1113	20041124
NO 2004005150	A	20050126	NO 2004-5150	20041125
HK 1073467	A1	20080627	HK 2005-104147	20050517
US 20070010489	A1	20070111	US 2005-511998	20050725
US 20070190523	A1	20070816	US 2007-554287	20070212

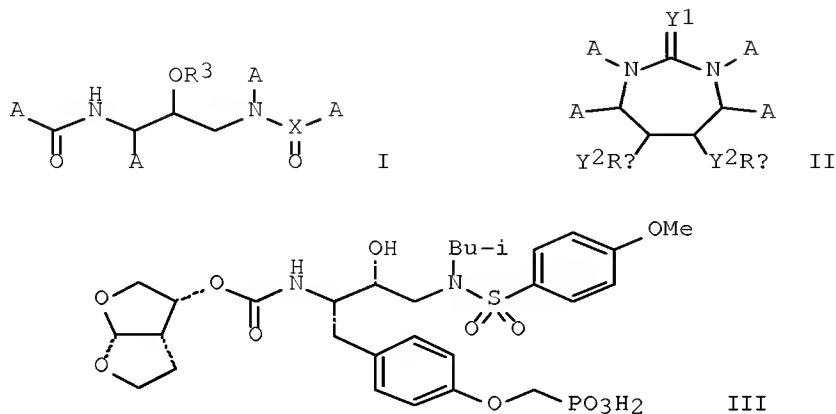
PRIORITY APPLN. INFO.:

US 2002-375622P	P	20020426
US 2002-375665P	P	20020426
US 2002-375779P	P	20020426
US 2002-375834P	P	20020426
CN 2003-812478	A3	20030425
CN 2003-814963	A3	20030425
US 2003-423496	A2	20030425
US 2003-424130	A2	20030425
US 2003-424186	A2	20030425
US 2003-465721P	P	20030425
US 2003-465810P	P	20030425
US 2003-465824P	P	20030425
WO 2003-US12901	W	20030425
WO 2003-US12926	A	20030425
WO 2003-US12943	A	20030425

OTHER SOURCE(S):

MARPAT 139:381609

GI



AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = [Y2(CR2R2)1-12]0-12Y2W6; A2 = [Y2(CR2R2)1-12]0-12Y2W3; W3 = substituted (hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SO0-2, or SO0-2SO0-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SO-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5 = substituted alkyl, alkenyl, or alkynyl; or pharmaceutically acceptable salts, hydrates, and formulations thereof] and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Examples include preps. for non-nucleoside saquinavir-like, lopinavir-like, ritonavir-like, indinavir-like, atazanavir-like, nefinavir-like, tipranavir-like, amprenavir-like, KNI-like, and cyclic carbonyl-like phosphonate protease inhibitors. In addition, extensive biol. data regarding PBMC uptake and metabolism, serum stability, and alkaline phosphatase protease inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III ( $K_i \leq 10$  pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.

IT 190444-94-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

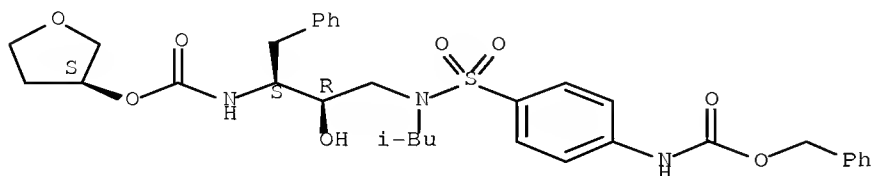
(intermediate; preparation of phosphonate-substituted HIV protease

inhibitors for treatment of AIDS and other viral infections)

RN 190444-94-1 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-  
[[ (phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-  
(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.



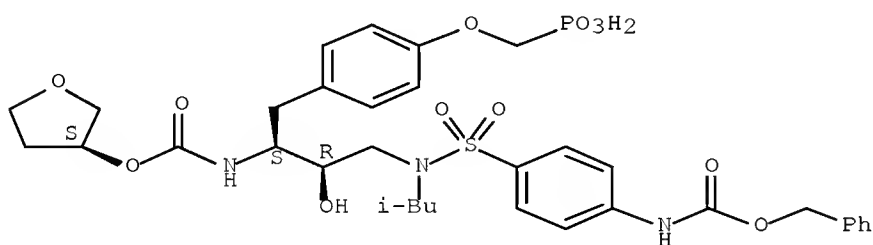
IT 622866-24-4P 622866-25-5P 622866-26-6P  
622866-27-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(protease inhibitor; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 622866-24-4 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-  
[[ (phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-[[4-  
(phosphonomethoxy)phenyl]methyl]propyl]-, C-[(3S)-tetrahydro-3-furanyl]  
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

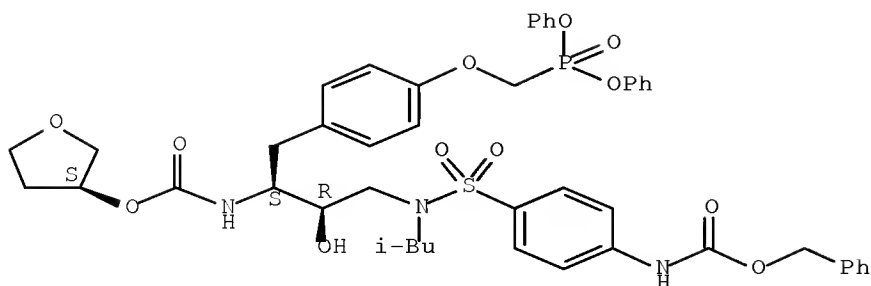


RN 622866-25-5 CAPLUS

CN Carbamic acid, [(1S,2R)-1-[[4-[(diphenoxyphosphinyl)methoxy]phenyl]methyl]-  
2-hydroxy-3-[(2-methylpropyl)[[4-  
[[ (phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]propyl]-,  
(3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

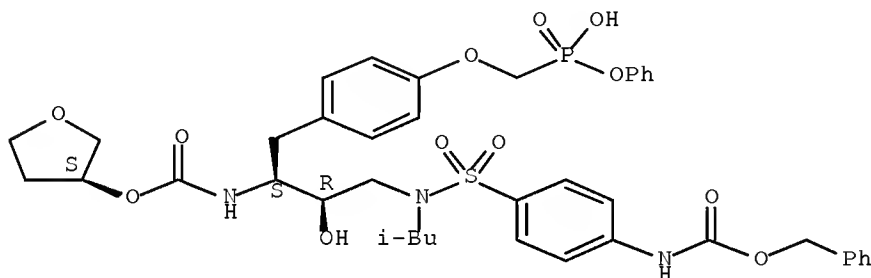




RN 622866-26-6 CAPLUS

CN Carbamic acid, [4-[[[(2R,3S)-2-hydroxy-4-[4-[(hydroxyphenoxyphosphinyl)methoxy]phenyl]-3-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

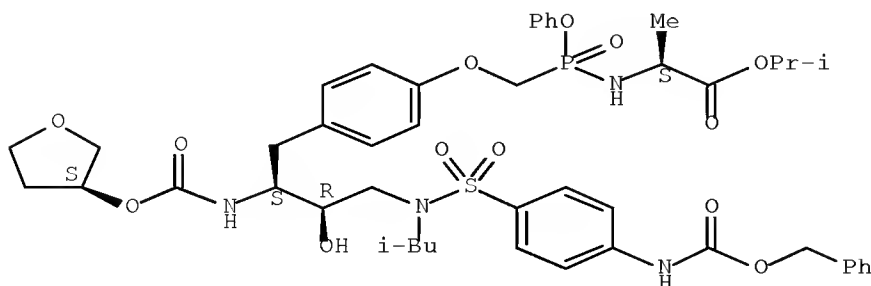
Absolute stereochemistry.



RN 622866-27-7 CAPLUS

CN L-Alanine, N-[[[4-[(2S,3R)-3-hydroxy-4-[(2-methylpropyl) [[4-[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-2-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl]phenoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 15 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:737734 CAPLUS Full-text

DOCUMENT NUMBER: 139:261299

TITLE: Preparation of broad spectrum substituted  
benzimidazolesulfonamide HIV protease inhibitors

INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Wigerinck,  
Piet Tom Bert Paul; Voets, Marieke Christiane Johanna;  
Vendeville, Sandrine Marie Helene; De Kock, Herman  
Augustinus; Vergouwen, Bernhard Joanna Bernard

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

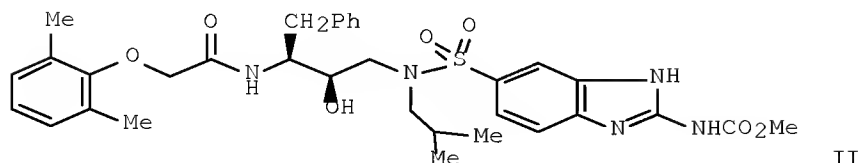
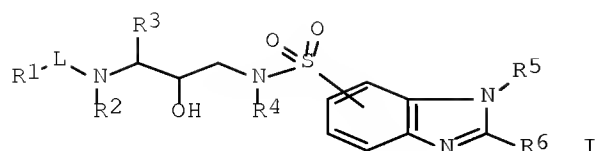
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076413	A1	20030918	WO 2003-EP50057	20030312
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2479012	A1	20030918	CA 2003-2479012	20030312
AU 2003219159	A1	20030922	AU 2003-219159	20030312
BR 2003003373	A	20040323	BR 2003-3373	20030312
EP 1485358	A1	20041215	EP 2003-714954	20030312
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005519952	T	20050707	JP 2003-574633	20030312
CN 1653053	A	20050810	CN 2003-810472	20030312
ZA 2004007242	A	20051004	ZA 2004-7242	20040909
US 20050171175	A1	20050804	US 2004-508561	20040910
MX 2004008929	A	20041126	MX 2004-8929	20040913
PRIORITY APPLN. INFO.:			EP 2002-75999	A 20020312
			WO 2003-EP50057	W 20030312

OTHER SOURCE(S): MARPAT 139:261299

GI



AB Title compds. I [R1 = H, alkyl, alkenyl, aralkyl, aralkenyl, cycloalkyl, cycloalkylalkyl, aryl, heterocyclic, heterocyclylalkyl, aminoalkyl; R2 = H, alkyl; R3 = (un)substituted alkyl, aryl, cycloalkyl; R4 = H, (un)substituted CO2H, CONH2, cycloalkyl, alkenyl, alkynyl, OH, NH2; R5 = H, (un)substituted alkyl; R6 = H, (un)substituted alkyl, NH2; L = CO, CO2, (un)substituted NHCO, OXCO, NHXCO, SO2, SO3, NHSO2, NHXSO2, where either CO or SOI2 is attached to NR2; X = alkanediyl] were prepared. Thus, Me 2-benzimidazolecarbamate was chlorosulfonylated, treated with (1S,2R)-PhCH2CH(NHBoc)CH(OH)CH2NHCH2CHMe2, deblocked, and treated with 2,6-Me2C6H3OCH2CO2H to give the title compound II which had pIC50 against HIV-1 strain LAI of 8.5.

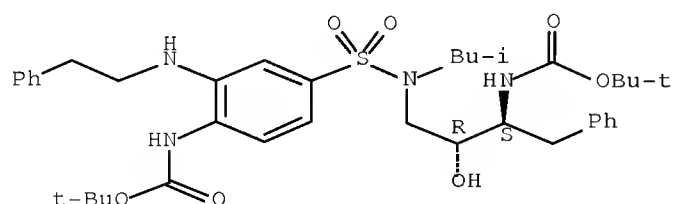
IT 602312-16-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of broad spectrum substituted benzimidazolesulfonamide HIV protease inhibitors)

RN 602312-16-3 CAPLUS

CN Carbamic acid, [4-[[[(2R,3S)-3-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-2-[(2-phenylethyl)amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:58128 CAPLUS Full-text

DOCUMENT NUMBER: 138:105628

TITLE: Activated haptens comprising HIV protease inhibitor conjugates for raising antibodies useful in immunoassay

INVENTOR(S): Deras, Ina; Hui, Raymond; Sigler, Gerald F.; Huber, Erasmus J.; Von der Eltz, Herbert W.; Ghoshal, Mitali; Root, Richard Terry; Metz, Sigrun

PATENT ASSIGNEE(S): Roche Diagnostics G.m.b.H., Germany; F. Hoffmann-La Roche A.-G.

SOURCE: PCT Int. Appl., 96 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 23

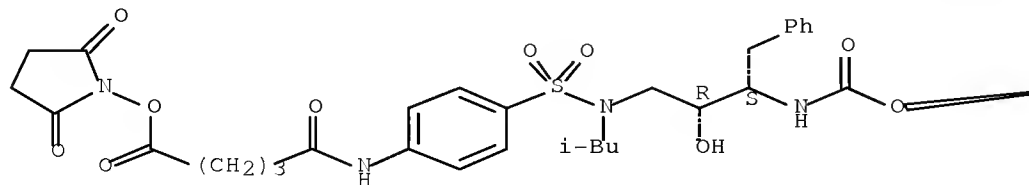
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003006506	A2	20030123	WO 2002-EP7843	20020715
WO 2003006506	A3	20031106		
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CA 2449243	A1	20030123	CA 2002-2449243	20020715
AU 2002321216	A1	20030129	AU 2002-321216	20020715
EP 1409546	A2	20040421	EP 2002-754883	20020715
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JP 2005508877	T	20050407	JP 2003-512276	20020715
US 20080036187	A1	20080214	US 2007-622070	20070111
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			US 2001-305192P	P 20010713
			US 1992-878571	B2 19920505
			US 1993-40978	B1 19930331
			US 1995-505036	A1 19950721
			US 1997-905877	A2 19970804
			US 1999-409625	A2 19991001
			US 1999-448337	A2 19991123
			US 1999-448338	A2 19991123
			US 2000-639299	A2 20000815
			US 2002-58706	A2 20020128
			US 2002-114533	A2 20020402
			US 2002-192052	A 20020710
			WO 2002-EP7843	W 20020715
			US 2003-365129	A2 20030212
AB	Activated haptens useful for generating immunogens to HIV protease inhibitors, immunogens useful for producing antibodies to HIV protease inhibitors, and antibodies and labeled conjugates useful in immunoassays for HIV protease inhibitors. The novel haptens feature an activated functionality at the central, non-terminal hydroxyl group common to all HIV protease inhibitors, e.g., saquinavir, nelfinavir, indinavir, amprenavir, ritonavir and lopinavir.			
IT	485799-62-0P 485799-63-1P			
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)			
	(activated haptens comprising HIV protease inhibitor conjugates for raising antibodies useful in immunoassay)			
RN	485799-62-0 CAPLUS			
CN	Pentanoic acid, 5-[[4-[[[(2R,3S)-2-hydroxy-4-phenyl-3-[[[(3S)-tetrahydro-			

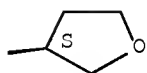
3-furanyl]oxy]carbonyl]amino]butyl] (2-methylpropyl)amino]sulfonyl]phenyl]amino]-5-oxo-, 2,5-dioxo-1-pyrrolidinyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



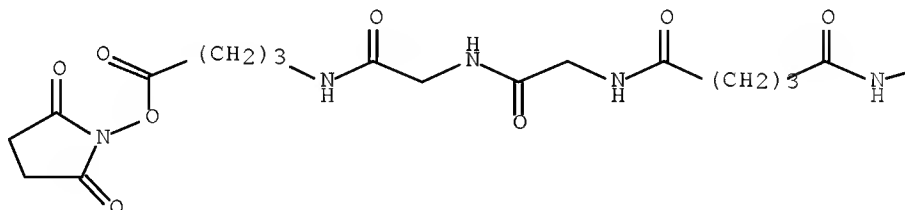
PAGE 1-B



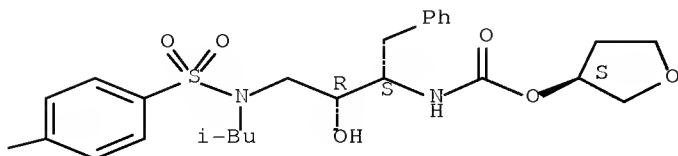
RN 485799-63-1 CAPLUS  
 CN Glycinamide, N-[5-[[4-[[[(2R,3S)-2-hydroxy-4-phenyl-3-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl] (2-methylpropyl)amino]sulfonyl]phenyl]amino]-1,5-dioxopentyl]glycyl-N-[4-[(2,5-dioxo-1-pyrrolidinyl)oxy]-4-oxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



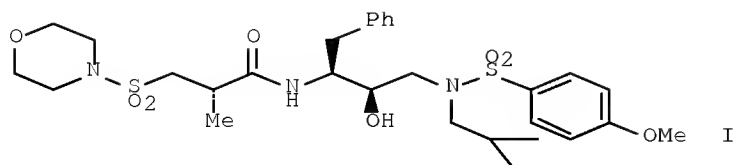
PAGE 1-B



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2000:785899 CAPLUS Full-text  
DOCUMENT NUMBER: 133:335236  
TITLE: Preparation of hydroxyethylamino bis-sulfonamides as retroviral protease inhibitors  
INVENTOR(S): Freskos, John N.; Getman, Daniel P.; Talley, John J.; Sikorski, James A.  
PATENT ASSIGNEE(S): G.D. Searle and Co., USA  
SOURCE: U.S., 60 pp., Cont.-in-part of U.S. Ser. No. 376,337, abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6143747	A	20001107	US 1998-875025	19980226
WO 9622287	A1	19960725	WO 1996-US607	19960118
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
EP 1586558	A2	20051019	EP 2005-13695	19960118
EP 1586558	A3	20051026		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 6384036	B1	20020507	US 2000-635896	20000811
US 20030013751	A1	20030116	US 2002-76607	20020219
US 20040063771	A1	20040401	US 2003-417340	20030417
US 7091219	B2	20060815		
US 20060199822	A1	20060907	US 2006-349352	20060208
US 7297793	B2	20071120		
US 20080176842	A1	20080724	US 2007-940035	20071114
PRIORITY APPLN. INFO.:				
			US 1995-376337	B2 19950120
			WO 1996-US607	W 19960118
			EP 1996-902700	A3 19960118
			US 1998-875025	A1 19980226
			US 2000-635896	A1 20000811
			US 2002-76607	A1 20020219
			US 2003-417340	A1 20030417
			US 2006-349352	A1 20060208
OTHER SOURCE(S): MARPAT 133:335236				
GI				



AB R10R11NSOw(CR7R8)tCHR1C(:Y)NR6CHR2CH(OH)NR3SOxR4 [R1 = H, CH2SO2NH2, CH2CO2Me, CO2Me, CONH2, alkyl, alkenyl, alkynyl, heterocyclyl, amino acid sidechain, etc.; R2 = (substituted) alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl, heteroaryl, heteroaralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocyclyl, heteroaryl, etc.; R4 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heteroaryl, heterocyclyl, etc.; R6, R8 = H, alkyl; R7 = CO2H, amidino, N-alkylamidino, R1; R1R7 = atoms to form a (heterocyclic) ring; R10, R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, arylcarbonylalkyl, thioalkyl, etc.; R10R11N = heterocyclyl, heteroaryl, etc.; x, w = 0, 1, 2; t = 0-6; Y = O, S, NH], were prepared Thus, 3-(N-morpholinosulfonyl)-2(R)-methylpropionic acid (preparation given) in DMF at 0° was treated with hydroxybenzotriazole and EDC followed by addition of 3S-amino-1-[N-(2-methylpropyl)-N-(4-methoxyphenylsulfonyl)amino]-4-phenyl-2R-butanol (preparation given) in DMF to give 67% title compound (I). This inhibited HIV-1 in CEM cells with IC50 = 10 nM.

IT 157567-04-9 247047-35-4

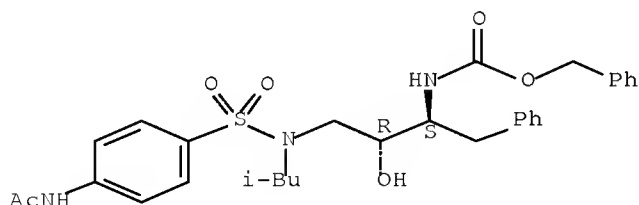
RL: PRPH (Prophetic)

(Preparation of hydroxyethylamino bis-sulfonamides as retroviral protease inhibitors)

RN 157567-04-9 CAPLUS

CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

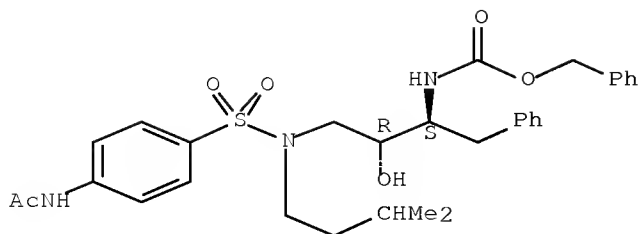
Absolute stereochemistry.



RN 247047-35-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:573770 CAPLUS Full-text

DOCUMENT NUMBER: 133:177157

TITLE: Preparation of  
[1-benzyl-2-hydroxy-3-(arylsulfonamido)propyl]carbamates as HIV aspartyl protease inhibitors

INVENTOR(S): Hale, Michael R.; Baker, Christopher T.; Stammers, Timothy A.; Sherrill, Ronald G.; Spaltenstein, Andrew; Furfine, Eric S.; Maltais, Francois; Andrews, Clarence Webster, III; Miller, John F.; Samano, Vicente

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 369 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

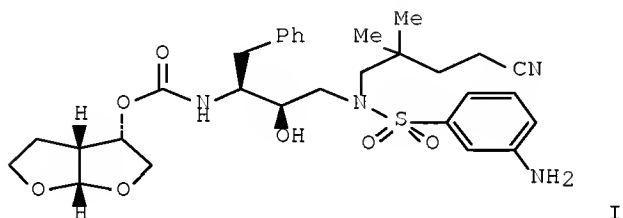
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047551	A2	20000817	WO 2000-US3288	20000209
WO 2000047551	A3	20010816		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6319946	B1	20011120	US 2000-500781	20000209
EP 1159278	A2	20011205	EP 2000-913402	20000209
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002536430	T	20021029	JP 2000-598472	20000209
AT 311391	T	20051215	AT 2000-913402	20000209
EP 1637518	A2	20060322	EP 2005-25977	20000209
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
ES 2254156	T3	20060616	ES 2000-913402	20000209
PT 1159278	T	20060630	PT 2000-913402	20000209
TW 260322	B	20060821	TW 2000-89102108	20000209
US 20020198388	A1	20021226	US 2001-927271	20010809



US 6617350	B2	20030909		
US 20040127488	A1	20040701	US 2003-613650	20030702
US 7442718	B2	20081028		
US 20090042973	A1	20090212	US 2008-217517	20080702
PRIORITY APPLN. INFO.:			US 1999-120047P	P 19990212
			SY 2000-1090	A 20000207
			EP 2000-913402	A3 20000209
			US 2000-500781	A3 20000209
			WO 2000-US3288	W 20000209
			US 2001-927271	A3 20010809
			US 2003-613650	A3 20030702
OTHER SOURCE(S):	MARPAT 133:177157			
GI				



AB ABxN(Gx)CH(D)CH(OR<sup>7</sup>)CH<sub>2</sub>ND'E'E [wherein A = H, or (un)substituted Ht, R1Ht, or R1Ak; Ak = alkyl; Ht = cycloalkyl, cycloalkenyl, or (un)substituted aryl or heterocyclyl; R1 = CO(CO), (O)SO<sub>2</sub>, O<sub>2</sub>C, or (un)substituted NHSO<sub>2</sub> or NHCO(CO); B = (un)substituted NHCH<sub>2</sub>CO; x = 0 or 1; G = H, R<sup>7</sup>, alkyl; or G may be bound to R<sup>7</sup> to form a heterocyclic ring; R<sup>7</sup> = H, (CH<sub>2</sub>O)<sub>x</sub>Y(ZM)(:X)Z(M)x; etc.; M = H, Li, Na, K, Mg, Ca, Ba, alkyl, alkenyl, etc.; X = O or S; Y = P or S; Z = H, O, S, or (un)substituted NH<sub>2</sub>; D = independently Q or (un)substituted (cyclo)alkyl or (cyclo)alkenyl; Q = (un)substituted carbocyclyl or heterocyclyl; D' = (un)substituted alkyl, alkenyl, alkynyl; E = Ht, OHt, HtHt, alkoxy, (un)substituted NH<sub>2</sub>, alkyl, or carbocyclyl; E' = CO or SO<sub>2</sub>] were prepared as antiviral agents against HIV-1 and HIV-2 viruses. Thus, 3-NO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>Cl was added to tert-Bu (1S,2R)-N-[1-benzyl-3-[(4-cyano-2,2-dimethylbutyl)amino]-2-hydroxypropyl]carbamate (preparation given) to form the 3-nitrophenylsulfonamide (55%). Reduction to the 3-aminophenylsulfonamide (85%), followed by transesterification with [(3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl](4-nitrophenyl)carbonate (65%), gave I. In an antiviral activity assay, I inhibited HIV-1 protease in the MT4 cell line with Ki < 1 nM and IC<sub>50</sub> < 0.1 μM.

IT 288291-58-7P

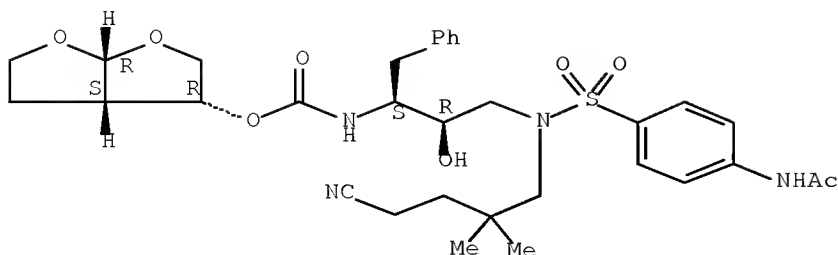
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(HIV inhibitor; preparation of heterocyclyl arylsulfonamidopropylcarbamate HIV protease inhibitors by reductive alkylation of amines and subsequent addition of arylsulfonyl chlorides)

RN 288291-58-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetilamino)phenyl]sulfonyl](4-cyano-2,2-dimethylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:304314 CAPLUS Full-text

DOCUMENT NUMBER: 132:322147

TITLE: Preparation of  $\alpha$ - and  $\beta$ -amino acid hydroxyethylamino sulfonamides as retro viral protease inhibitors.

INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Heintz, Robert M.; Bertenshaw, Deborah E.

PATENT ASSIGNEE(S): G.D.Searle and Co., USA

SOURCE: U.S., 93 pp., Cont.-in-part of Appl. PCT/US93/07814.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

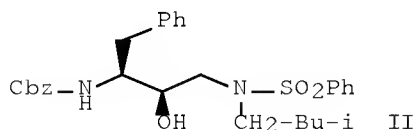
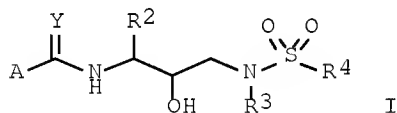
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6060476	A	20000509	US 1994-204827	19940302
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W:	AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE			
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN			
RW:	KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9476697	A	19950321	AU 1994-76697	19940823
EP 715618	A1	19960612	EP 1994-927162	19940823
EP 715618	B1	19981216		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			
AT 174587	T	19990115	AT 1994-927162	19940823
ES 2127938	T3	19990501	ES 1994-927162	19940823
US 5968942	A	19991019	US 1994-294468	19940823

US 6455581	B1	20020924	US 1995-451090	19950525
US 6248775	B1	20010619	US 1999-288080	19990408
US 6500832	B1	20021231	US 2000-525161	20000314
US 20020052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
US 20030191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 20040044047	A1	20040304	US 2002-199481	20020722
US 6846954	B2	20050125		
US 6924286	B1	20050802	US 2003-633376	20030804
US 20040229922	A1	20041118	US 2004-812343	20040330
US 7115618	B2	20061003		
US 20050267171	A1	20051201	US 2005-110943	20050421
US 7141609	B2	20061128		
US 20060276493	A1	20061207	US 2006-433797	20060515
US 20070078173	A1	20070405	US 2006-526101	20060925
US 7320983	B2	20080122		
US 20090023664	A1	20090122	US 2007-952520	20071207
PRIORITY APPLN. INFO.:			US 1992-934984	B2 19920825
			WO 1993-US7814	A2 19930824
			EP 1993-923714	A3 19930824
			US 1993-110911	A 19930824
			US 1994-204827	A 19940302
			US 1994-294468	A1 19940823
			WO 1994-US9139	W 19940823
			US 1995-451090	A3 19950525
			US 1999-288080	A1 19990408
			US 2001-798255	A1 20010305
			US 2002-119481	A3 20020410
			US 2002-157019	A1 20020530
			US 2002-199481	A3 20020722
			US 2003-633376	A1 20030804
			US 2004-812343	A1 20040330
			US 2005-110943	A1 20050421
			US 2006-526101	A1 20060925

OTHER SOURCE(S):                    MARPAT 132:322147

GI



AB    Amino acid hydroxyethylamino sulfonamide compds. I [R2 = (un)substituted aryl, (cyclo)alkyl, aralkyl, cycloalkylalkyl; R3 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxy-, alkoxy-, alkylthio-, or alkylsulfonylalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, or heteroaralkyl; R4 = heterocycloalkyl, heteroaryl or aryl; Y = O or

S; A = heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkoxy, heteroaralkyl, heteroarylalkoxy, heteroaryloxy or heteroaryl] were prepared as retroviral protease inhibitors, particular as inhibitors of HIV protease. Thus, compound II (Cbz = benzyloxycarbonyl) was prepared and assayed for HIV inhibitory activity (IC<sub>50</sub> = 16 nM). Compds. of formula I were tested for cytotoxicity and efficacy (IC<sub>50</sub>, EC<sub>50</sub> and TD<sub>50</sub> values at the nanomolar level are tabulated).

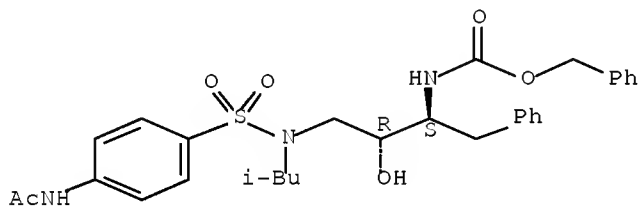
IT 157567-04-9 160230-21-7 247047-35-4  
1097219-33-4 1097999-40-0 1097999-43-3  
RL: PRPH (Prophetic)

(Preparation of  $\alpha$ - and  $\beta$ -amino acid hydroxyethylamino sulfonamides as retro viral protease inhibitors.)

RN 157567-04-9 CAPLUS

CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

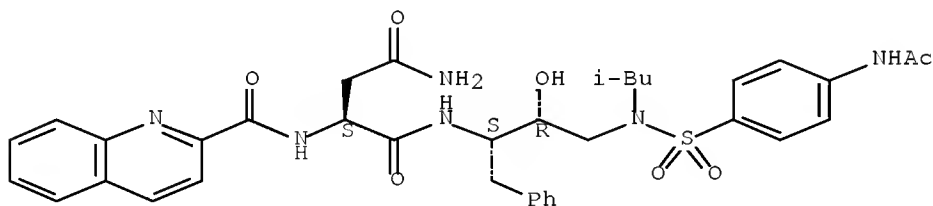
Absolute stereochemistry.



RN 160230-21-7 CAPLUS

CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

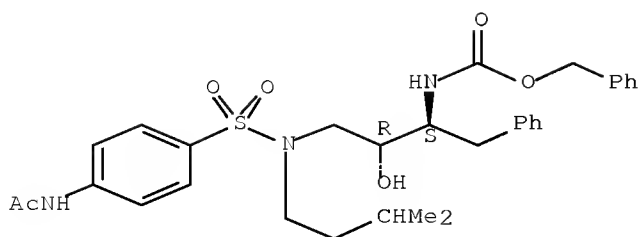
Absolute stereochemistry.



RN 247047-35-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

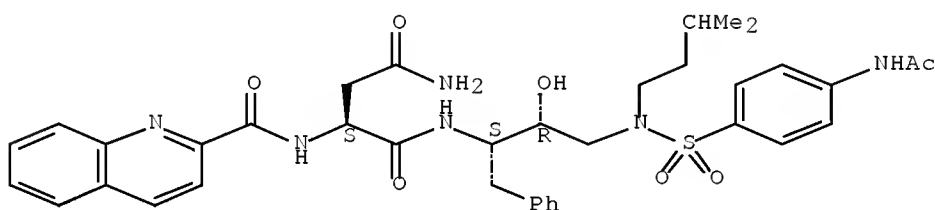
Absolute stereochemistry.



RN 1097219-33-4 CAPLUS

CN Butanediol, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

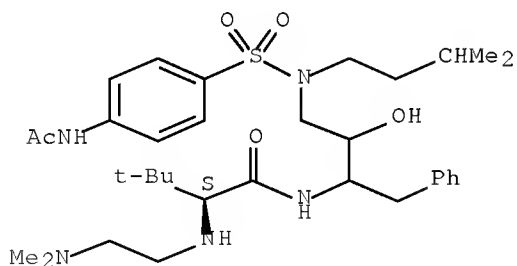
Absolute stereochemistry.



RN 1097999-40-0 CAPLUS

CN Butanediol, N-[[3-[[[4-(acetylamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[[2-(dimethylamino)ethyl]amino]-3,3-dimethyl]-, (2S)- (CA INDEX NAME)

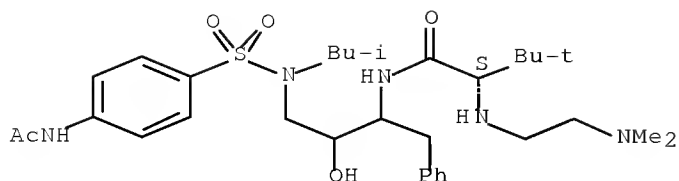
Absolute stereochemistry.



RN 1097999-43-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:220728 CAPLUS Full-text

DOCUMENT NUMBER: 132:265504

TITLE: Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors.

INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertebshaw, Deborah E.; Heintz, Robert M.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: U.S., 119 pp., Cont.-in-part of U.S. 204,872, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6046190	A	20000404	US 1996-586866	19960124
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W:	AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE			
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN			
RW:	KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:  
 US 1992-934984 B2 19920825  
 WO 1993-US7814 A2 19930824  
 US 1994-204872 B2 19940302  
 WO 1994-US9139 W 19940823  
 EP 1993-923714 A3 19930824  
 US 1993-110911 A 19930824  
 US 1994-204827 A 19940302

OTHER SOURCE(S): MARPAT 132:265504

AB Hydroxyethylamino sulfonamide compds.

R9R10N(CR7R8)pCHR1C(:Y)NR6CHR2CH(OH)CH2NR3S(:O)xR4 [I: R1 = H, CH2SO2NH2,

CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R<sub>2</sub> = (un)substituted alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R<sub>3</sub> = H, alkyl, haloalkyl, alkenyl, alkynyl, aryl, heteroaryl, mono- and disubstituted aminoalkyl, etc.; R<sub>4</sub> = alkyl, haloalkyl, alkenyl, alkynyl, aryl, (un)saturated heterocycle, (un)substituted aromatic heterocycloalkyl, etc.; R<sub>6</sub> = H, alkyl; Y = O, S, NR<sub>3</sub>; R<sub>7</sub>, R<sub>8</sub> = independently H, R<sub>1</sub>, or together with R<sub>1</sub> and the carbon atoms to which they are attached represent a cycloalkyl radical; R<sub>9</sub> = H, R<sub>3</sub>, or R<sub>3</sub>SO<sub>2</sub>; R<sub>10</sub> = H, alkoxy carbonyl, alkyl carbonyl, aroyl, aryloxy carbonyl, heterocyclylalkoxy carbonyl, mono- and disubstituted aminocarbonyl, or aminoalkanoyl, etc.; or R<sub>9</sub>R<sub>10</sub>N = heterocycloalkyl or heteroaryl; x = 0-2; p = 0-1] or their pharmaceutically acceptable salts, prodrugs, or esters were prepared as inhibitors of retroviral proteases such as human immunodeficiency virus (HIV). Many inhibitors were prepared by (1) preparing an N-protected amino epoxide and (2) reacting this with an amine and (3) preparing a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. Thus, N1-[2R-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl)amino]butanediamide was prepared and assayed for HIV protease inhibitory activity (IC<sub>50</sub> = 1.5 nM). Comps. of formula I were tested for cytotoxicity and antiviral efficacy (IC<sub>50</sub>, EC<sub>50</sub>, and TD<sub>50</sub> values at the nanomolar level are tabulated).

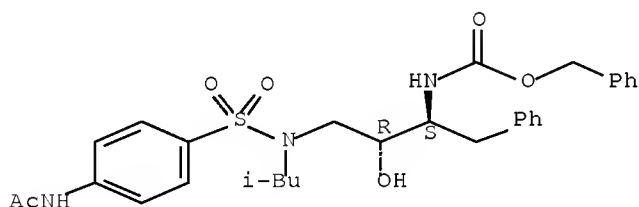
IT 157567-04-SP

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 157567-04-9 CAPLUS

CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetyl amino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:670116 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 131:295568

TITLE:  $\alpha$ - and  $\beta$ -Amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors

INVENTOR(S): Vazques, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.

PATENT ASSIGNEE(S): G. D. Searle and Co., USA

SOURCE: U.S., 130 pp., Cont.-in-part of U. S. 204,827.

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5968942	A	19991019	US 1994-294468	19940823
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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US 6060476	A	20000509	US 1994-204827	19940302
US 6248775	B1	20010619	US 1999-288080	19990408
US 20020052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
US 20030191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 6924286	B1	20050802	US 2003-633376	20030804
US 20050267171	A1	20051201	US 2005-110943	20050421
US 7141609	B2	20061128		
US 20060276493	A1	20061207	US 2006-433797	20060515
PRIORITY APPLN. INFO.:				
			US 1992-934984	B2 19920825
			WO 1993-US7814	A2 19930824
			US 1994-204827	A2 19940302
			EP 1993-923714	A3 19930824
			US 1993-110911	A2 19930824
			US 1994-294468	A1 19940823
			US 1999-288080	A1 19990408
			US 2001-798255	A1 20010305
			US 2002-157019	A1 20020530
			US 2003-633376	A1 20030804
			US 2005-110943	A1 20050421

OTHER SOURCE(S): MARPAT 131:295568

AB  $\alpha$ - And  $\beta$ -Amino acid hydroxyethylamino sulfonamide compds. are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, as well as effective in preventing the growth of retroviruses in a solution General and specific schemes for chemical synthesis of the sulfonamide-containing hydroxyethylamine inhibitor compds. are described. Seventy-eight such compds. were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).

IT 160230-21-7 1097219-33-4 1097221-24-3  
 1097221-30-1

RL: PRPH (Prophetic)

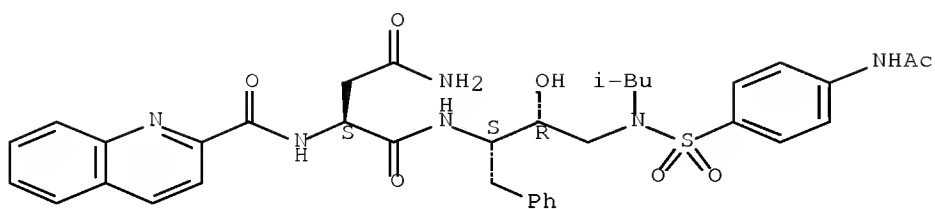
( $\alpha$ - and  $\beta$ -Amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 160230-21-7 CAPLUS

CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetyl amino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

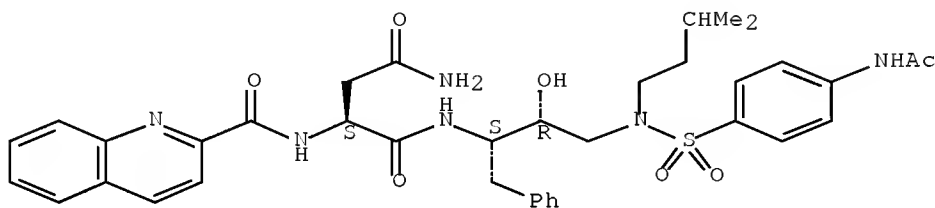




RN 1097219-33-4 CAPLUS

CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

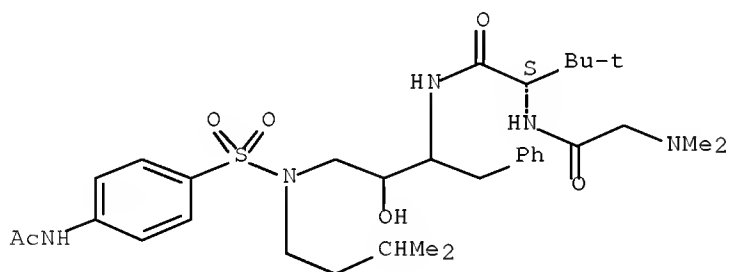
Absolute stereochemistry.



RN 1097221-24-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

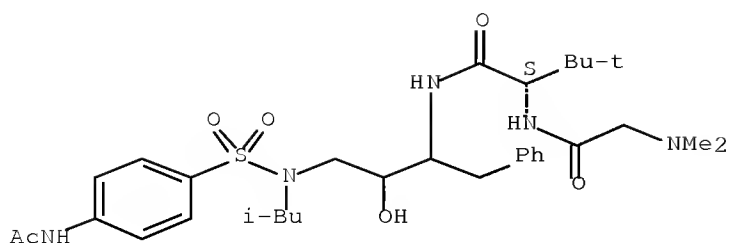
Absolute stereochemistry.



RN 1097221-30-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



IT 157567-04-9P

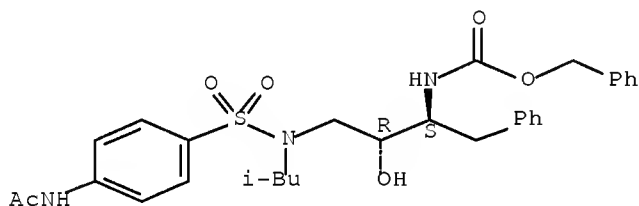
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

( $\alpha$ - and  $\beta$ -amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 157567-04-9 CAPLUS

CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 247047-35-4P

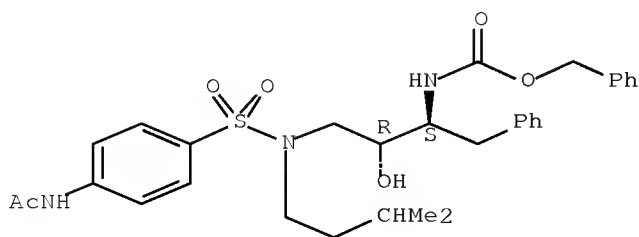
RL: SPN (Synthetic preparation); PREP (Preparation)

( $\alpha$ - and  $\beta$ -amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 247047-35-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



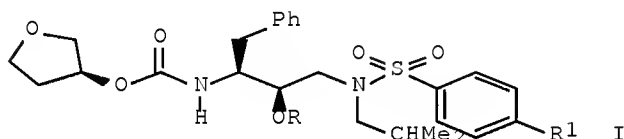
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1999:460409 CAPLUS Full-text  
 DOCUMENT NUMBER: 131:87805  
 TITLE: Preparation of amprenavir prodrugs as HIV protease inhibitors  
 INVENTOR(S): Tung, Roger D.; Hale, Michael R.; Baker, Christopher T.; Furfine, Eric Steven; Kaldor, Istvan; Kazmierski, Wieslaw Wieczyslaw; Spaltenstein, Andrew  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 110 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933815	A1	19990708	WO 1998-US4595	19980309
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
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US 6436989	B1	20020820	US 1997-998050	19971224
AU 9865466	A	19990719	AU 1998-65466	19980309
AU 755087	B2	20021205		
TR 200002615	T2	20010122	TR 2000-2615	19980309
BR 9814480	A	20010925	BR 1998-14480	19980309
EE 200000385	A	20011217	EE 2000-385	19980309
EE 4466	B1	20050415		
HU 2001001831	A2	20020429	HU 2001-1831	19980309
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AP 1172	A	20030630	AP 2000-1850	19980309
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NZ 505776	A	20030630	NZ 1998-505776	19980309
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CA 2231700	C	19990624	CA 1998-2231700	19980310
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JP 11209337	A	19990803	JP 1998-58705	19980310
JP 3736964	B2	20060118		
EP 933372	A1	19990804	EP 1998-104292	19980310
EP 933372	B1	20071226		
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AT 382042	T	20080115	AT 1998-104292	19980310
ES 2299193	T3	20080516	ES 1998-104292	19980310
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EP 1944300	A3	20081105		
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TW 486474	B	20020511	TW 1998-87121460	19981222
ZA 9811830	A	20000623	ZA 1998-11830	19981223

IN 1998CA02210	A	20051014	IN 1998-CA2210	19981223
HK 1021737	A1	20080718	HK 2000-100695	20000203
NO 2000003304	A	20000821	NO 2000-3304	20000623
NO 326265	B1	20081027		
MX 2000006315	A	20010219	MX 2000-6315	20000623
US 6559137	B1	20030506	US 2000-602494	20000623
BG 104631	A	20010228	BG 2000-104631	20000724
BG 64869	B1	20060731		
US 20030207871	A1	20031106	US 2003-370171	20030219
US 6838474	B2	20050104		
US 20050148548	A1	20050707	US 2004-958223	20041004
JP 2005350478	A	20051222	JP 2005-205007	20050713
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			WO 1998-US4595	W 19980309
			EP 1998-104292	A3 19980310
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			IN 1998-CA2210	A3 19981223
			US 2000-602494	A3 20000623
			US 2003-370171	A3 20030219

OTHER SOURCE(S):                    MARPAT 131:87805  
GI



AB ABNGxCHDCH(OR')CH2ND'SO2E [A = H, alkyl(carbonyl), aryl(carbonyl), etc.; B = bond or (un)substituted NHCH2CO; D,D' = (cyclo)alk(en)yl, heterocyclyl, etc.; E = (cyclo)alkyl(oxy), heterocyclyl(oxy), etc.; G = H, R7, alkyl, etc.; R7 = acyl(oxy)methyl; x = 0 or 1] were prepared Thus, analog I (R = H, R1 = NO2) was converted in 4 steps to I [R = P(O)(ONa)2, R1 = NH2](II). Data for bioavailability of II were given.

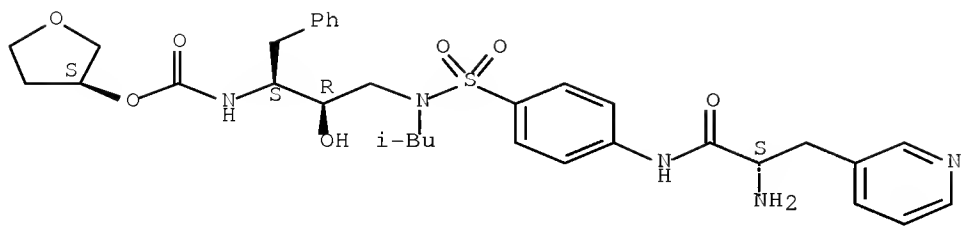
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229495-79-8P 229495-80-1P 229495-81-2P  
229495-82-3P 229495-83-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of amprenavir prodrugs as HIV protease inhibitors)

RN 229495-63-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

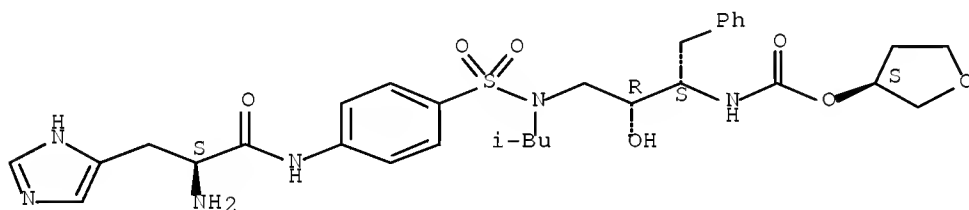
Absolute stereochemistry.



RN 229495-64-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[[(2S)-2-amino-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

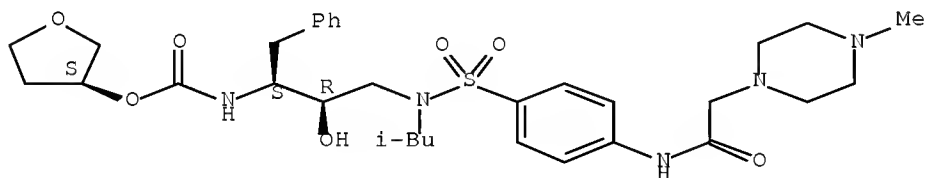
Absolute stereochemistry.



RN 229495-65-2 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[[(4-methyl-1-piperazinyl)acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

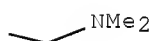
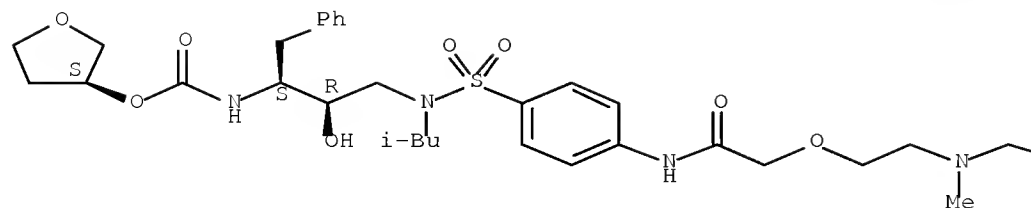
Absolute stereochemistry.



RN 229495-66-3 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[[2-[[2-(dimethylamino)ethyl]methylamino]ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

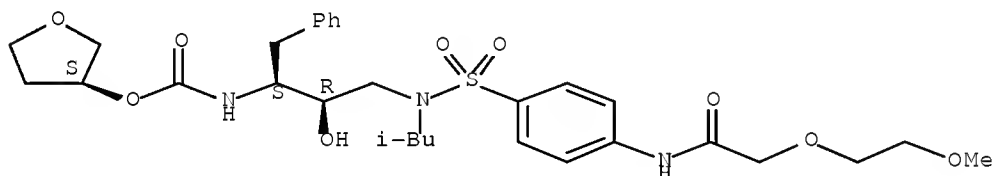
Absolute stereochemistry.



RN 229495-67-4 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[[2-methoxyethoxy)acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

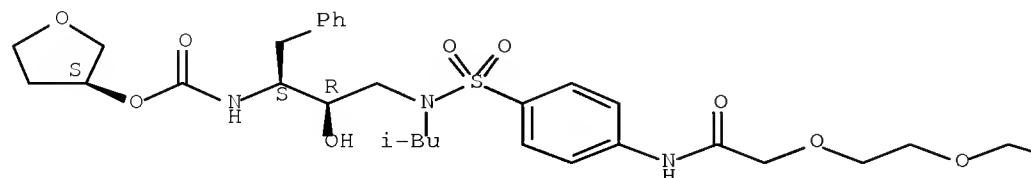
Absolute stereochemistry.



RN 229495-68-5 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[[2-(2-methoxyethoxy)ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

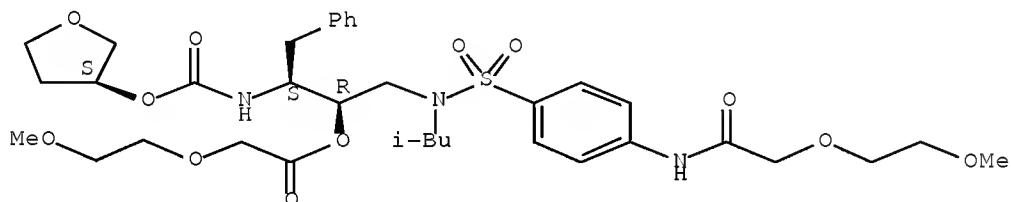
Absolute stereochemistry.





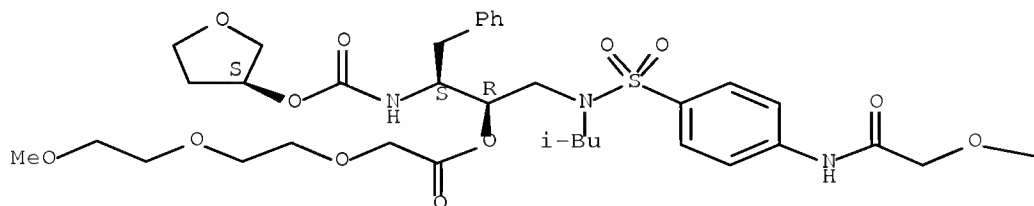
RN 229495-69-6 CAPLUS  
 CN 5,8,11-Trioxa-2-azadodecanoic acid,  
 4-[[[4-[[2-(2-methoxyethoxy)acetyl]amino]phenyl]sulfonyl](2-  
 methylpropyl)amino]methyl]-6-oxo-3-(phenylmethyl)-,  
 (3S)-tetrahydro-3-furanyl ester, (3S,4R)- (CA INDEX NAME)

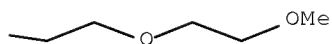
Absolute stereochemistry.



RN 229495-70-9 CAPLUS  
 CN 5,8,11,14-Tetraoxa-2-azapentadecanoic acid,  
 4-[[[4-[[2-[2-(2-methoxyethoxy)ethoxy]acetyl]amino]phenyl]sulfonyl](2-  
 methylpropyl)amino]methyl]-6-oxo-3-(phenylmethyl)-,  
 (3S)-tetrahydro-3-furanyl ester, (3S,4R)- (CA INDEX NAME)

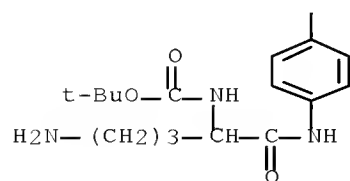
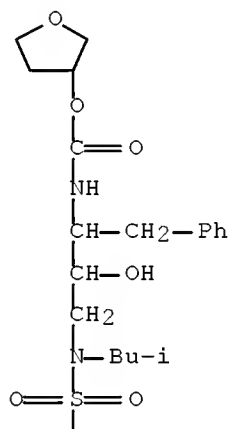
Absolute stereochemistry.





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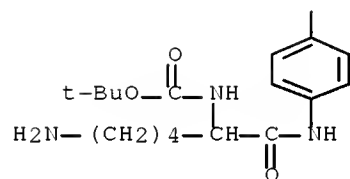
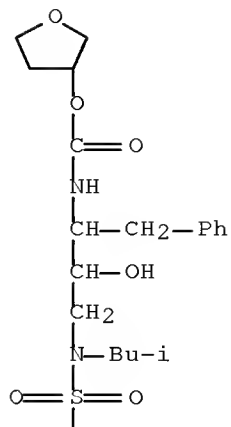
CN Carbamic acid, [3-[[[4-[[5-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopentyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)



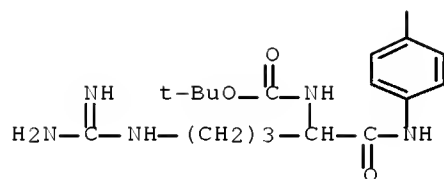
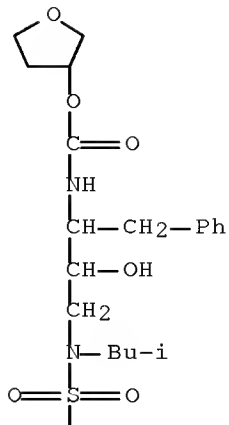
RN 229495-79-8 CAPLUS

CN Carbamic acid, [3-[[[4-[[6-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

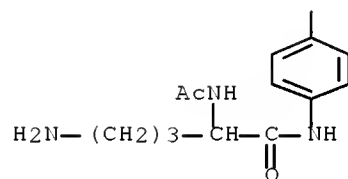
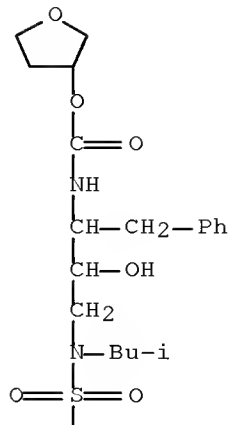




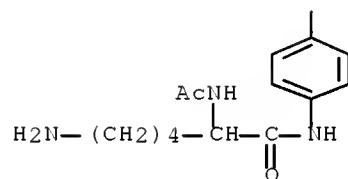
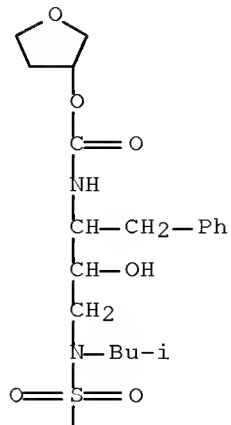
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 CN Carbamic acid, [3-[[[4-[[5-[(aminoiminomethyl)amino]-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopentyl]amino]phenyl]sulfonyl]](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)



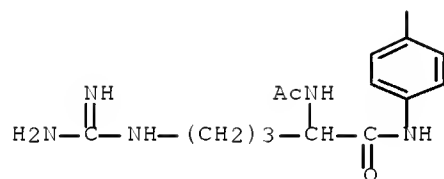
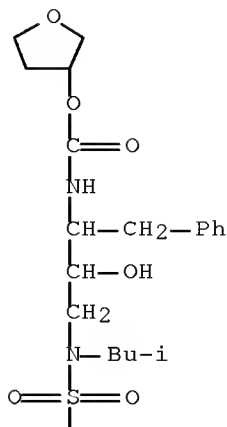
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 CN Carbamic acid, [3-[[[4-[[2-(acetylamino)-5-amino-1-oxopentyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)



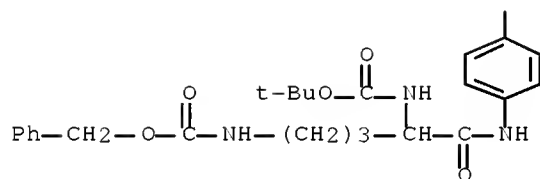
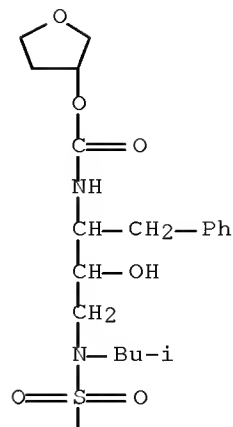
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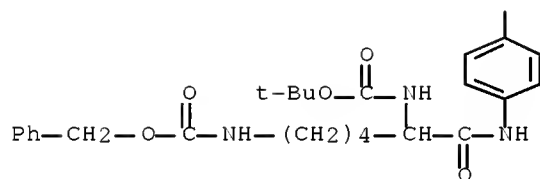
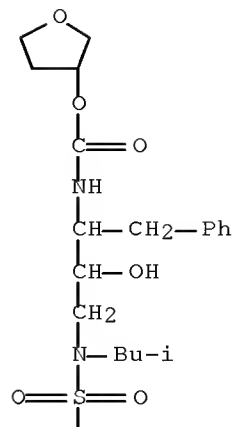
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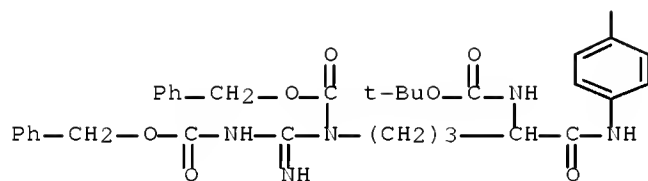
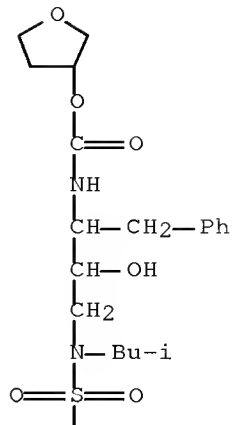
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 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of amprenavir prodrugs as HIV protease inhibitors)  
 RN 229496-00-8 CAPLUS  
 CN Carbamic acid, [4-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-5-oxopentyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 229496-01-9 CAPLUS  
 CN Carbamic acid, [5-[[[(1,1-dimethylethoxy)carbonyl]amino]-6-[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-6-oxohexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

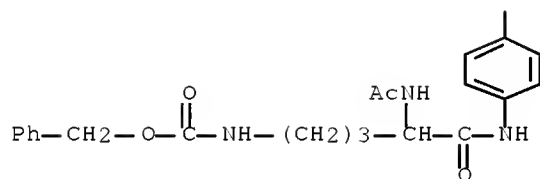
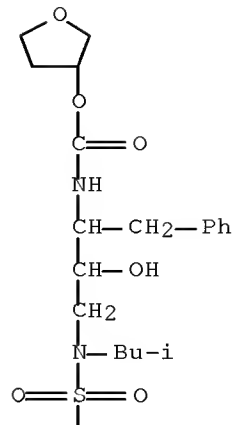


RN 229496-02-0 CAPLUS  
 CN 11-Oxa-2,7,9-triazadodecanoic acid,  
 3-[[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-  
 furanyl)oxy]carbonyl]amino]butyl](2-  
 methylpropyl)amino]sulfonyl]phenyl]amino]carbonyl]-8-imino-10-oxo-12-  
 phenyl-7-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester (CA INDEX  
 NAME)

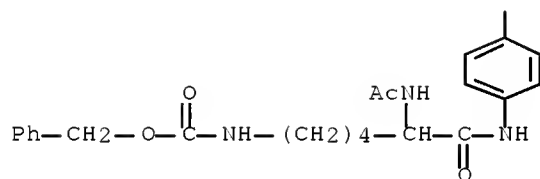
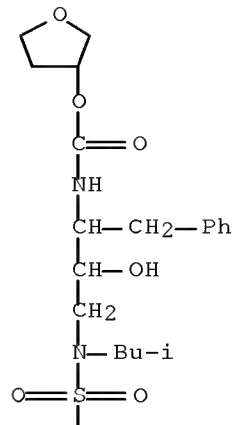


RN 229496-03-1 CAPLUS  
 CN Carbamic acid, [4-(acetylamino)-5-[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-5-oxopentyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

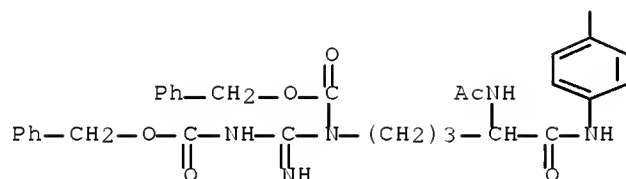
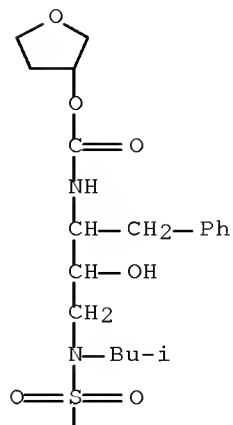




RN 229496-04-2 CAPLUS  
 CN Carbamic acid, [5-(acetylamino)-6-[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-6-oxohexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 229496-05-3 CAPLUS  
 CN 2-Oxa-4,6,11-triazatridecanoic acid,  
 10-[[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-  
 furanyl)oxy]carbonyl]amino]butyl](2-  
 methylpropyl)amino]sulfonyl]phenyl]amino]carbonyl]-5-imino-3,12-dioxo-1-  
 phenyl-, phenylmethyl ester (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:460393 CAPLUS Full-text

DOCUMENT NUMBER: 131:87804

TITLE: Preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors.

INVENTOR(S): Hale, Michael R.; Tung, Roger D.; Baker, Christopher T.; Spaltenstein, Andrew; Furfine, Eric Steven; Kaldor, Istvan; Kazmierski, Wieslaw Mieczyslaw

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933793	A2	19990708	WO 1998-US27424	19981223
WO 9933793	A3	19990910		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2316218	A1	19990708	CA 1998-2316218	19981223
AU 9920925	A	19990719	AU 1999-20925	19981223
BR 9814484	A	20001010	BR 1998-14484	19981223
EP 1042280	A2	20001011	EP 1998-965466	19981223

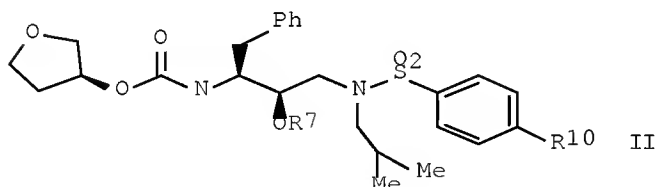
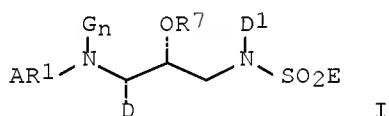
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EE 200000386	A	20011217	EE 2000-386	19981223
JP 2001527062	T	20011225	JP 2000-526477	19981223
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CN 1110492	C	20030604	CN 1998-813313	19981223
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IN 2000KN00131	A	20050311	IN 2000-KN131	20000713
HR 2000000499	A1	20010430	HR 2000-499	20000724
US 20020082249	A1	20020627	US 2001-998617	20011130
US 20030144217	A1	20030731	US 2002-226430	20020821

PRIORITY APPLN. INFO.:

US 1997-68889P	P	19971224
WO 1998-US27424	W	19981223
US 2000-602984	A1	20000623
US 2001-998617	B1	20011130

OTHER SOURCE(S): MARPAT 131:87804  
GI



AB Title compds. [I; R1 = CO, SO2, COCO, O2C, OSO2, NR2SO2, etc.; A = (benzo- or heterocyclo-fused) 5-7 membered heterocyclcyl(alkyl); D, D1 = Q, (substituted) alkyl, alkenyl, cycloalkyl, cycloalkenyl; G = H, R7, alkyl; E = Ht, OHt, HtHt, OR3, NR2R3, (substituted) alkyl, alkenyl, carbocyclcyl, etc.; GR7 = atoms to form a heterocyclic ring; Q = (substituted) (unsatd.) 3-7 membered carbocyclcyl, 5-7 membered heterocyclcyl; R2 = H, (Q-substituted) alkyl; R3 = H, (substituted) Ht, alkyl, alkenyl; R7 = (CH2O)nY(ZM) (:X) ZMn, (CH2O)nCO(R9)nM1; M = H, Li, Na, K, Mg, Ca, Ba, ammonio, alkyl, alkenyl, etc.; M1 = H,

(substituted) alkyl, alkenyl, etc.; R9 = C(R2)2, O, NR2; Y = P, S; X = O, S; Ht = cycloalkyl, cycloalkenyl, (substituted) aryl, 5-7 membered heterocyclyl; n = 0, 1; with provisos], were prepared Thus, title compound (II; R7 = H; R10 = NO2) was heated with H3PO3 and DCC in pyridine to give 96% II (R7 = OP(O)(OH)H; R10 = NO2). This was heated with TMSOOTMS and (TMS)2NH to give 88% II (R7 = OP(O)(OH)2; R10 = NO2). The latter was hydrogenated and salified to give II (R7 = OP(O)(ONa)2; R10 = NH2) (III). III in a methylcellulose/EtOH/H2O formulation administered orally to dogs showed a relative availability of 60.4% relative to its metabolite VS-478.

IT 1097675-85-8

RL: PRPH (Prophetic)

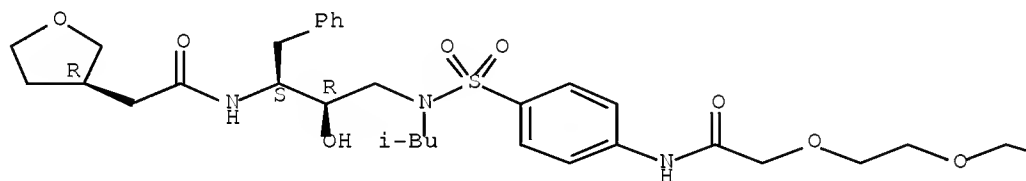
(Preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors.)

RN 1097675-85-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



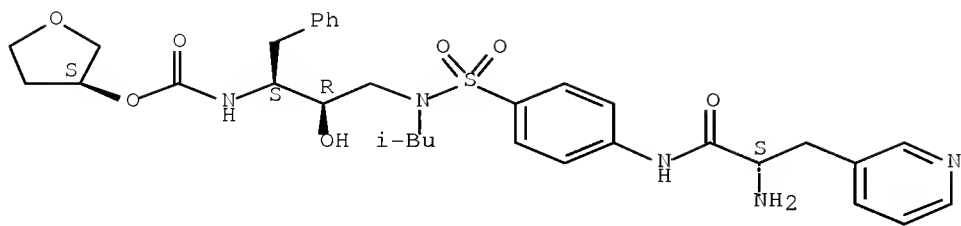
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229495-69-6P 229495-70-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors)

RN 229495-63-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

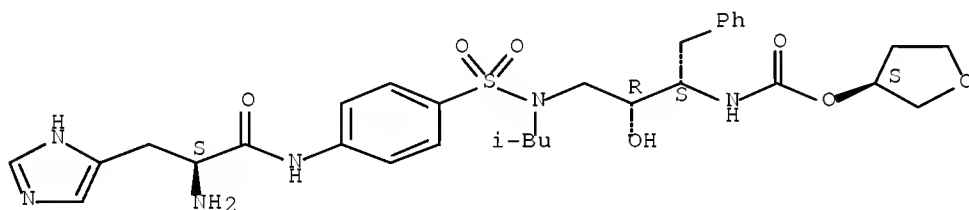
Absolute stereochemistry.



RN 229495-64-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[[(2S)-2-amino-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

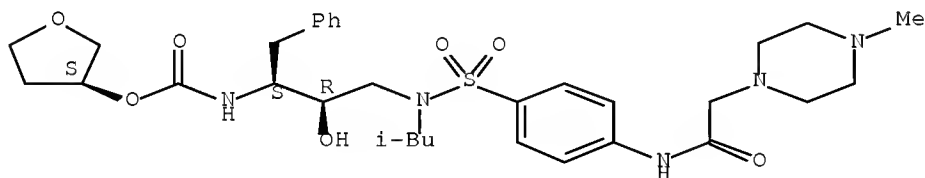
Absolute stereochemistry.



RN 229495-65-2 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[[(4-methyl-1-piperazinyl)acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

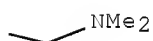
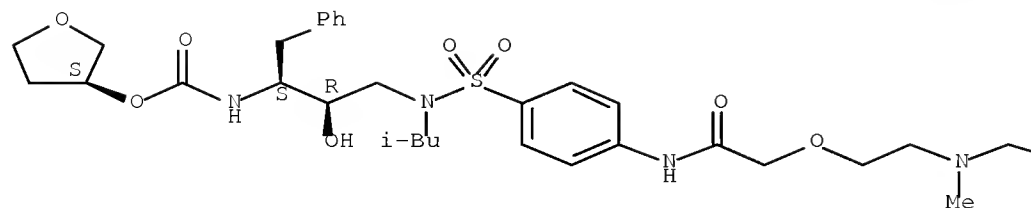
Absolute stereochemistry.



RN 229495-66-3 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[[2-[[2-(dimethylamino)ethyl]methylamino]ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

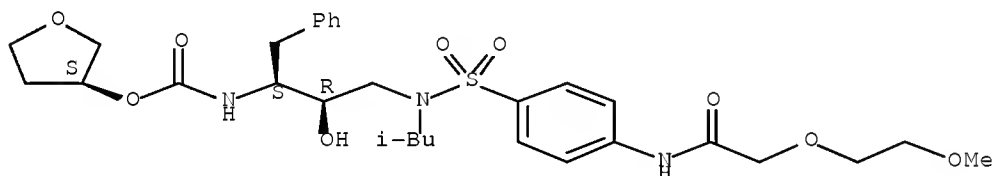
Absolute stereochemistry.



RN 229495-67-4 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[[2-methoxyethoxy)acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

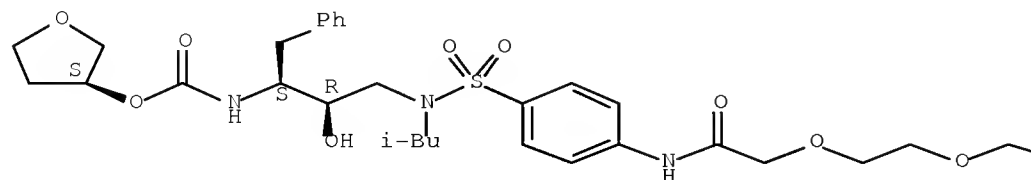
Absolute stereochemistry.



RN 229495-68-5 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[[2-(2-methoxyethoxy)ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

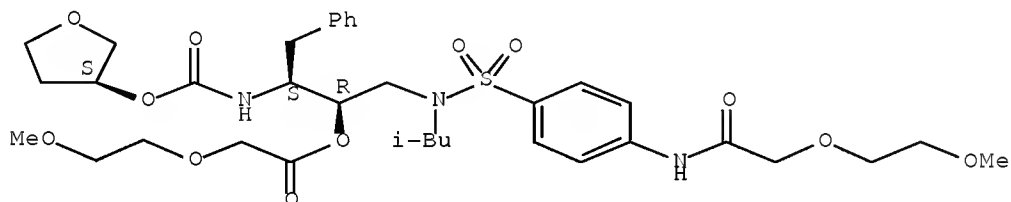
Absolute stereochemistry.





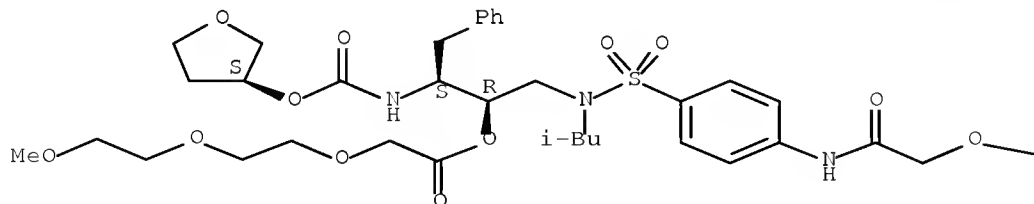
RN 229495-69-6 CAPLUS  
 CN 5,8,11-Trioxa-2-azadodecanoic acid,  
 4-[[[4-[[2-(2-methoxyethoxy)acetyl]amino]phenyl]sulfonyl](2-  
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 (3S)-tetrahydro-3-furanyl ester, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

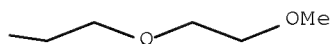


RN 229495-70-9 CAPLUS  
 CN 5,8,11,14-Tetraoxa-2-azapentadecanoic acid,  
 4-[[[4-[[2-[2-(2-methoxyethoxy)ethoxy]acetyl]amino]phenyl]sulfonyl](2-  
 methylpropyl)amino]methyl]-6-oxo-3-(phenylmethyl)-,  
 (3S)-tetrahydro-3-furanyl ester, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.



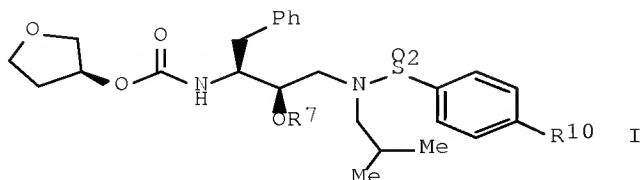




REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1999:460392 CAPLUS Full-text  
 DOCUMENT NUMBER: 131:87803  
 TITLE: Preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors.  
 INVENTOR(S): Hale, Michael R.; Tung, Roger D.; Baker, Christopher T.; Spaltenstein, Andrew; Furfine, Eric Steven; Kaldor, Istvan; Kazmierski, Wieslaw Mieczyslaw  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 109 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933792	A2	19990708	WO 1998-US27403	19981223
WO 9933792	A3	19990916		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9920102	A	19990719	AU 1999-20102	19981223
PRIORITY APPLN. INFO.:			US 1997-68806P	P 19971224
			WO 1998-US27403	W 19981223
OTHER SOURCE(S):			MARPAT 131:87803	
GI				



AB Z(CHD)pC(:G)(CXX1)mC(G1)N(D1)SO2E1 [Z = N(D)SO2E, NHA, NDA, NHE, NHCONDE, NH(Ht), Ht, ND(Ht); A = H, Ht, R1Ht, (substituted) R1Alk; Alk = alkyl, alkenyl; Ht = (substituted) cycloalkyl, cycloalkenyl, aryl, benzoheterocyclyl, heterocyclyl; D, D1 = R6, N(R2)2, (substituted) alkyl, alkenyl, cycloalkyl, etc.; E, E1 = Ht, OHt, HtHt, OR3, NR2R3, (substituted) alkyl, alkenyl; R1 = CO, SO2, COCO, O2C, OSO2, NR2CO, etc.; R2 = H, R6, R6-substituted alkyl; R3 = H, (substituted) Ht, alkyl, alkenyl; R6 = (substituted) aryl, carbocyclyl, heterocyclyl; G, G1 = H2, O; X, X1 = H, OH, NH2, SH, etc.; XX1 = O; m = 1-3; p = 0, 1], were prepared Thus, title compound (I; R7 = H; R10 = NO2) was heated with H3PO3 and DCC in pyridine to give 96% I (R7 = OP(O)(OH)H; R10 = NO2). This was heated with TMSOOTMS and (TMS)2NH to give 88% I (R7 = OP(O)(OH)2; R10 = NO2). The latter was hydrogenated and salified to give I (R7 = OP(O)(ONa)2; R10 = NH2) (II). II in a methylcellulose/EtOH/H2O formulation administered orally to dogs showed a relative availability of 60.4% relative to its metabolite VS-478.

IT 229495-63-0P 229495-64-1P 229495-65-2P  
229495-66-3P 229495-67-4P 229495-68-5P  
229495-69-6P 229495-70-9P

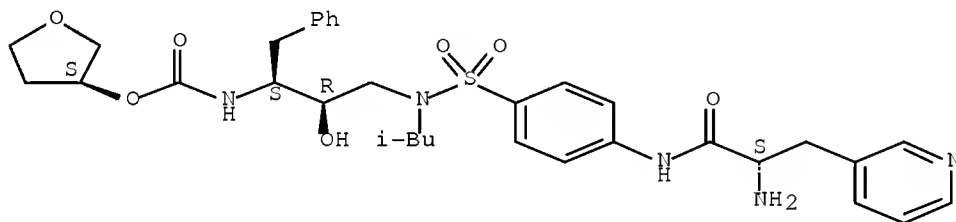
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors)

RN 229495-63-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

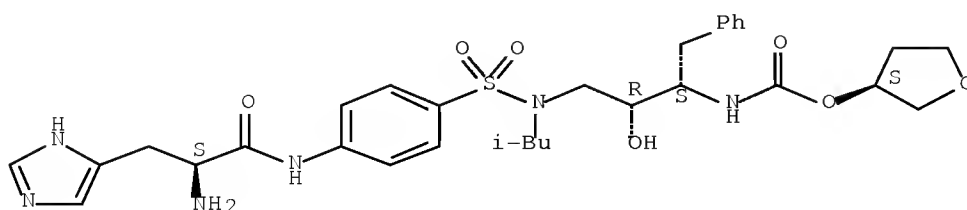
Absolute stereochemistry.



RN 229495-64-1 CAPLUS

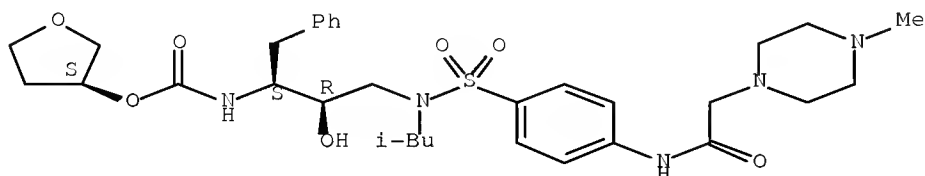
CN Carbamic acid, [(1S,2R)-3-[[[4-[(2S)-2-amino-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



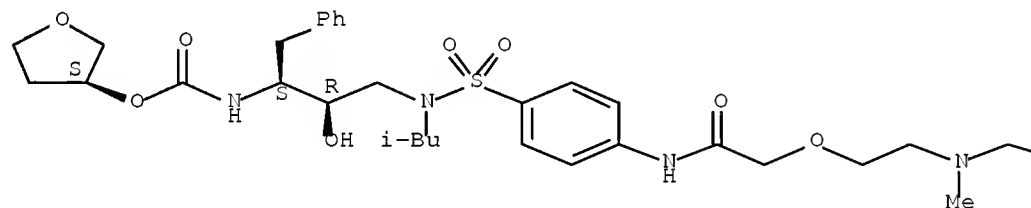
RN 229495-65-2 CAPLUS  
 CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[4-methyl-1-piperazinyl]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



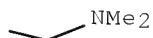
RN 229495-66-3 CAPLUS  
 CN Carbamic acid, [(1S,2R)-3-[[[4-[[[2-[[2-(dimethylamino)ethyl]methylamino]ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



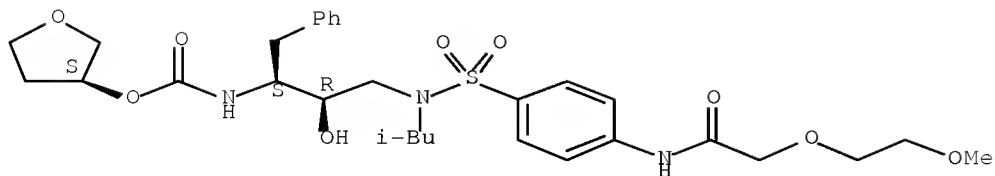
PAGE 1-A

PAGE 1-B



RN 229495-67-4 CAPLUS  
 CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[2-methoxyethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

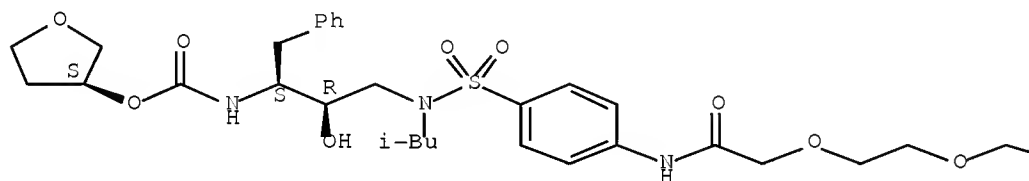


RN 229495-68-5 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[[2-(2-methoxyethoxy)ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



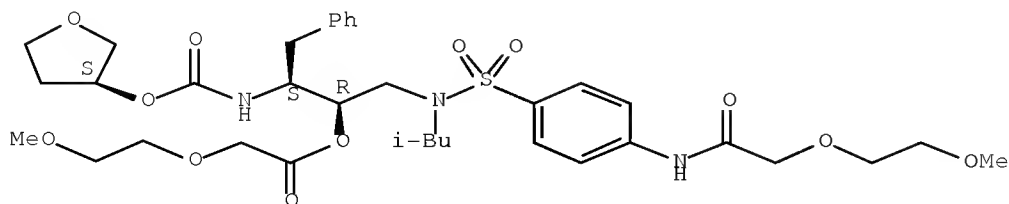
PAGE 1-B



RN 229495-69-6 CAPLUS

CN 5,8,11-Trioxa-2-azadodecanoic acid, 4-[[[4-[[[2-(2-methoxyethoxy)acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]methyl]-6-oxo-3-(phenylmethyl)-, (3S)-tetrahydro-3-furanyl ester, (3S,4R)- (CA INDEX NAME)

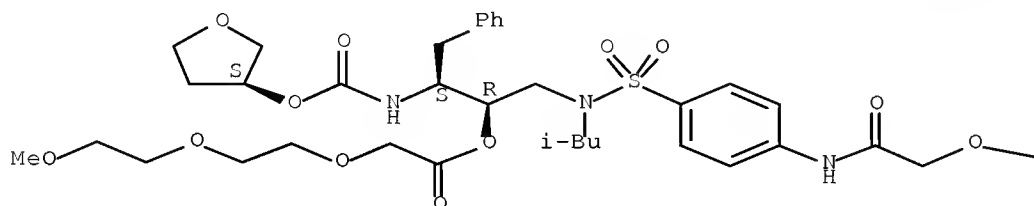
Absolute stereochemistry.



RN 229495-70-9 CAPLUS  
CN 5,8,11,14-Tetraoxa-2-azapentadecanoic acid,  
4-[[[4-[2-[2-(2-methoxyethoxy)ethoxy]acetyl]amino]phenyl]sulfonyl](2-  
methylpropyl)amino]methyl]-6-oxo-3-(phenylmethyl)-,  
(3S)-tetrahydro-3-furanyl ester, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 1999:166638 CAPLUS Full-text  
DOCUMENT NUMBER: 130:209983  
TITLE: Non-immunosuppressive cyclosporins and their use in  
the prevention and treatment of HIV infection  
INVENTOR(S): Rich, Daniel H.; Solomon, Michael E.  
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA  
SOURCE: PCT Int. Appl., 178 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910373	A1	19990304	WO 1998-US17542	19980825
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,  
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9889189	A	19990316	AU 1998-89189	19980825
US 6270957	B1	20010807	US 1999-242723	19990222
PRIORITY APPLN. INFO.:			US 1997-57751P	P 19970826
			WO 1998-US17542	W 19980825

OTHER SOURCE(S): MARPAT 130:209983

AB Non-immunosuppressive cyclosporins comprising cyclic undecapeptides, cyclo(V-  
Abu-W-X-Val-X'-Y(Z)-D-Ala-MeLeu-MeLeu-MeVal) [V = MeLeu(3-OH), MeLeu, MeSer,  
MeSer-PG, MeThr, MeThr-PG, where PG is a side-chain protecting group; W = D-N-  
Me amino acid or N-methylglycyl residue; X, X' = N-methyllleucynyl or N-  
methylalanyl residue; Y = lysyl, homo-lysyl, ornithinyl, lysyl-PG, homo-lysyl-  
PG, or ornithinyl-PG residue; Z is absent or is an HIV protease inhibitor  
moiety conjugated to Y via a side-chain on Y], were prepared Also disclosed  
are conjugates of these cyclosporin analogs in which an HIV protease inhibitor  
moiety is conjugated to the position-7 amino acid residue of the cyclosporin.  
Thus, N-[(S)-3-hydroxytetrahydrofuryloxycarbonyl]-(2S,3S)-3-amino-2-hydroxy-4-  
(4-ethylacetoxyphenyl)-1-(isobutylamino)butane was prepared and its conjugate  
with [MeLeu(3-OH)1, D-MeSer3, Lys7]-cyclosporin A were prepared and assayed  
for anti-Hiv activity.

IT 220871-76-1P 220871-77-2P 220871-78-3P  
220871-80-7P 220871-81-8P 220871-83-0P

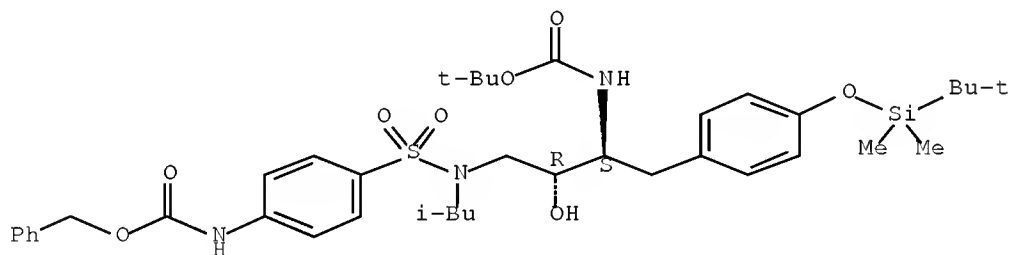
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(non-immunosuppressive cyclosporins and their use in prevention and  
treatment of HIV infection)

RN 220871-76-1 CAPLUS

CN Carbamic acid, [4-[[[(2R,3S)-3-[[[(1,1-dimethylethoxy)carbonyl]amino]-4-[4-  
[[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]-2-hydroxybutyl](2-  
methylpropyl)amino]sulfonyl]phenyl]-, phenylmethyl ester (9CI) (CA INDEX  
NAME)

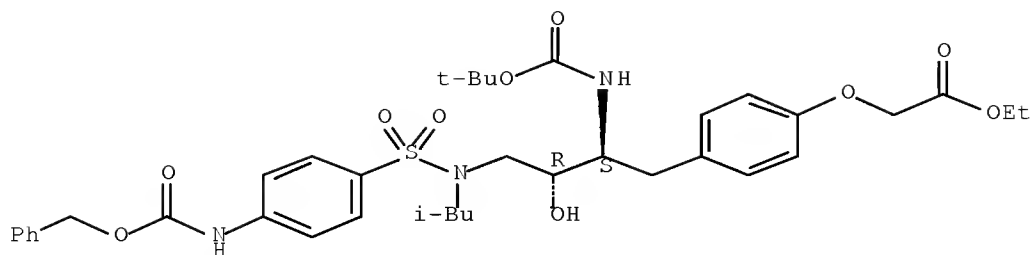
Absolute stereochemistry. Rotation (+).



RN 220871-77-2 CAPLUS

CN Acetic acid, 2-[4-[(2S,3R)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-3-  
hydroxy-4-[(2-methylpropyl)[[4-  
[[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]butyl]phenoxy]-,  
ethyl ester (CA INDEX NAME)

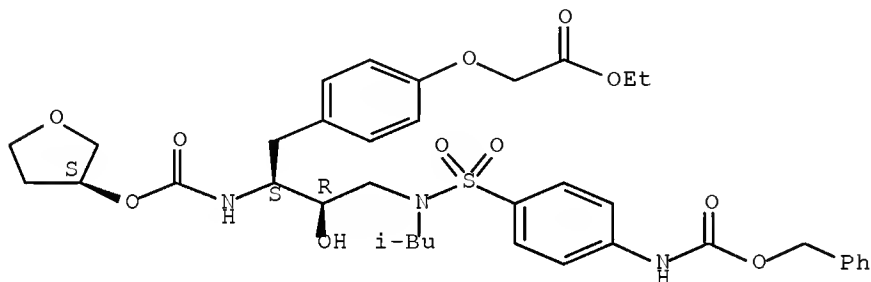
Absolute stereochemistry. Rotation (+).



RN 220871-78-3 CAPLUS

CN Acetic acid, 2-[4-[(2S,3R)-3-hydroxy-4-[(2-methylpropyl)[[4-[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-2-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl]phenoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

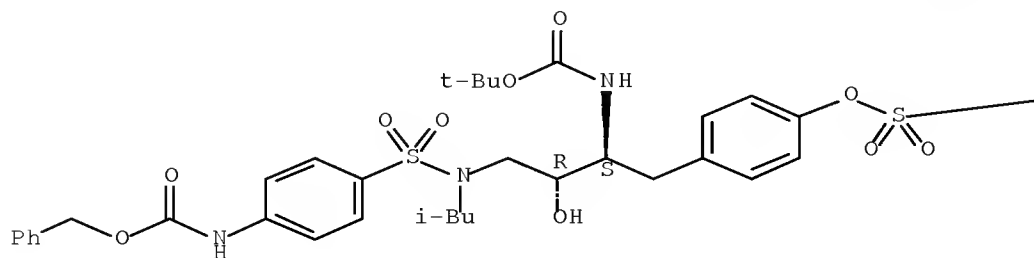


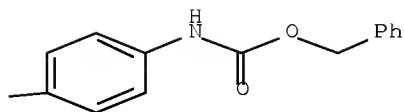
RN 220871-80-7 CAPLUS

CN Benzenesulfonic acid, 4-[[[(phenylmethoxy)carbonyl]amino]-, 4-[(2S,3R)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-3-hydroxy-4-[(2-methylpropyl)[[4-[[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]butyl]phenyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

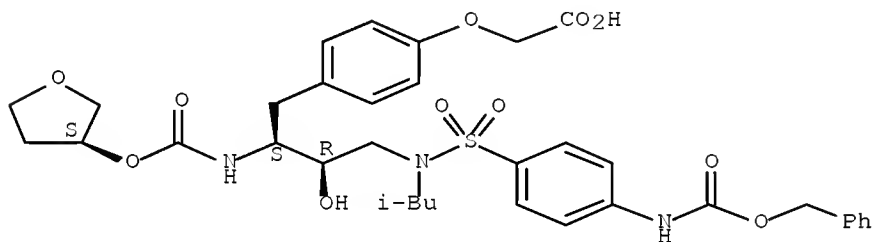




RN 220871-81-8 CAPLUS

CN Acetic acid, 2-[4-[(2S,3R)-3-hydroxy-4-[(2-methylpropyl)[[4-  
 [[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-2-[[[(3S)-  
 tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl]phenoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 220871-83-0 CAPLUS

CN Cyclo[D-alanyl-N-methyl-L-leucyl-N-methyl-L-leucyl-N-methyl-L-valyl-(3R)-3-  
 hydroxy-N-methyl-L-leucyl-(2S)-2-aminobutanoyl-N-methyl-D-seryl-N-methyl-L-  
 leucyl-L-valyl-N-methyl-L-leucyl-N6-[[4-[(2S,3R)-3-hydroxy-4-[(2-  
 methylpropyl)[[4-[[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-2-  
 [[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl]phenoxy]acetyl]-L-  
 lysyl] (9CI) (CA INDEX NAME)

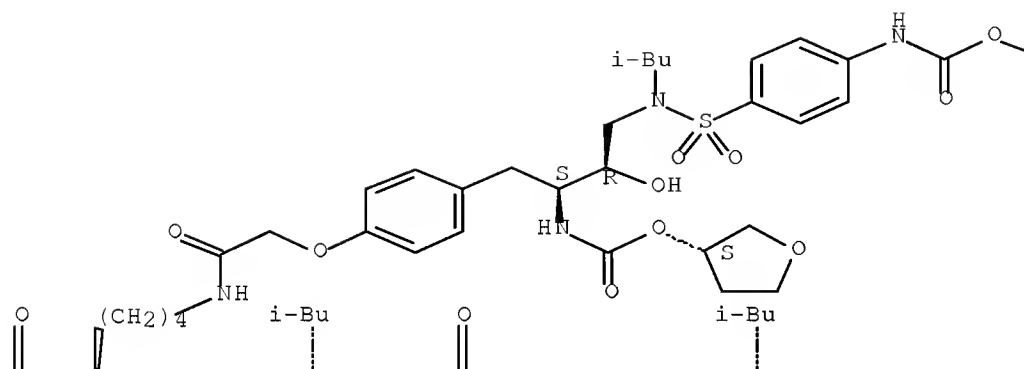
Absolute stereochemistry.

Me  
 \

Me  
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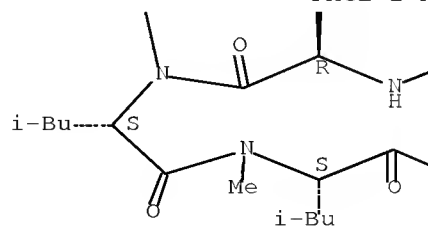
PAGE 1-B

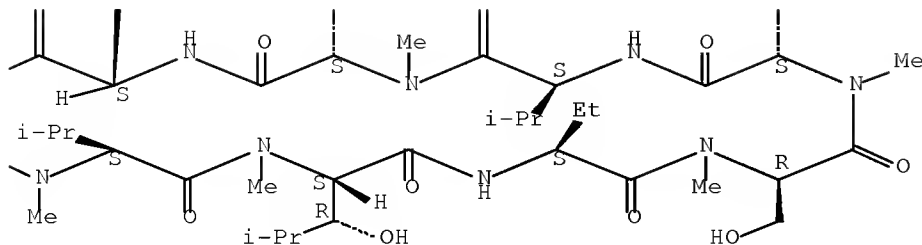


PAGE 1-C



PAGE 2-A





REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:799692 CAPLUS Full-text

DOCUMENT NUMBER: 130:38712

TITLE: Preparation of  $\alpha$ - and  $\beta$ -amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors

INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 934,984, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5843946	A	19981201	US 1993-110911	19930824
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 172717	T	19981115	AT 1993-923714	19930824
ES 2123065	T3	19990101	ES 1993-923714	19930824
AT 218541	T	20020615	AT 1997-113434	19930824
PT 810209	T	20020930	PT 1997-113434	19930824
ES 2177868	T3	20021216	ES 1997-113434	19930824
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9476697	A	19950321	AU 1994-76697	19940823
EP 715618	A1	19960612	EP 1994-927162	19940823
EP 715618	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 174587	T	19990115	AT 1994-927162	19940823
ES 2127938	T3	19990501	ES 1994-927162	19940823
FI 9500650	A	19950214	FI 1995-650	19950214

FI 112471	B1	20031215		
US 5786483	A	19980728	US 1995-487662	19950607
US 5830897	A	19981103	US 1995-473698	19950607
US 6172082	B1	20010109	US 1995-476788	19950607
US 5744481	A	19980428	US 1997-845392	19970425
US 6248775	B1	20010619	US 1999-288080	19990408
US 6335460	B1	20020101	US 2000-510189	20000222
US 6472407	B1	20021029	US 2000-511005	20000222
US 6534493	B1	20030318	US 2000-694785	20001024
US 20020052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
US 20030191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 6924286	B1	20050802	US 2003-633376	20030804
PRIORITY APPLN. INFO.:			US 1992-934984	B2 19920825
			EP 1993-923714	A3 19930824
			US 1993-110911	A 19930824
			WO 1993-US7814	A2 19930824
			US 1994-204827	A 19940302
			US 1994-294468	A1 19940823
			WO 1994-US9139	W 19940823
			US 1995-476788	A1 19950607
			US 1995-485524	B1 19950607
			US 1999-288080	A1 19990408
			US 2001-798255	A1 20010305
			US 2002-157019	A1 20020530

OTHER SOURCE(S): MARPAT 130:38712

AB Amino acid hydroxyethylamino sulfonamide compds.  $\text{P1NHCHR2CH(OH)CH2NR3SO2R4}$  [P1 = alkoxycarbonyl, aralkoxycarbonyl, alkanoyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, aralkanoyl, aroyl, aryloxycarbonyl, heterocyclylcarbonyl, heterocyclyloxycarbonyl, heterocyclylalkoxycarbonyl, heteroaralkoxycarbonyl, heteroaryloxycarbonyl, heteroaroyl; R2 = alkyl, aryl, cycloalkyl, cycloalkylalkyl, (un)substituted aralkyl; R3 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heteroaryl, heterocyclylalkyl, aryl, aralkyl, heteroaralkyl; R4 = alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl] were prepared as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S- (phenylmethyl)propyl]-4-pyridinecarboxamide was prepared by amidation of isonicotinoyl chloride hydrochloride with 2R-hydroxy-3-[(2-methylpropyl)[(4-methoxyphenyl)sulfonyl]amino]-1S- (phenylmethyl)propylamine. Protease inhibitory data are tabulated.

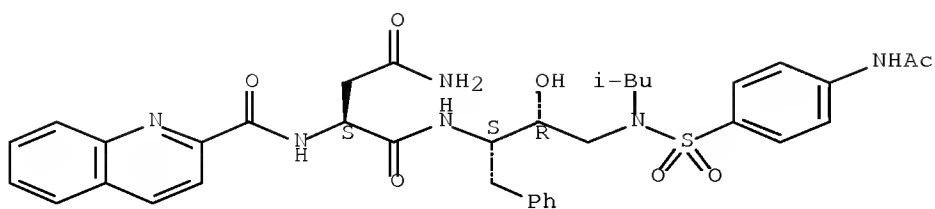
IT 160230-21-7 247047-35-4 1097219-33-4  
1097221-24-3 1097221-30-1  
RL: PRPH (Prophetic)

(Preparation of  $\alpha$ - and  $\beta$ -amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 160230-21-7 CAPLUS

CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetyl amino)phenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

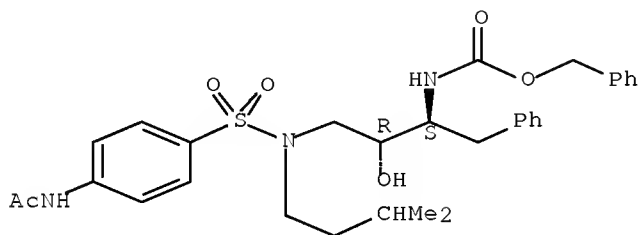
Absolute stereochemistry.



RN 247047-35-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

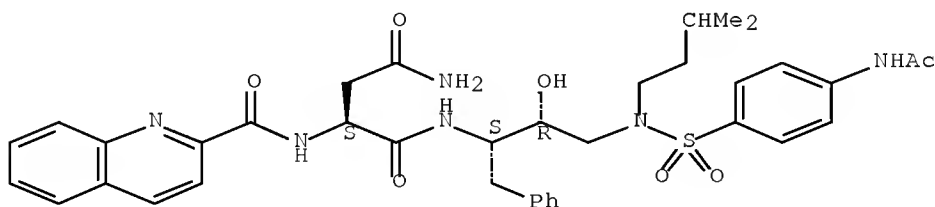
Absolute stereochemistry.



RN 1097219-33-4 CAPLUS

CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylnylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

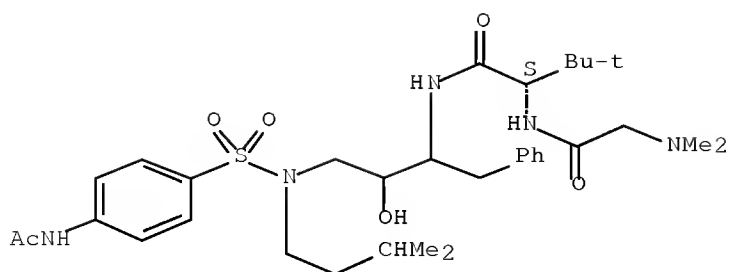
Absolute stereochemistry.



RN 1097221-24-3 CAPLUS

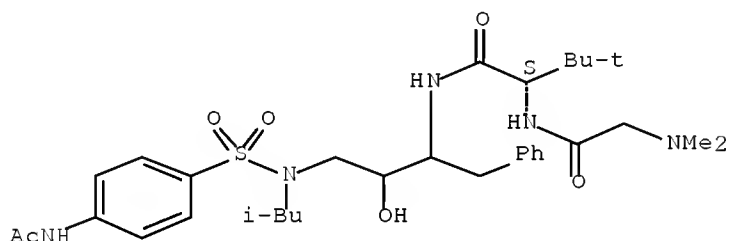
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



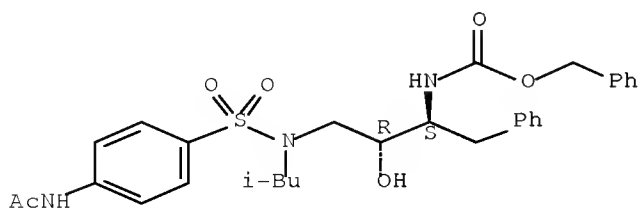
RN 1097221-30-1 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



IT 157567-04-9P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)  
RN 157567-04-9 CAPLUS  
CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

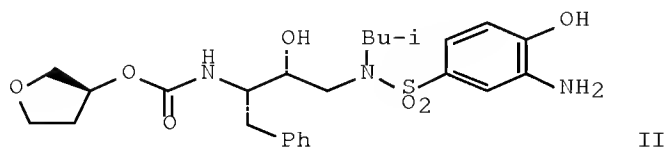
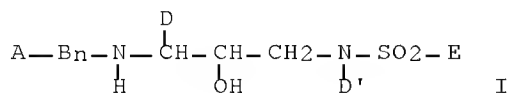
Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 1998:502547 CAPLUS Full-text  
 DOCUMENT NUMBER: 129:136097  
 ORIGINAL REFERENCE NO.: 129:27828h,27829a  
 TITLE: Preparation of heterocyclic sulfonamide inhibitors of aspartyl protease  
 INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda Rao  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA  
 SOURCE: U.S., 87 pp., Cont.-in-part of U.S. 5,585,397.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5783701	A	19980721	US 1995-393460	19950223
EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
EP 885887	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5585397	A	19961217	US 1993-142327	19931124
US 5723490	A	19980303	US 1995-424819	19950419
US 5977137	A	19991102	US 1998-115394	19980714
US 6392046	B1	20020521	US 1999-409808	19990930
US 20030064977	A1	20030403	US 2002-94763	20020308
US 6720335	B2	20040413		
US 20040167116	A1	20040826	US 2004-786997	20040224
US 7321063	B2	20080122		
US 20080293727	A1	20081127	US 2007-1993	20071212
PRIORITY APPLN. INFO.:			US 1992-941982	B2 19920908
			US 1993-142327	A2 19931124
			EP 1993-921428	A3 19930907
			WO 1993-US8458	W 19930907
			US 1995-393460	B2 19950223
			US 1998-115394	A3 19980714
			US 1999-409808	A3 19990930
			US 2002-94763	A1 20020308
			US 2004-786997	A1 20040224
OTHER SOURCE(S):	MARPAT 129:136097			
GI				



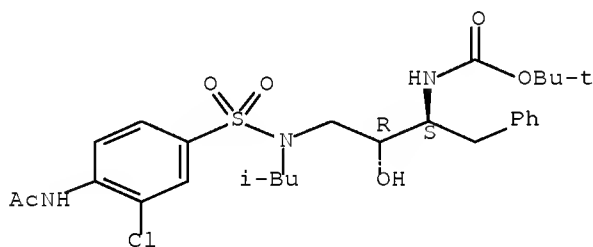
AB The title compds. I [A = H, -Ht, -R1Ht, (un)substituted -R1-alk(en)yl; R1 = CO, SO2, COCO, OCO, OSO2, NR2SO2, NR2CO, NR2COCO; Ht = (un)substituted cycloalk(en)yl, aryl, (benzo)heterocyclyl; R2 = H, alkyl, -alkyl-R7; B = NR2C(R3)2CO; n = 0, 1; R3 = (un)substituted alk(en)yl or cycloalk(en)yl; n = 1, 2; D, D' = R7, (un)substituted alk(en)yl or cycloalk(en)yl; R7 = (un)substituted Ph, carbocyclyl, or heterocyclyl; E = Ht, -O-Ht, -Ht-Ht, OR3, NR2R3, (un)substituted alk(en)yl or carbocyclyl; R4 = OR2, CONHR2, SO2NHR2, halo, NR2COR2, cyano] are prepared as inhibitors of HIV aspartyl protease. The invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity. The invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the invention compds., and to methods for screening compds. for anti-HIV activity. Preps. of almost 200 compds. are described, and some of these plus addnl. compds. are claimed. Some of the compds., e.g., II, inhibit HIV replication (IC90) in CCRM-CEM cells in vitro at concns. of  $\leq 100$  nM.

IT 160232-32-6P 160232-65-5P 160232-75-7P  
160232-95-1P 160233-13-6P 186463-25-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of heterocyclic sulfonamide derivs. as inhibitors of HIV aspartyl protease)

RN 160232-32-6 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

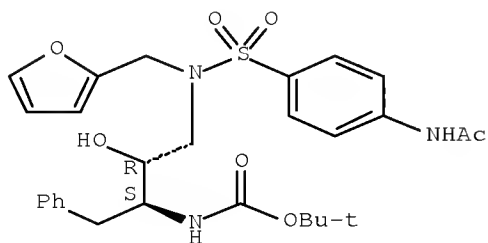
Absolute stereochemistry.



RN 160232-65-5 CAPLUS

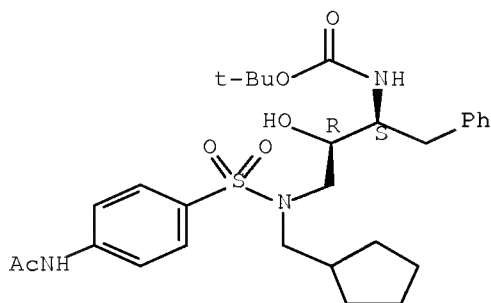
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-furanylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



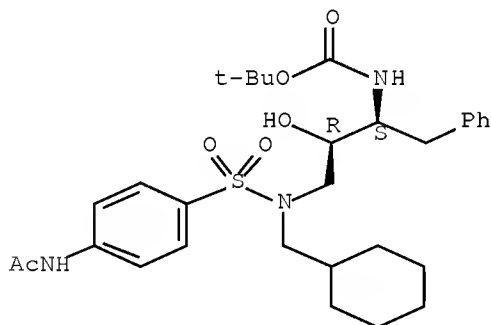
RN 160232-75-7 CAPLUS  
 CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160232-95-1 CAPLUS  
 CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

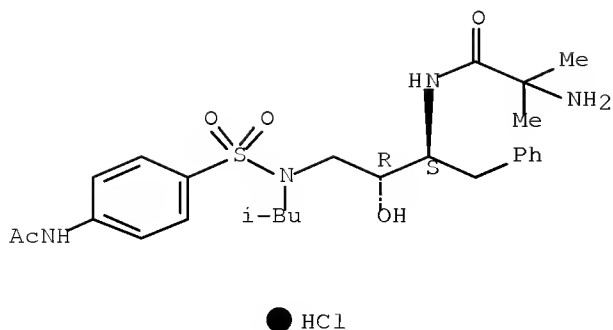
Absolute stereochemistry.



RN 160233-13-6 CAPLUS  
 CN Propanamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-amino-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

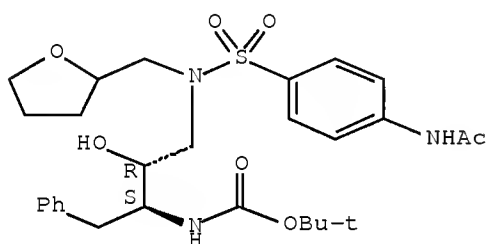




RN 186463-25-2 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl][(tetrahydro-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 157567-04-9P 160230-19-3P 160230-21-7P  
 160230-27-3P 160230-29-5P 160230-36-4P  
 160230-37-5P 160230-38-6P 160230-39-7P  
 160230-40-0P 160230-43-3P 160230-45-5P  
 160230-47-7P 160230-48-8P 160230-49-9P  
 160230-50-2P 160230-51-3P 160230-52-4P  
 160230-56-8P 160230-60-4P 160230-72-8P  
 160230-88-6P 160230-94-4P 160230-98-8P  
 160231-02-7P 160231-03-8P 160231-06-1P  
 160231-12-9P 160231-22-1P 160231-27-6P  
 160231-30-1P 160231-33-4P 160231-36-7P  
 160231-39-0P 160231-49-2P 160231-51-6P  
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 160231-91-4P 160231-92-5P 160231-96-9P  
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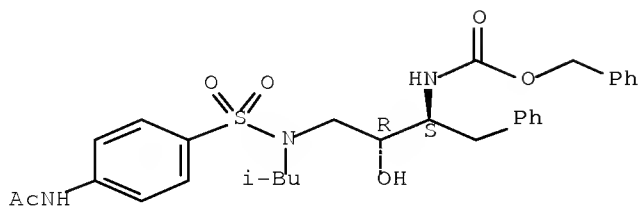
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of heterocyclic sulfonamide derivs. as inhibitors of HIV aspartyl protease)

RN 157567-04-9 CAPLUS

CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester

(CA INDEX NAME)

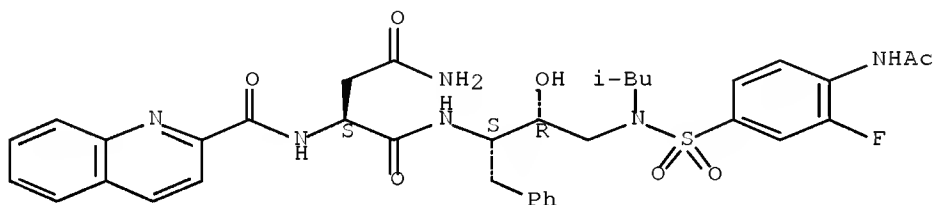
Absolute stereochemistry.



RN 160230-19-3 CAPLUS

CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetamido)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

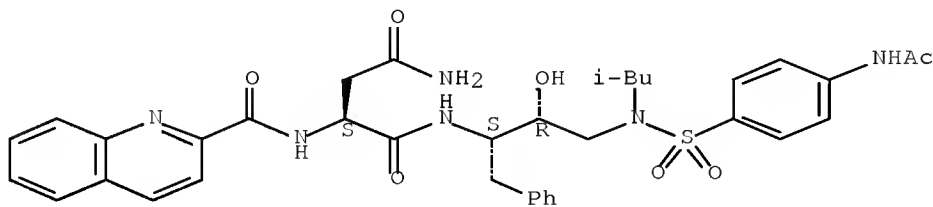
Absolute stereochemistry.



RN 160230-21-7 CAPLUS

CN Butanediamide, N1-[[4-(acetamido)phenyl]sulfonyl]-2-methylpropylamino-2-hydroxy-1-(phenylmethyl)propyl-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



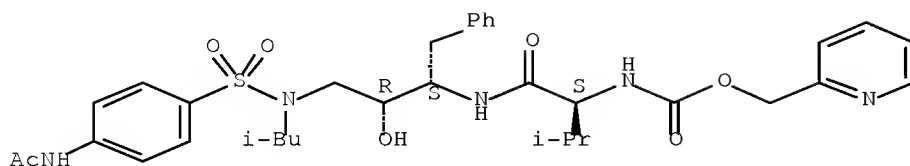
RN 160230-27-3 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetamido)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 2-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

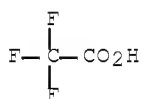
CRN 160230-26-2  
CMF C34 H45 N5 O7 S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

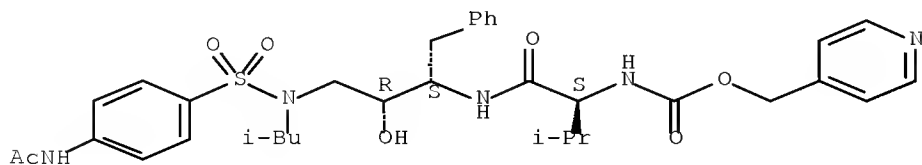


RN 160230-29-5 CAPLUS  
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 4-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

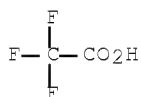
CRN 160230-28-4  
CMF C34 H45 N5 O7 S

Absolute stereochemistry.



CM 2

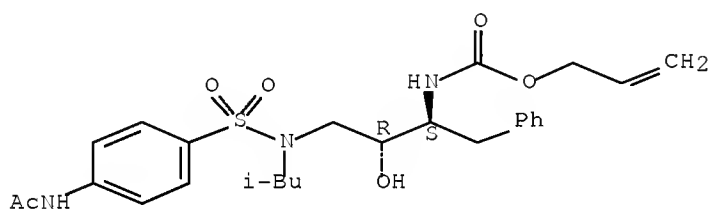
CRN 76-05-1  
CMF C2 H F3 O2



RN 160230-36-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

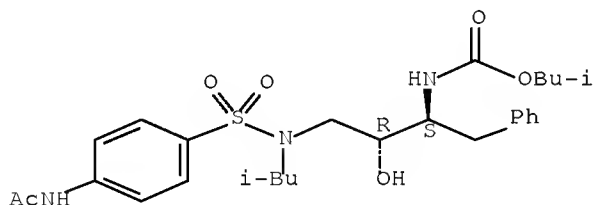
Absolute stereochemistry.



RN 160230-37-5 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

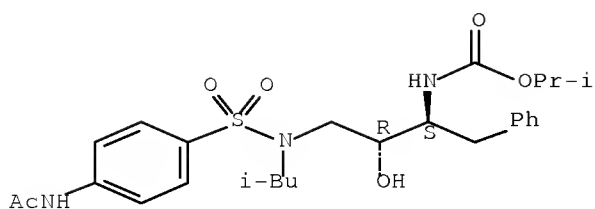
Absolute stereochemistry.



RN 160230-38-6 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

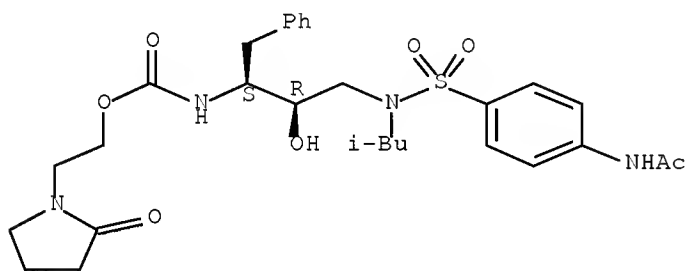
Absolute stereochemistry.



RN 160230-39-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-(2-oxo-1-pyrrolidinyl)ethyl ester (9CI) (CA INDEX NAME)

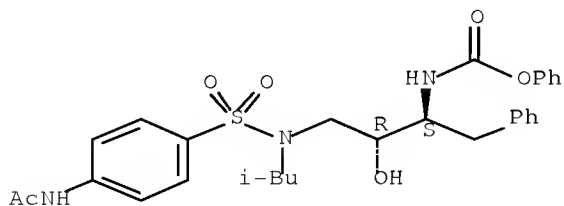
Absolute stereochemistry.



RN 160230-40-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenyl ester (9CI) (CA INDEX NAME)

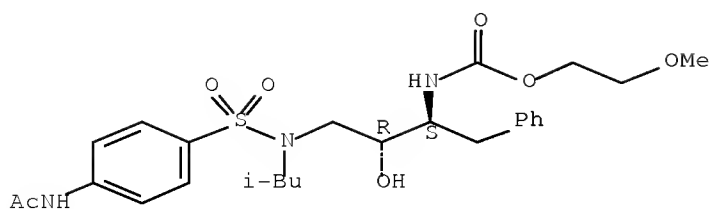
Absolute stereochemistry.



RN 160230-43-3 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

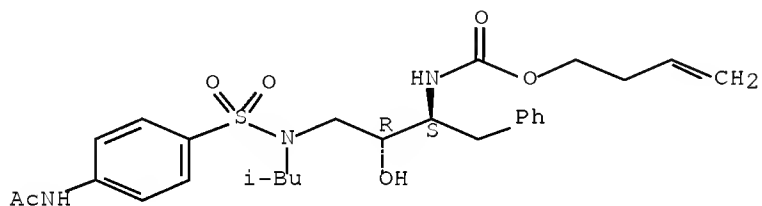
Absolute stereochemistry.



RN 160230-45-5 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-butenyl ester (9CI) (CA INDEX NAME)

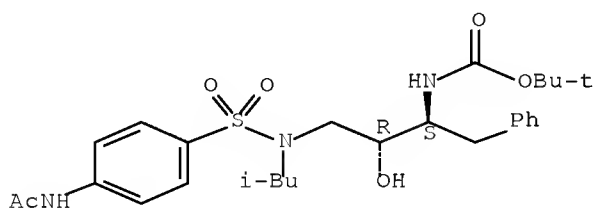
Absolute stereochemistry.



RN 160230-47-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

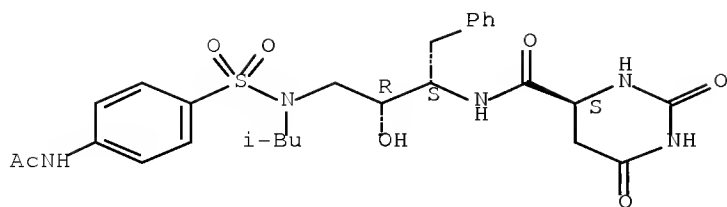
Absolute stereochemistry.



RN 160230-48-8 CAPLUS

CN 4-Pyrimidinecarboxamide, N-[(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]hexahydro-2,6-dioxo-, (4S)- (CA INDEX NAME)

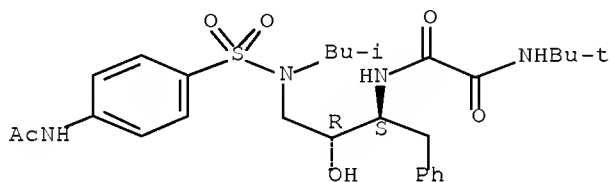
Absolute stereochemistry.



RN 160230-49-9 CAPLUS

CN Ethanediame, N-[(1S,2R)-3-[[[4-(acetilamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

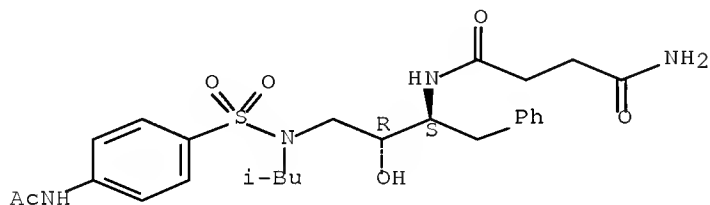
Absolute stereochemistry.



RN 160230-50-2 CAPLUS

CN Butanediame, N-[(1S,2R)-3-[[[4-(acetilamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

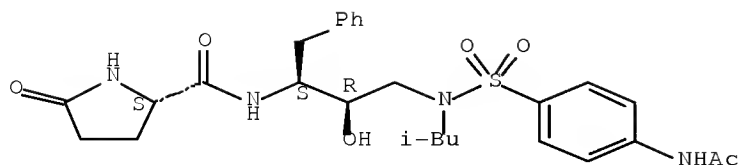
Absolute stereochemistry.



RN 160230-51-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1S,2R)-3-[[[4-(acetilamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-oxo-, (2S)- (CA INDEX NAME)

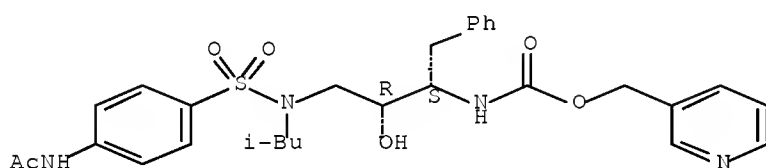
Absolute stereochemistry.



RN 160230-52-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)

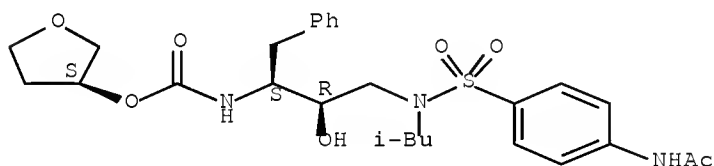
Absolute stereochemistry.



RN 160230-56-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

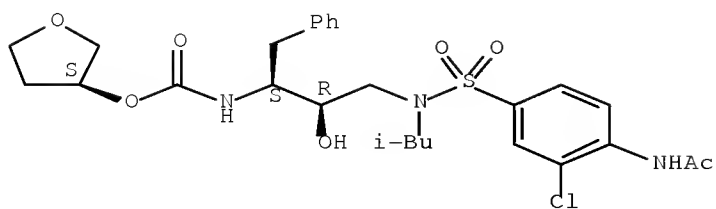


RN 160230-60-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

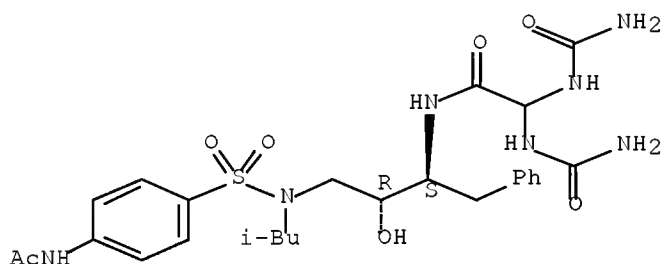




RN 160230-72-8 CAPLUS

CN Acetamide, N-[(1S,2R)-3-[[[4-(acetamido)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2,2-bis[(aminocarbonyl)amino]- (CA INDEX NAME)

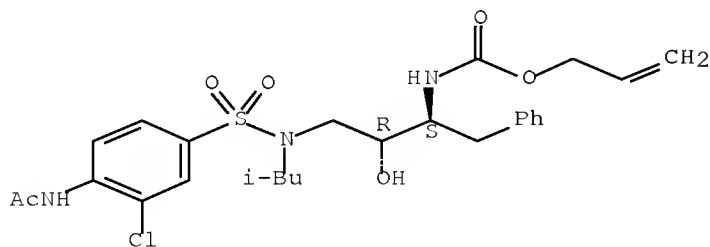
Absolute stereochemistry.



RN 160230-88-6 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

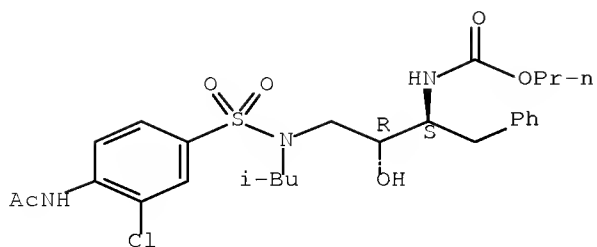
Absolute stereochemistry.



RN 160230-94-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, propyl ester (9CI) (CA INDEX NAME)

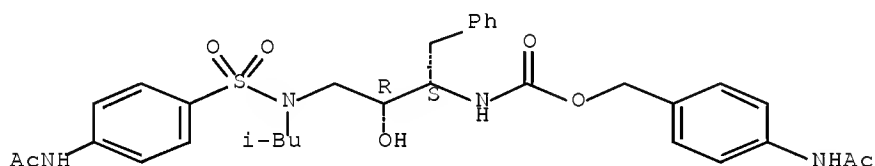
Absolute stereochemistry.



RN 160230-98-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [4-(acetylamino)phenyl]methyl ester (9CI) (CA INDEX NAME)

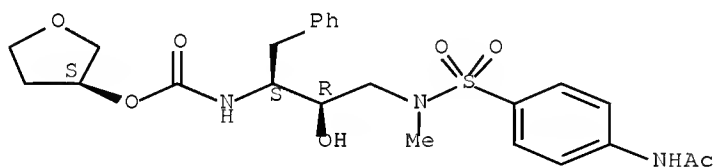
Absolute stereochemistry.



RN 160231-02-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

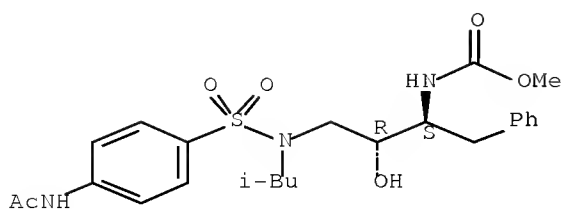
Absolute stereochemistry.



RN 160231-03-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

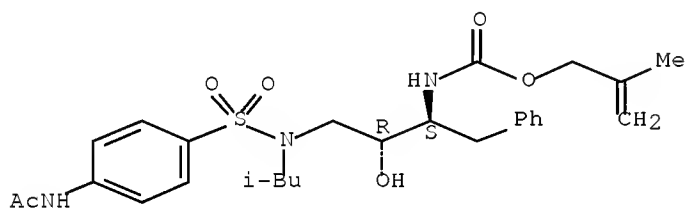
Absolute stereochemistry.



RN 160231-06-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methyl-2-propenyl ester (9CI) (CA INDEX NAME)

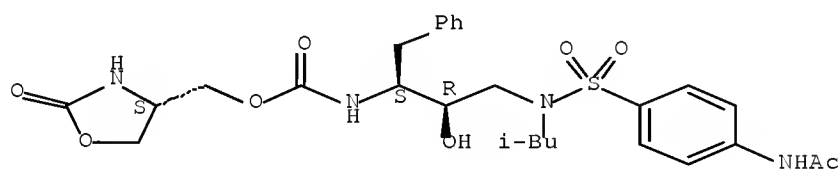
Absolute stereochemistry.



RN 160231-12-9 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [(4S)-2-oxo-4-oxazolidinyl]methyl ester (9CI) (CA INDEX NAME)

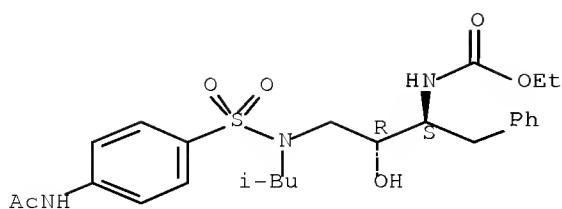
Absolute stereochemistry.



RN 160231-22-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

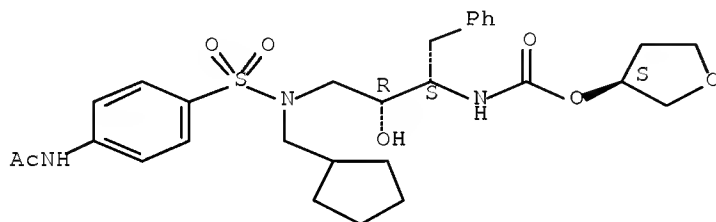
Absolute stereochemistry.



RN 160231-27-6 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

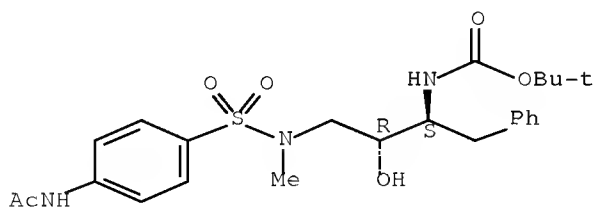
Absolute stereochemistry.



RN 160231-30-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

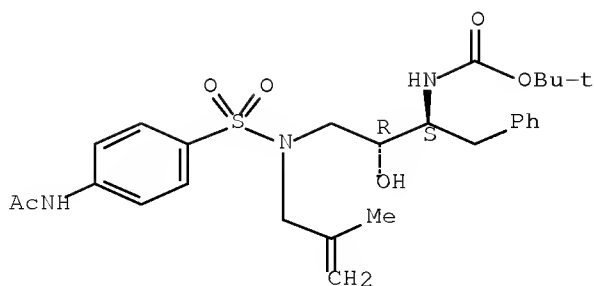
Absolute stereochemistry.



RN 160231-33-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

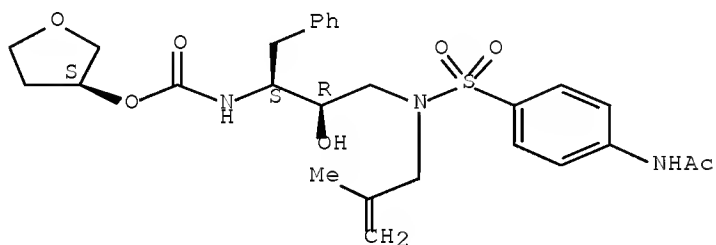
Absolute stereochemistry.



RN 160231-36-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

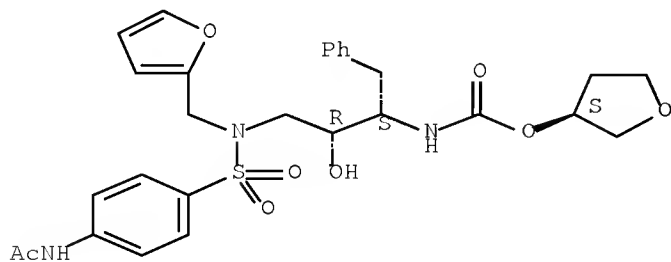
Absolute stereochemistry.



RN 160231-39-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-furanylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

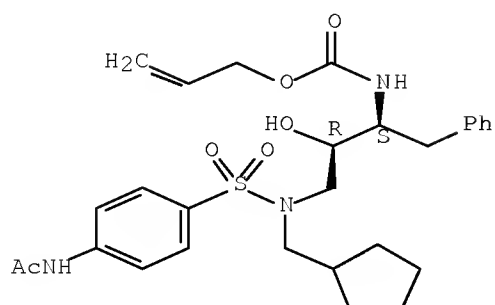
Absolute stereochemistry.



RN 160231-49-2 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

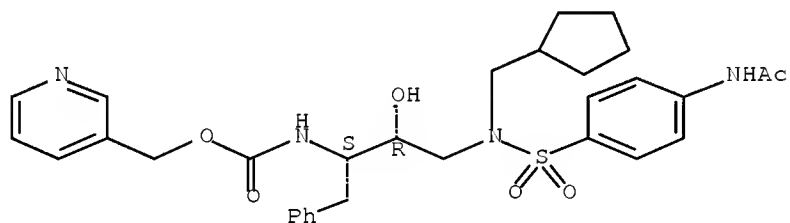


RN 160231-51-6 CAPLUS  
 CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

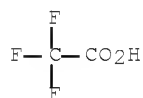
CRN 160231-50-5  
 CMF C31 H38 N4 O6 S

Absolute stereochemistry.



CM 2

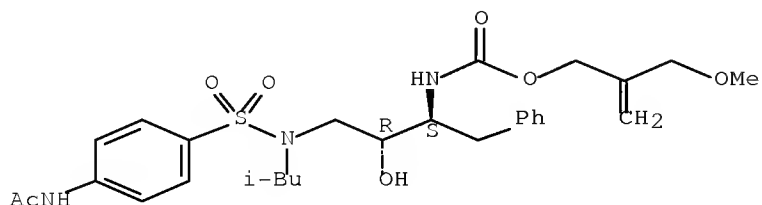
CRN 76-05-1  
 CMF C2 H F3 O2



RN 160231-58-3 CAPLUS  
 CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-

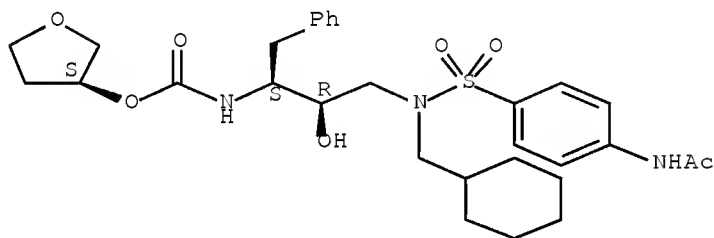
methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-,  
2-(methoxymethyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



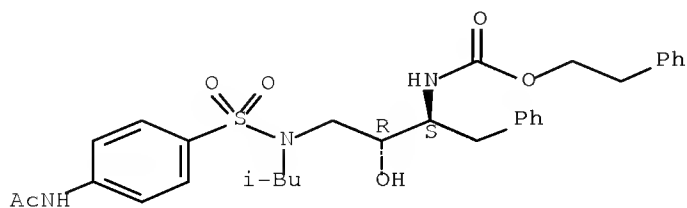
RN 160231-63-0 CAPLUS  
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



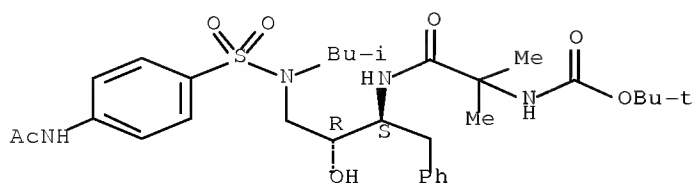
RN 160231-66-3 CAPLUS  
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160231-91-4 CAPLUS  
CN Carbamic acid, [2-[[[(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

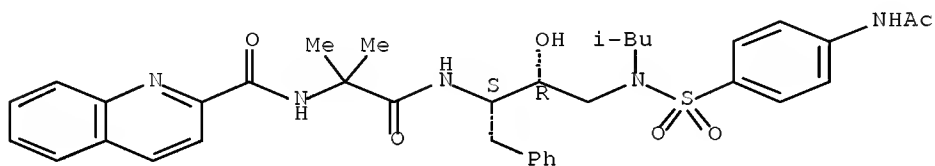
Absolute stereochemistry.



RN 160231-92-5 CAPLUS

CN 2-Quinolinecarboxamide, N-[2-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)

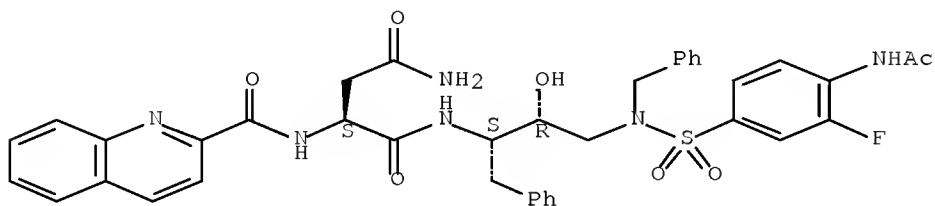
Absolute stereochemistry.



RN 160231-96-9 CAPLUS

CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

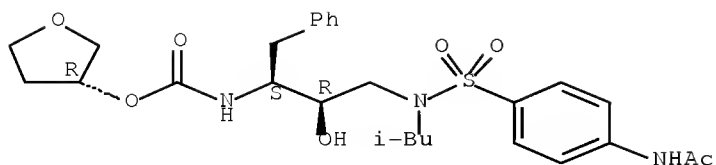


RN 160333-41-5 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

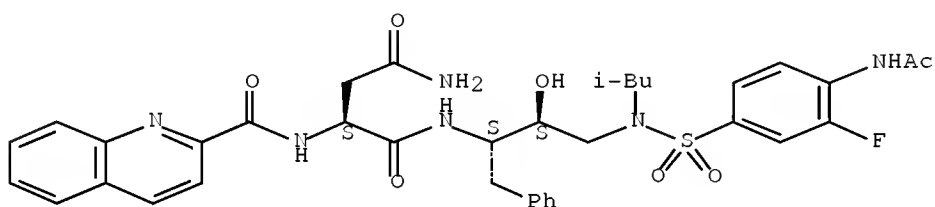




RN 160333-42-6 CAPLUS

CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylnylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

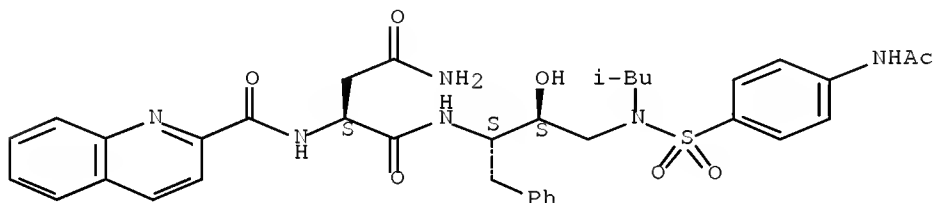
Absolute stereochemistry.



RN 160333-44-8 CAPLUS

CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylnylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

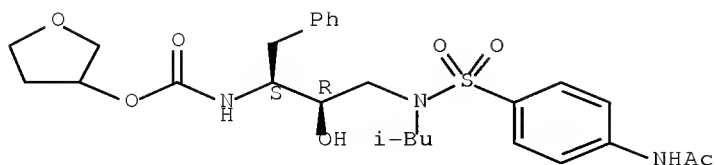
Absolute stereochemistry.



RN 186463-15-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

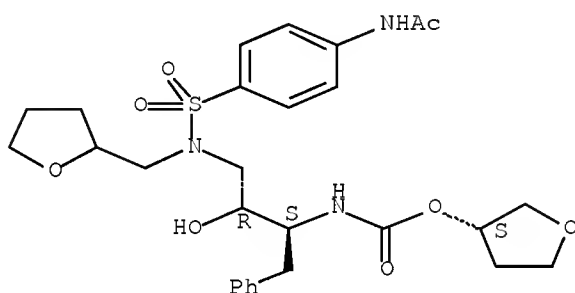
Absolute stereochemistry.



RN 186463-19-4 CAPLUS

CN Carbamic acid, [(1S, 2R)-3-[[[4-(acetylamino)phenyl]sulfonyl][(tetrahydro-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

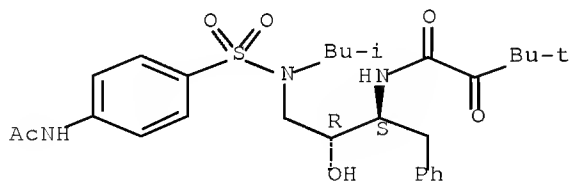
Absolute stereochemistry.



RN 210537-81-8 CAPLUS

CN Butanamide, N-[(1S, 2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3,3-dimethyl-2-oxo- (CA INDEX NAME)

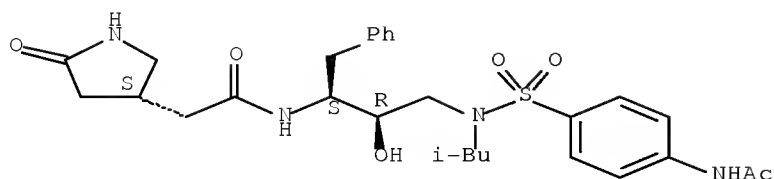
Absolute stereochemistry.



RN 210537-82-9 CAPLUS

CN 3-Pyrrolidineacetamide, N-[(1S, 2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 28 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:157421 CAPLUS Full-text

DOCUMENT NUMBER: 128:204795

ORIGINAL REFERENCE NO.: 128:40503a

TITLE: Preparation of THF-containing sulfonamides as inhibitors of aspartyl protease

INVENTOR(S): Tung, Roger D.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA

SOURCE: U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 393,460, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

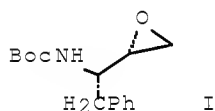
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5723490	A	19980303	US 1995-424819	19950419
EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
EP 885887	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5585397	A	19961217	US 1993-142327	19931124
US 5783701	A	19980721	US 1995-393460	19950223
CA 2217737	A1	19961024	CA 1996-2217737	19960418
WO 9633184	A1	19961024	WO 1996-US5475	19960418
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
AU 9655596	A	19961107	AU 1996-55596	19960418
AU 706732	B2	19990624		
CN 1181755	A	19980513	CN 1996-193364	19960418
EP 846110	A1	19980610	EP 1996-912942	19960418
EP 846110	B1	20020828		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
JP 10509739	T	19980922	JP 1996-531954	19960418
JP 3046357	B2	20000529		
BR 9608032	A	19990112	BR 1996-8032	19960418
HU 9801877	A2	19990928	HU 1998-1877	19960418
HU 9801877	A3	20010228		
HU 224027	B1	20050530		

NZ 306903	A	20000228	NZ 1996-306903	19960418
AP 950	A	20010328	AP 1997-1119	19960418
W: LS, MW, KE, UG, SD, SZ				
AT 222761	T	20020915	AT 1996-912942	19960418
CZ 291054	B6	20021211	CZ 1997-3293	19960418
PT 846110	T	20021231	PT 1996-912942	19960418
ES 2181882	T3	20030301	ES 1996-912942	19960418
EE 4307	B1	20040615	EE 1997-266	19960418
RO 119302	B1	20040730	RO 1997-1926	19960418
SK 284785	B6	20051103	SK 1997-1431	19960418
PL 195368	B1	20070928	PL 1996-322877	19960418
NO 9704722	A	19971013	NO 1997-4722	19971013
NO 317734	B1	20041213		
BG 63677	B1	20020930	BG 1997-102048	19971117
PRIORITY APPLN. INFO.:			US 1992-941982	B2 19920908
			US 1993-142327	A2 19931124
			US 1995-393460	B2 19950223
			EP 1993-921428	A3 19930907
			WO 1993-US8458	W 19930907
			US 1995-424819	A 19950419
			WO 1996-US5475	W 19960418
OTHER SOURCE(S):			MARPAT 128:204795	
GI				



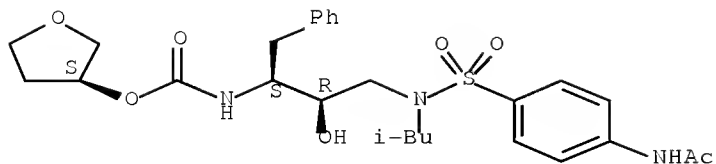
AB THF-containing sulfonamides (THF)R<sub>1</sub>NHCHDCH(OH)CH<sub>2</sub>ND'SO<sub>2</sub>E [I, R<sub>1</sub> = CO, SO<sub>2</sub>, COCO, etc.; D, D' = aryl, carbocyclyl, heterocyclyl, alkyl, alkenyl; E = alkenyl, Het, O(Het), (Het)(Het), etc. with Het = carbocyclyl, aryl, heterocyclyl], which are aspartyl protease inhibitors, were prepared. E.g., epoxide II was treated with isobutylamine, 4-FC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>Cl, then deprotected and treated with N-succinimidyl-(S)-3-tetrahydrofuranyl carbonate to give a THF-containing sulfonamide. I are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as anti-viral agents against the HIV-1 and HIV-2 viruses.

IT 160230-56-8P 160230-60-4P 160231-02-7P  
 160231-27-6P 160231-36-7P 160231-39-0P  
 160231-63-0P 160333-41-5P 186463-15-0P  
 186463-19-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of THF-containing sulfonamides as inhibitors of aspartyl protease)

RN 160230-56-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

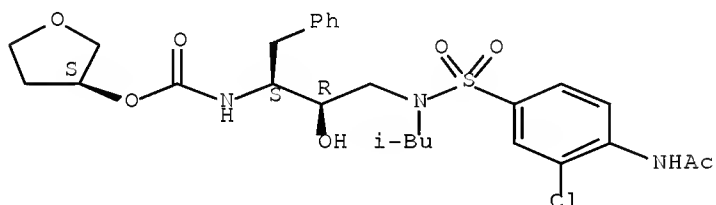
Absolute stereochemistry.



RN 160230-60-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamido)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

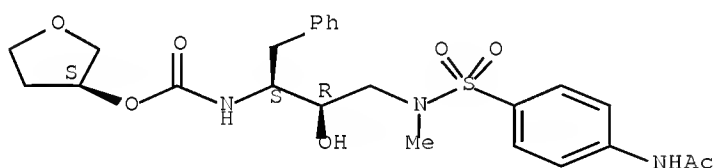
Absolute stereochemistry.



RN 160231-02-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

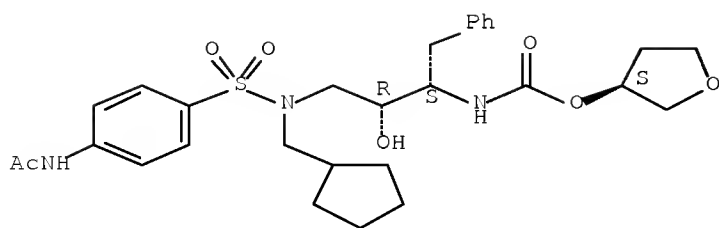
Absolute stereochemistry.



RN 160231-27-6 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

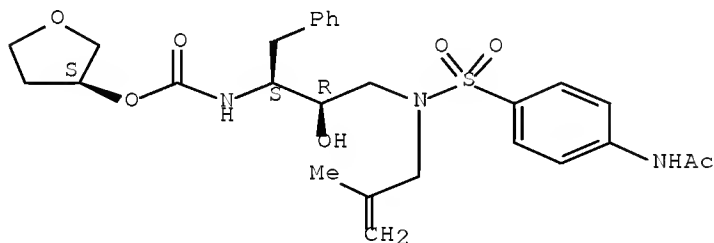
Absolute stereochemistry.



RN 160231-36-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamido)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

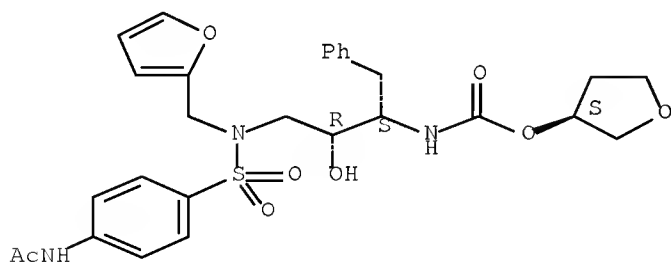
Absolute stereochemistry.



RN 160231-39-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamido)phenyl]sulfonyl](2-furanylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

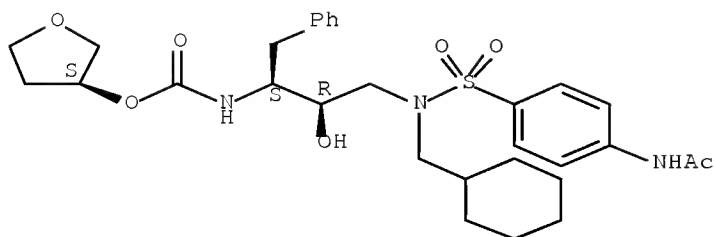
Absolute stereochemistry.



RN 160231-63-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamido)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

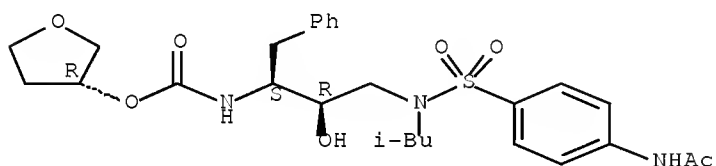
Absolute stereochemistry.



RN 160333-41-5 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

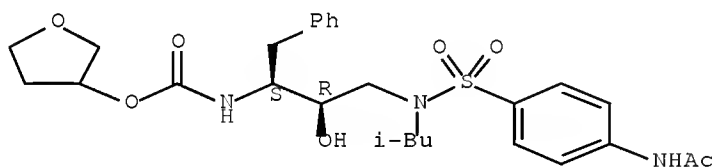
Absolute stereochemistry.



RN 186463-15-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

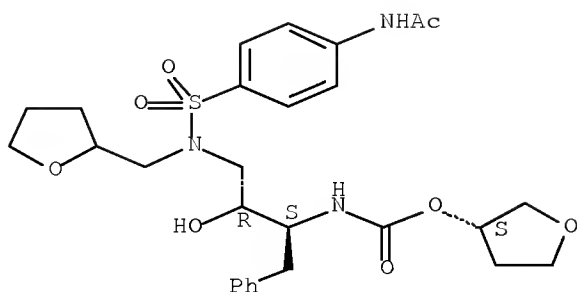
Absolute stereochemistry.



RN 186463-19-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl][(tetrahydro-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 160231-30-1P 160231-33-4P 203851-92-7P

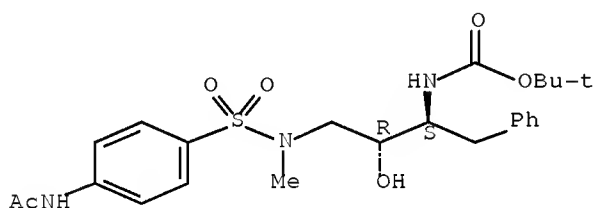
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of THF-containing sulfonamides as inhibitors of aspartyl protease)

RN 160231-30-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

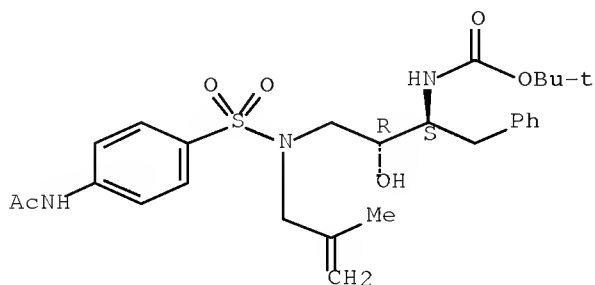
Absolute stereochemistry.



RN 160231-33-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

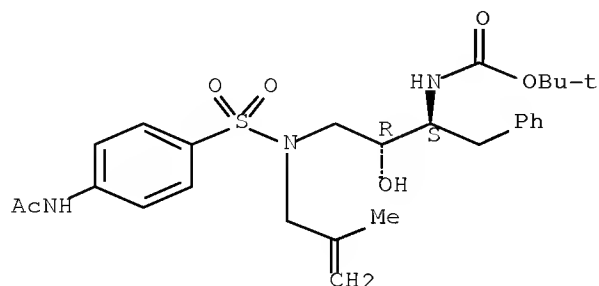
Absolute stereochemistry.





RN 203851-92-7 CAPLUS  
 CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester, monohydrochloride, [R-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



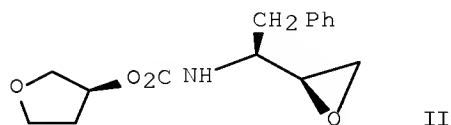
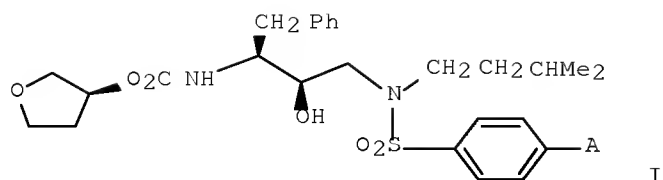
● HCl

REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 29 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1997:399636 CAPLUS Full-text  
 DOCUMENT NUMBER: 127:34116  
 ORIGINAL REFERENCE NO.: 127:6575a,6578a  
 TITLE: Preparation of optically active tetrahydrofuryl carbamates as HIV protease inhibitors  
 INVENTOR(S): Kamijo, Tetsukiyo; Yamaguchi, Toshiaki; Yanagi, Takashi; Tsuchiya, Ikuo; Takeuchi, Hideki  
 PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09124630	A	19970513	JP 1995-314611	19951026
PRIORITY APPLN. INFO.:			JP 1995-314611	19951026
OTHER SOURCE(S):			CASREACT 127:34116; MARPAT 127:34116	

GI



AB The title compds. I [A = NO<sub>2</sub>, (protected) NH<sub>2</sub>], useful as HIV protease inhibitors for treatment of AIDS (no data), are prepared by treatment of optically active epoxy compound II with 4-AC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>NHCH<sub>2</sub>CHMe<sub>2</sub> (III: A = same as above) in the presence of bases. II (70 mg) was refluxed with 57 mg III (A = NH<sub>2</sub>) and Et<sub>3</sub>N in THF for 20 h to give 33 mg I (A = NH<sub>2</sub>).

IT 160230-56-8P 190444-94-1P

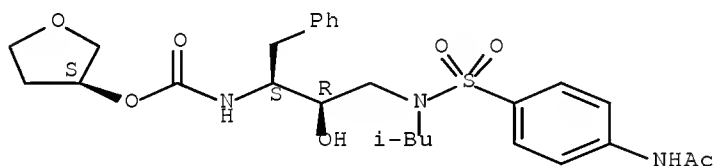
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of optically active tetrahydrofuryl carbamates as HIV protease inhibitors for treatment of AIDS)

RN 160230-56-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

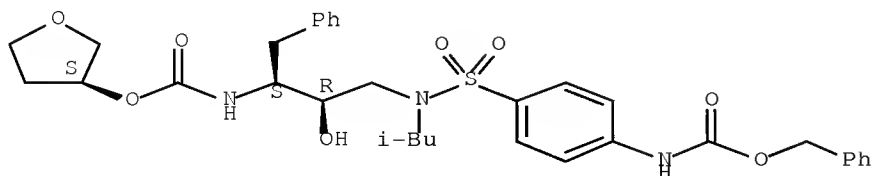
Absolute stereochemistry.



RN 190444-94-1 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 1997:344267 CAPLUS Full-text

DOCUMENT NUMBER: 127:17583

ORIGINAL REFERENCE NO.: 127:3553a,3556a

TITLE: Preparation of optically-active epoxybutane derivative as intermediate for HIV protease inhibitor

INVENTOR(S): Kamijo, Tetsukiyo; Yamaguchi, Toshiaki; Yanagi, Takashi; Tsuchiya, Ikuo; Takeuchi, Hideki

PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

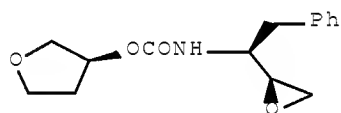
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

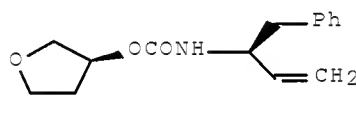
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 09071584	A	19970318	JP 1995-267597	19950907
PRIORITY APPLN. INFO.:			JP 1995-267597	19950907
GI				



I



II

AB The title derivative I, useful as an intermediate for a HIV protease inhibitor, is prepared by treatment of vinyl compound II with a brominating agent, followed by alkali treatment. Treatment of 27 mg II with N-bromosuccinimide in THF/H<sub>2</sub>O at room temperature for 2 h gave 19 mg bromohydrin, which in THF was treated with an aqueous NaOH solution for 30 min to give 13 mg I.

IT 160230-56-8F

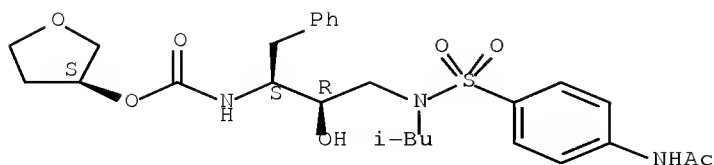
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of optically-active epoxybutane derivative as intermediate for benzenesulfonamide derivative as HIV protease inhibitor)

RN 160230-56-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 31 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1997:231106 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 127:17575  
 ORIGINAL REFERENCE NO.: 127:3552h,3553a  
 TITLE: Preparation of carbamic acid tetrahydrofuryl ester derivative as HIV protease inhibitor  
 INVENTOR(S): Kamijo, Tetsukiyo; Yamaguchi, Toshiaki; Yanagi, Takashi; Tsuchiya, Ikuo; Takeuchi, Hideki  
 PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09071574	A	19970318	JP 1995-267598	19950907
PRIORITY APPLN. INFO.: GI			JP 1995-267598	19950907

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compound (I) was prepared by hydrolysis of appropriate amide (II) using concentrate HCl in EtOH at 80° for 4 h. I, possessing HIV protease inhibitory, is useful for prevention and treatment of AIDS (no data).

IT 160230-56-8F

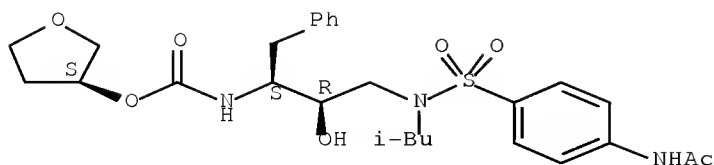
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of carbamic acid tetrahydrofuryl ester derivative as HIV protease inhibitor)

RN 160230-56-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetilamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

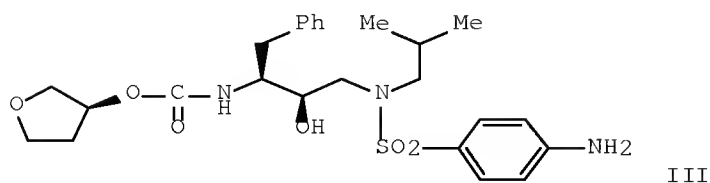
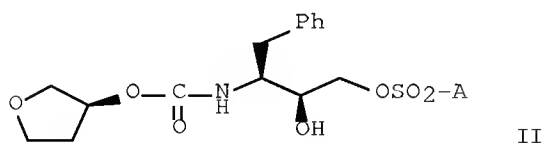
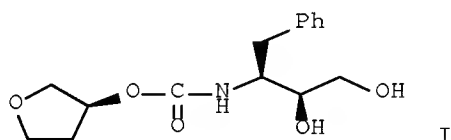
Absolute stereochemistry.



L3 ANSWER 32 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1997:220680 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 127:17577  
 ORIGINAL REFERENCE NO.: 127:3553a,3556a  
 TITLE: Process for preparation of optical active phenylbutyl sulfonate derivatives  
 INVENTOR(S): Kamijo, Tetsukiyo; Yamaguchi, Toshiaki; Yanagi, Takashi; Tsuchiya, Ikuo; Takeuchi, Hideki  
 PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09071572	A	19970318	JP 1995-267595	19950907
PRIORITY APPLN. INFO.:			JP 1995-267595	19950907
OTHER SOURCE(S):	CASREACT 127:17577; MARPAT 127:17577			

GI



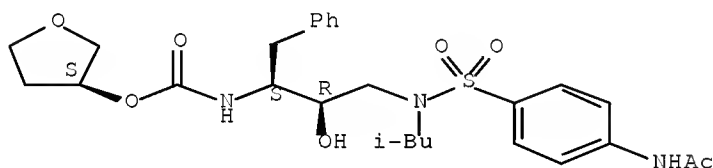
AB Diol derivative (I) is reacted with ASO<sub>3</sub>H (A = lower alkyl, substituted Ph) to give the title compound II (A = same as above). II are useful as intermediates in the production of HIV protease inhibitor (III).

IT 187946-06-1E  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (process for preparation of optical active phenylbutyl sulfonate derivs.)

RN 187946-06-1 CAPLUS

CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester, [3R\*(1R\*,2S\*)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L3 ANSWER 33 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:215831 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 127:17576

ORIGINAL REFERENCE NO.: 127:3553a

TITLE: Preparation of optical active phenylbutanol derivatives by addition of aldehydes with nitromethane

INVENTOR(S): Kamijo, Tetsukiyo; Yamaguchi, Toshiaki; Yanagi, Takashi; Tsuchiya, Ikuo; Takeuchi, Hideki

PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.  
 CODEN: JKXXAF

DOCUMENT TYPE: Patent

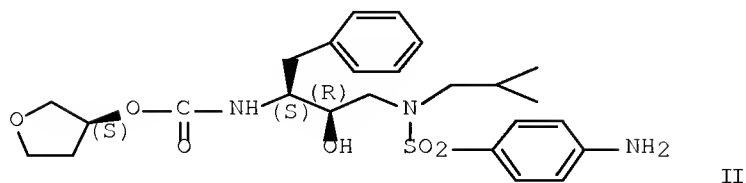
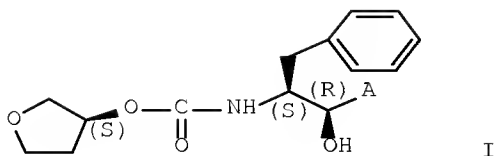
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09071573	A	19970318	JP 1995-267596	19950907
PRIORITY APPLN. INFO.:			JP 1995-267596	19950907
OTHER SOURCE(S):	CASREACT 127:17576			

GI



AB Aldehyde (I; A = CHO) is added with MeNO<sub>2</sub> over asym. catalysts to give the title compound I (A = CH<sub>2</sub>B, B = NO<sub>2</sub>), which is further reduced to give amine derivative I (A = CH<sub>2</sub>B, B = NH<sub>2</sub>) (II). II are useful as intermediates in the production of HIV protease inhibitor (III).

IT 187946-06-1F

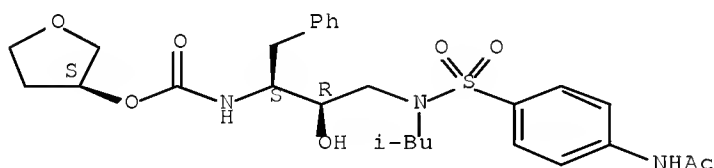
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of optical active phenylbutanol derivs. by addition of aldehydes with nitromethane)

RN 187946-06-1 CAPLUS

CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester, [3R\*(1R\*,2S\*)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L3 ANSWER 34 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:9928 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 126:144117

ORIGINAL REFERENCE NO.: 126:27857a,27860a

TITLE: Preparation of sulfonamide inhibitors of aspartyl protease

INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda R.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA

SOURCE: U.S., 87 pp., Cont.-in-part of U.S. Ser. No. 941,982, abandoned.

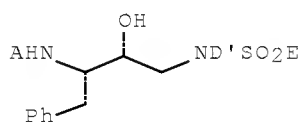
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5585397	A	19961217	US 1993-142327	19931124
WO 9405639	A1	19940317	WO 1993-US8458	19930907
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
EP 885887	B1	20030528		
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US 5783701	A	19980721	US 1995-393460	19950223
US 5723490	A	19980303	US 1995-424819	19950419
US 5856353	A	19990105	US 1995-477937	19950607
US 6372778	B1	20020416	US 1995-484326	19950607
US 5977137	A	19991102	US 1998-115394	19980714
US 6004957	A	19991221	US 1998-121008	19980722
US 6392046	B1	20020521	US 1999-409808	19990930
US 20030064977	A1	20030403	US 2002-94763	20020308
US 6720335	B2	20040413		
US 20030069222	A1	20030410	US 2002-94790	20020308
US 20040167116	A1	20040826	US 2004-786997	20040224
US 7321063	B2	20080122		
US 20060189810	A1	20060824	US 2006-408287	20060419
US 20080293727	A1	20081127	US 2007-1993	20071212
PRIORITY APPLN. INFO.:				
			US 1992-941982	B2 19920908
			WO 1993-US8458	W 19930907
			EP 1993-921428	A3 19930907
			US 1993-142327	A2 19931124
			US 1995-393460	B2 19950223
			US 1995-484326	A3 19950607
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			US 1999-409808	A3 19990930
			US 2002-94763	A1 20020308
			US 2002-94790	A3 20020308
			US 2004-786997	A1 20040224

OTHER SOURCE(S): MARPAT 126:144117  
 GI



I

AB The title compds. I [A = 3-tetrahydrofuryloxycarbonyl; D' = (un)substituted alkyl; E = (un)substituted aryl] are prepared This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as



antiviral agents against the HIV-1 and HIV-2 viruses. This invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the compds. of this invention and methods for screening compds. for anti-HIV activity. The title compds. inhibit HIV replication at concentration of  $\leq 100$  nM.

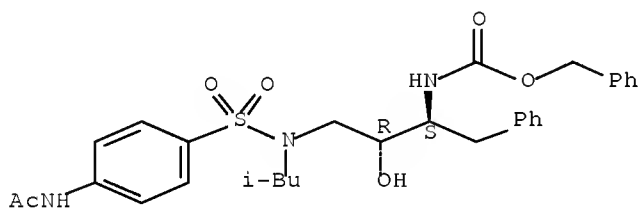
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 160333-41-5P 160333-42-6P 160333-44-8P  
 186463-15-0P 186463-19-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of sulfonamide inhibitors of aspartyl protease)

RN 157567-04-9 CAPLUS

CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester  
 (CA INDEX NAME)

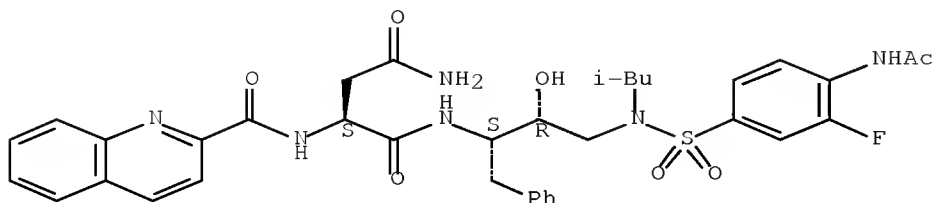
Absolute stereochemistry.



RN 160230-19-3 CAPLUS

CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

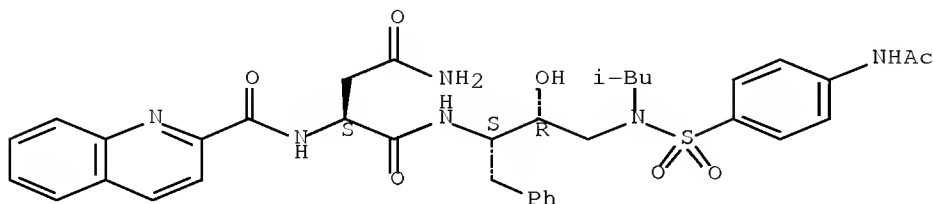
Absolute stereochemistry.



RN 160230-21-7 CAPLUS

CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 160230-27-3 CAPLUS

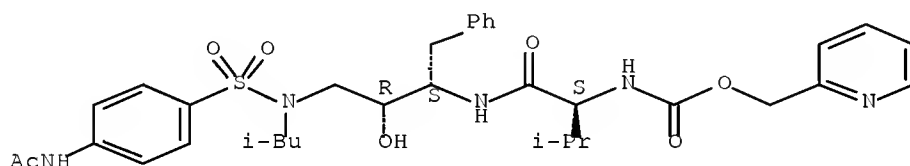
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 2-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 160230-26-2

CMF C34 H45 N5 O7 S

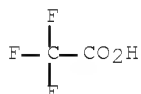
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 160230-29-5 CAPLUS

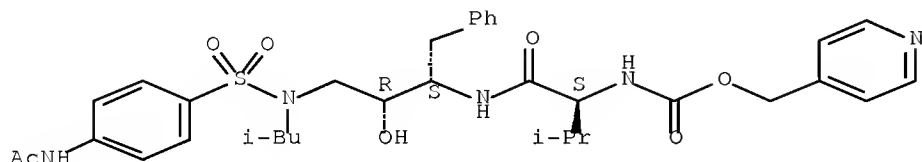
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 4-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 160230-28-4

CMF C34 H45 N5 O7 S

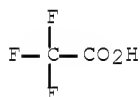
Absolute stereochemistry.



CM 2

CRN 76-05-1

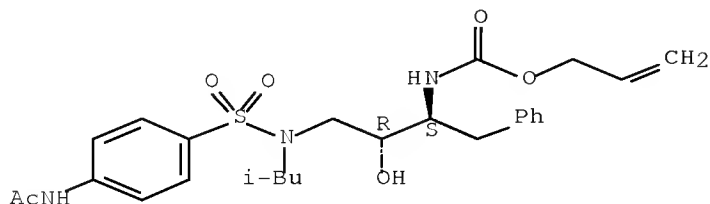
CMF C2 H F3 O2



RN 160230-36-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

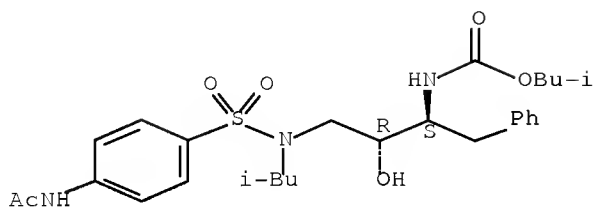
Absolute stereochemistry.



RN 160230-37-5 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

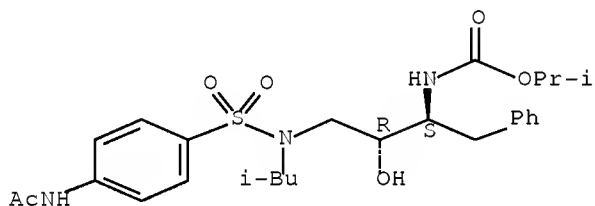
Absolute stereochemistry.



RN 160230-38-6 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

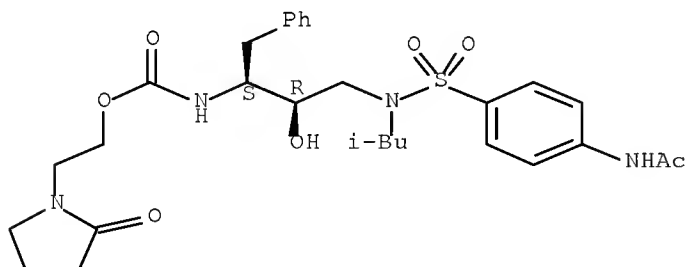
Absolute stereochemistry.



RN 160230-39-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-(2-oxo-1-pyrrolidinyl)ethyl ester (9CI) (CA INDEX NAME)

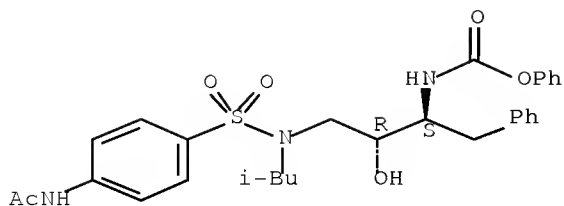
Absolute stereochemistry.



RN 160230-40-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenyl ester (9CI) (CA INDEX NAME)

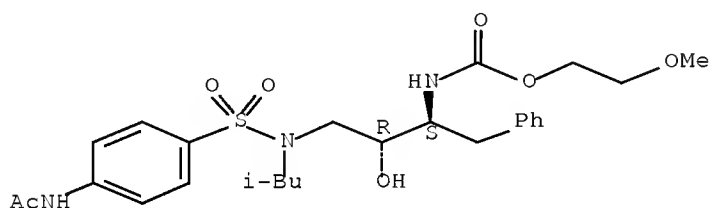
Absolute stereochemistry.



RN 160230-43-3 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

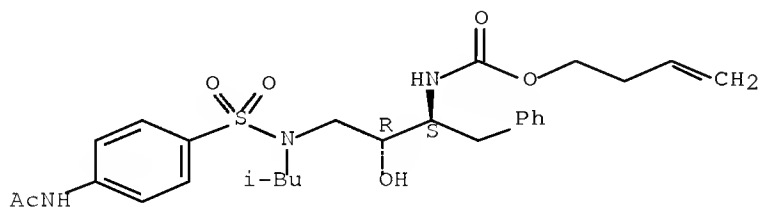
Absolute stereochemistry.



RN 160230-45-5 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-butenyl ester (9CI) (CA INDEX NAME)

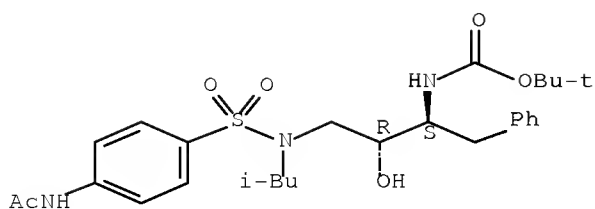
Absolute stereochemistry.



RN 160230-47-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

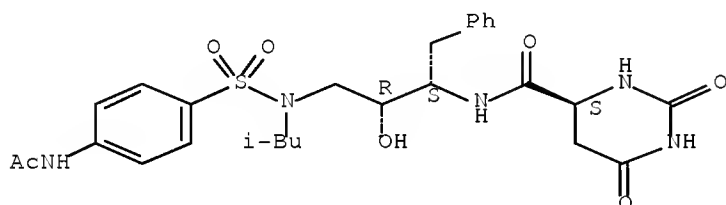
Absolute stereochemistry.



RN 160230-48-8 CAPLUS

CN 4-Pyrimidinecarboxamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]hexahydro-2,6-dioxo-, (4S)- (CA INDEX NAME)

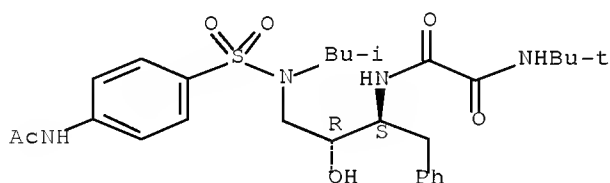
Absolute stereochemistry.



RN 160230-49-9 CAPLUS

CN Ethanediarnide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

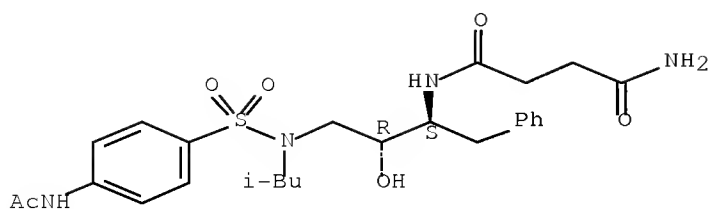
Absolute stereochemistry.



RN 160230-50-2 CAPLUS

CN Butanediarnide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

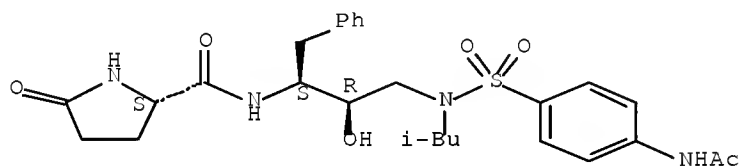
Absolute stereochemistry.



RN 160230-51-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-oxo-, (2S)- (CA INDEX NAME)

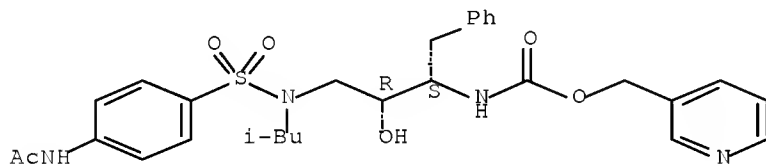
Absolute stereochemistry.



RN 160230-52-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)

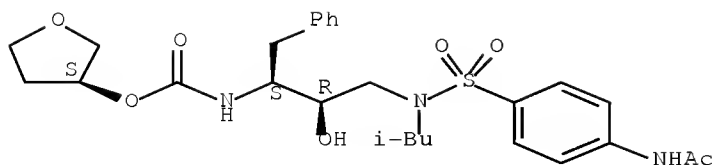
Absolute stereochemistry.



RN 160230-56-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

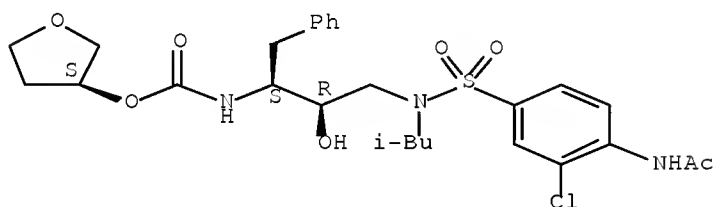
Absolute stereochemistry.



RN 160230-60-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamido)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

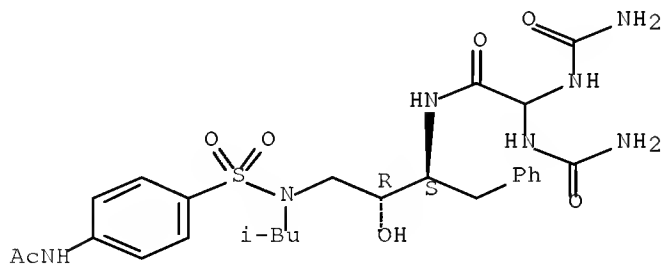
Absolute stereochemistry.



RN 160230-72-8 CAPLUS

CN Acetamide, N-[(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2,2-bis[(aminocarbonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

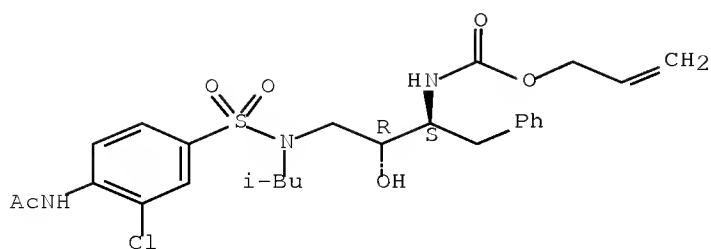


RN 160230-88-6 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

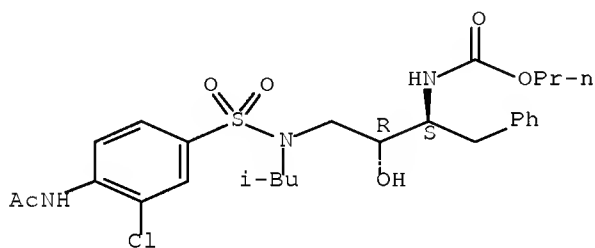




RN 160230-94-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, propyl ester (9CI)  
(CA INDEX NAME)

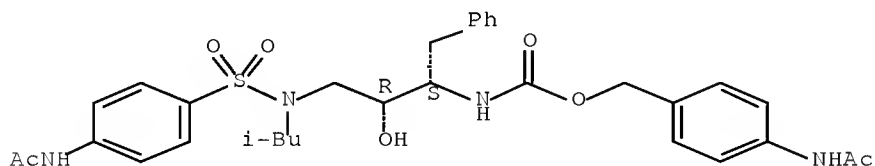
Absolute stereochemistry.



RN 160230-98-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [4-(acetamino)phenyl]methyl ester (9CI) (CA INDEX NAME)

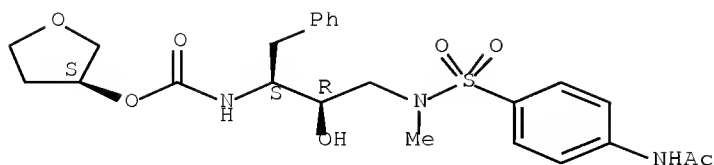
Absolute stereochemistry.



RN 160231-02-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI)  
(CA INDEX NAME)

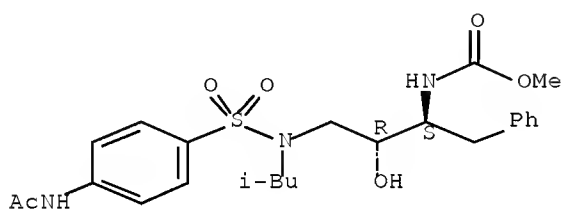
Absolute stereochemistry.



RN 160231-03-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, methyl ester (9CI)  
(CA INDEX NAME)

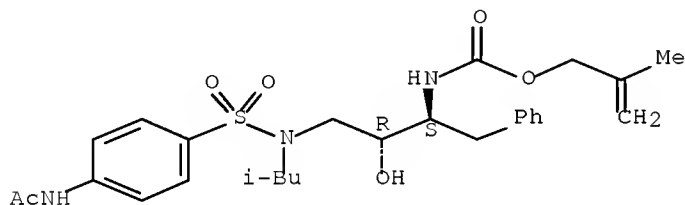
Absolute stereochemistry.



RN 160231-06-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methyl-2-propenyl ester (9CI) (CA INDEX NAME)

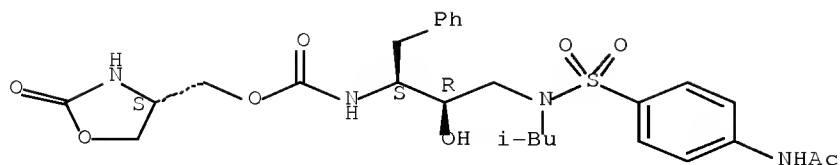
Absolute stereochemistry.



RN 160231-12-9 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [(4S)-2-oxo-4-oxazolidinyl]methyl ester (9CI) (CA INDEX NAME)

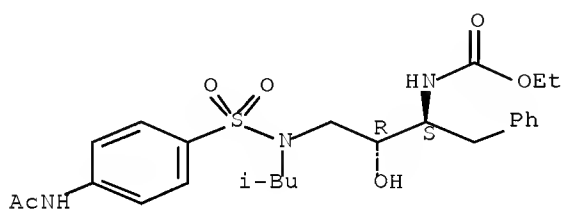
Absolute stereochemistry.



RN 160231-22-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

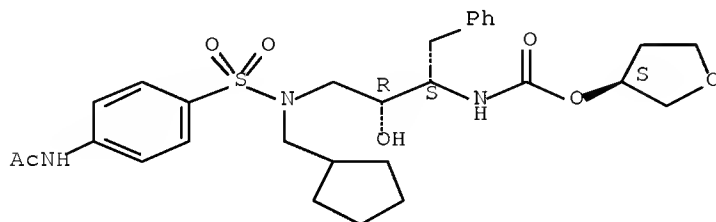
Absolute stereochemistry.



RN 160231-27-6 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

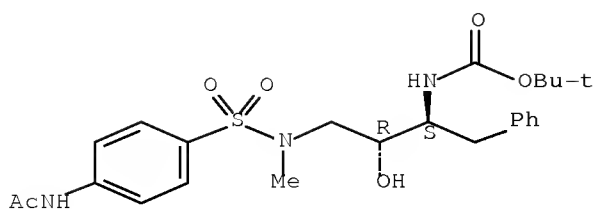
Absolute stereochemistry.



RN 160231-30-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

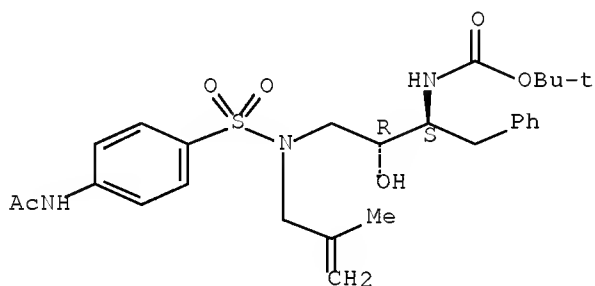
Absolute stereochemistry.



RN 160231-33-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

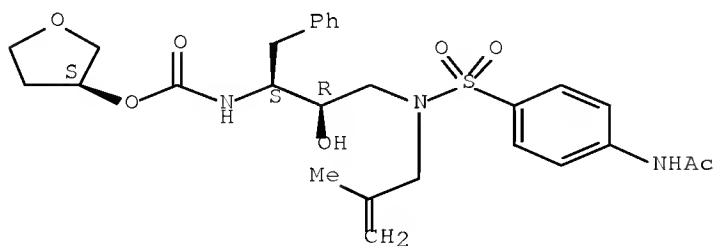
Absolute stereochemistry.



RN 160231-36-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

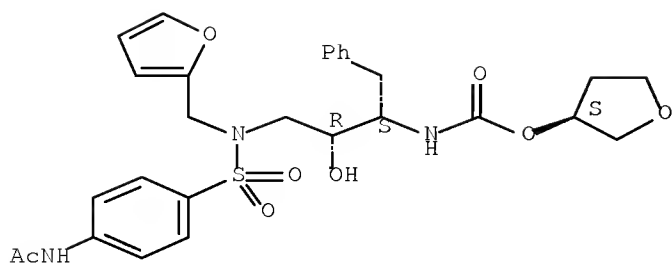
Absolute stereochemistry.



RN 160231-39-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-furanylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

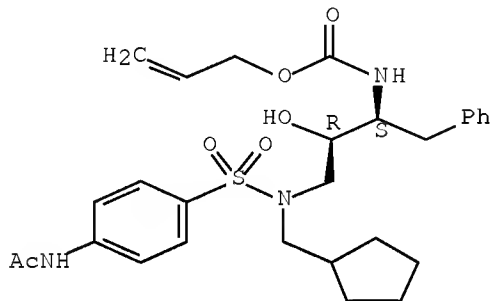
Absolute stereochemistry.



RN 160231-49-2 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160231-51-6 CAPLUS

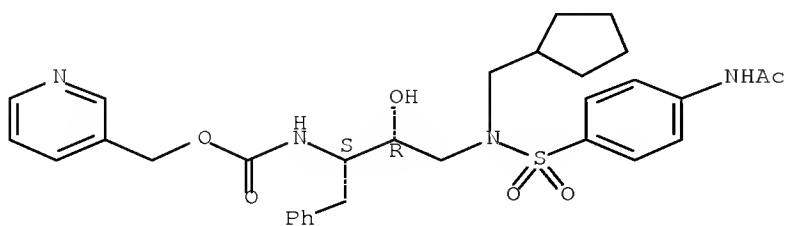
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 160231-50-5

CMF C31 H38 N4 O6 S

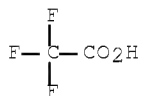
Absolute stereochemistry.



CM 2

CRN 76-05-1

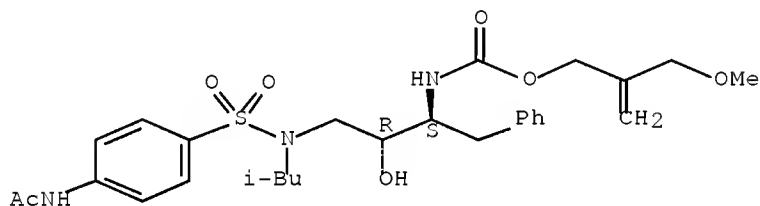
CMF C2 H F3 O2



RN 160231-58-3 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-(methoxymethyl)-2-propenyl ester (9CI) (CA INDEX NAME)

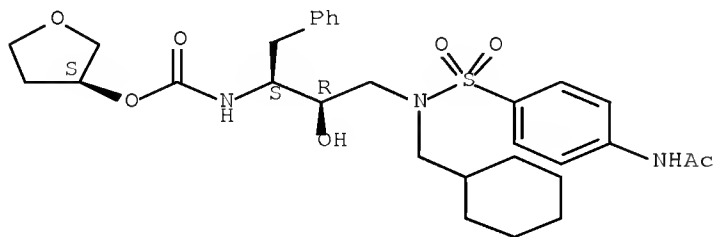
Absolute stereochemistry.



RN 160231-63-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

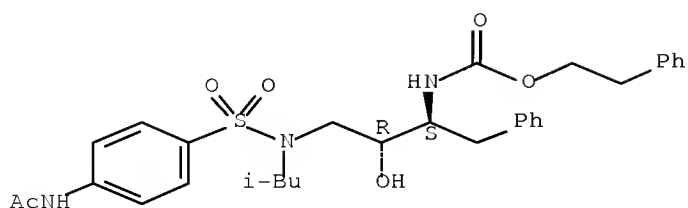
Absolute stereochemistry.



RN 160231-66-3 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

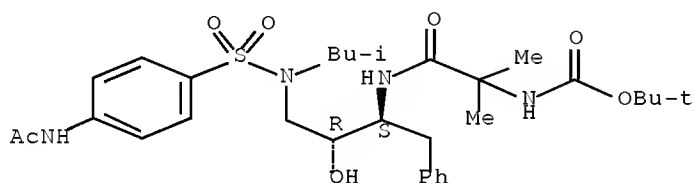
Absolute stereochemistry.



RN 160231-91-4 CAPLUS

CN Carbamic acid, [2-[[[(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

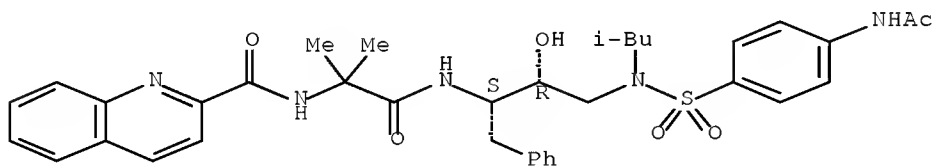
Absolute stereochemistry.



RN 160231-92-5 CAPLUS

CN 2-Quinolinecarboxamide, N-[2-[[[(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]-, (CA INDEX NAME)

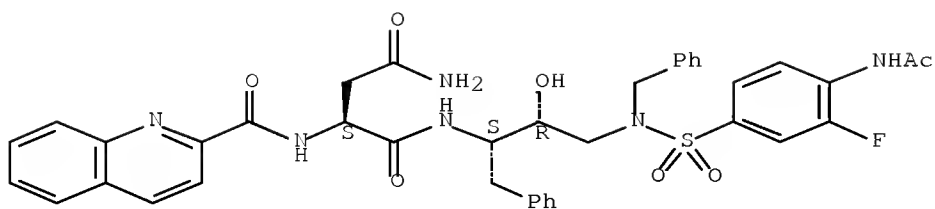
Absolute stereochemistry.



RN 160231-96-9 CAPLUS

CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetamino)-3-fluorophenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

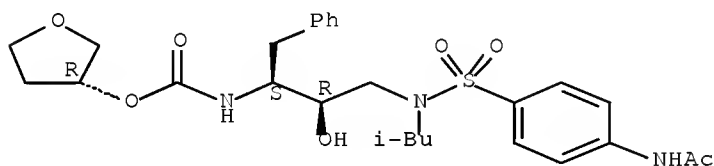
Absolute stereochemistry.



RN 160333-41-5 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

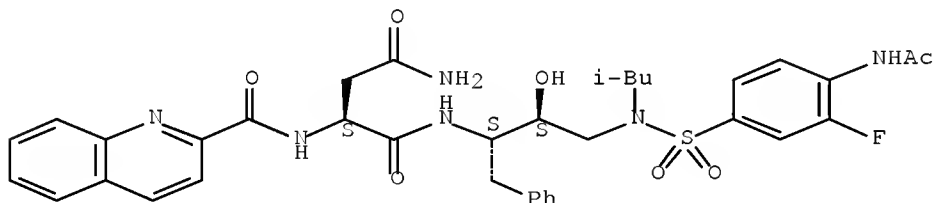
Absolute stereochemistry.



RN 160333-42-6 CAPLUS

CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylnylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

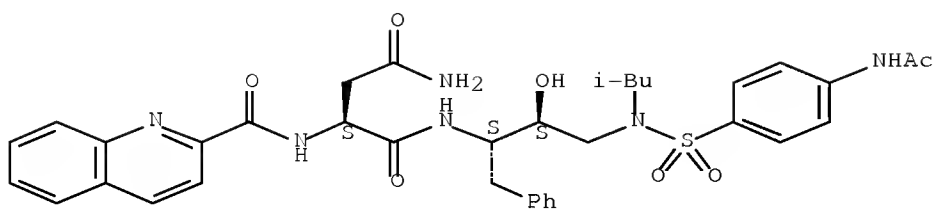


RN 160333-44-8 CAPLUS

CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylnylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

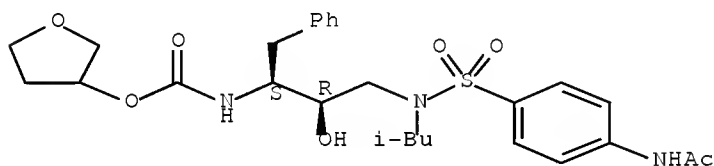




RN 186463-15-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamido)phenyl]sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

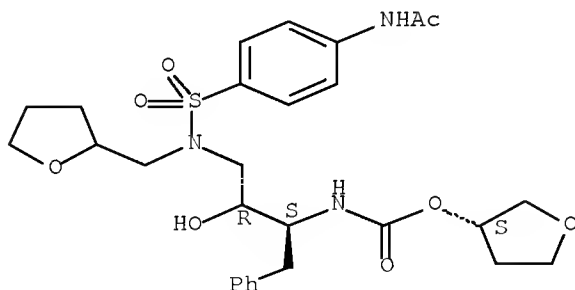
Absolute stereochemistry.



RN 186463-19-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamido)phenyl]sulfonyl]-(tetrahydro-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 160232-32-6P 160232-65-5P 160232-75-7P

160232-95-1P 160233-13-6P 186463-25-2P

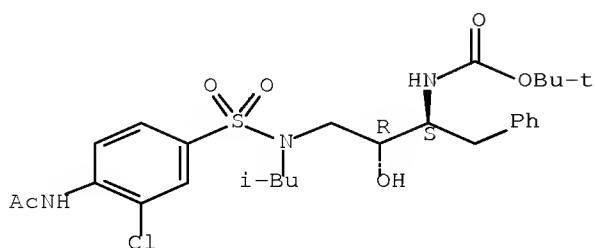
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of sulfonamide inhibitors of aspartyl protease)

RN 160232-32-6 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamido)-3-chlorophenyl]sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

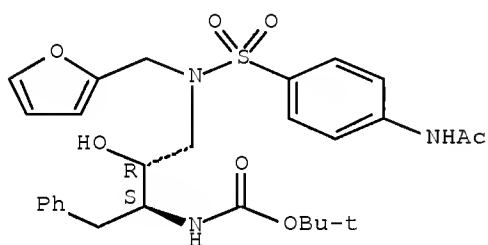
Absolute stereochemistry.



RN 160232-65-5 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-furanylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

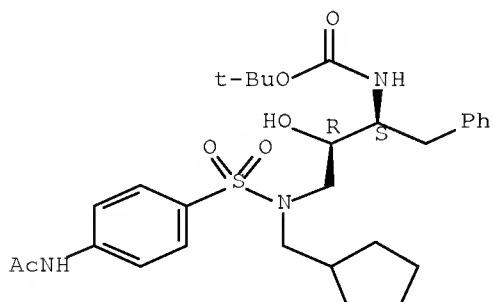
Absolute stereochemistry.



RN 160232-75-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

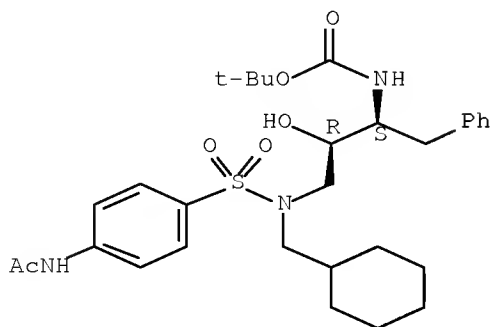


RN 160232-95-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

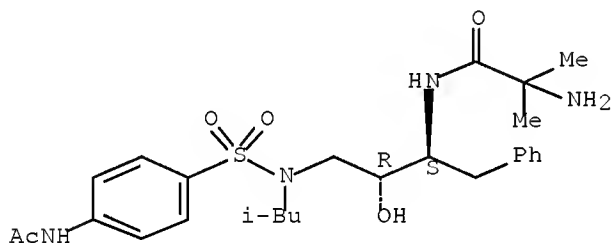
Absolute stereochemistry.



RN 160233-13-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-amino-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

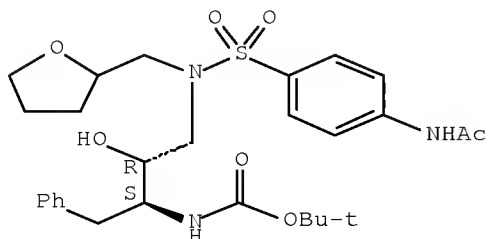


● HCl

RN 186463-25-2 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl][(tetrahydro-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 35 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:5844 CAPLUS Full-text

DOCUMENT NUMBER: 126:31265

ORIGINAL REFERENCE NO.: 126:6361a,6364a

TITLE: Preparation of tetrahydrofuran-containing sulfonamide  
inhibitors of aspartyl protease for treatment of HIV  
infection.

INVENTOR(S): Tung, Roger D.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

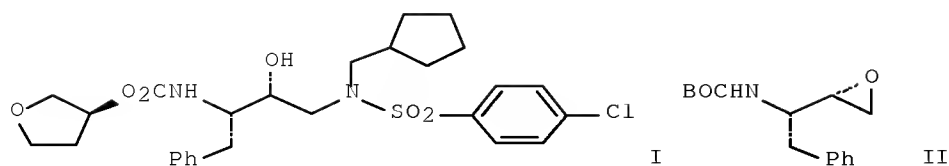
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9633184	A1	19961024	WO 1996-US5475	19960418
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5723490	A	19980303	US 1995-424819	19950419
AU 9655596	A	19961107	AU 1996-55596	19960418
AU 706732	B2	19990624		
EP 846110	A1	19980610	EP 1996-912942	19960418
EP 846110	B1	20020828		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
JP 10509739	T	19980922	JP 1996-531954	19960418
JP 3046357	B2	20000529		
BR 9608032	A	19990112	BR 1996-8032	19960418
HU 9801877	A2	19990928	HU 1998-1877	19960418
HU 9801877	A3	20010228		
HU 224027	B1	20050530		
NZ 306903	A	20000228	NZ 1996-306903	19960418
AT 222761	T	20020915	AT 1996-912942	19960418
EE 4307	B1	20040615	EE 1997-266	19960418
RO 119302	B1	20040730	RO 1997-1926	19960418
SK 284785	B6	20051103	SK 1997-1431	19960418
PL 195368	B1	20070928	PL 1996-322877	19960418
NO 9704722	A	19971013	NO 1997-4722	19971013
NO 317734	B1	20041213		
BG 63677	B1	20020930	BG 1997-102048	19971117
PRIORITY APPLN. INFO.:			US 1995-424819	A 19950419
			US 1992-941982	B2 19920908
			US 1993-142327	A2 19931124
			US 1995-393460	B2 19950223
			WO 1996-US5475	W 19960418

OTHER SOURCE(S): MARPAT 126:31265

GI



AB R1QNHCHR2CH(OH)CH2NR3SO2E [R1 = tetrahydrofuryl; Q = CO, SO2, COCO, O2C, OSO2, iminosulfonyl, aminocarbonyl, etc.; R2, R3 = (substituted) alkyl, alkenyl, carbocyclyl, cycloalkenyl, aryl, heterocyclyl; E = (substituted) heterocyclyl, carbocyclyl, aryl, heterocycliloxy, carbocycliloxy, aryloxy, amino, alkoxy, alkenyloxy, etc.], were prepared. Thus, title compound (I), prepared from epoxide (II), showed  $K_i < 0.1$  nM against HIV-1 protease.

IT 160333-41-5P 184489-98-3P 184490-01-5P  
184490-11-7P 184490-13-9P 184490-29-7P

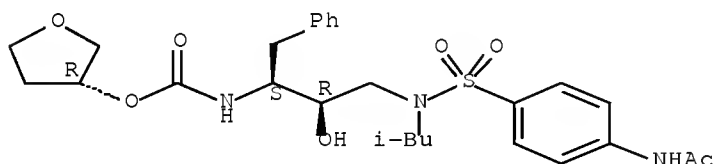
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl protease for treatment of HIV infection)

RN 160333-41-5 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

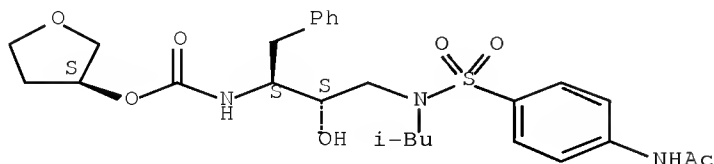
Absolute stereochemistry.



RN 184489-98-3 CAPLUS

CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester, [3S-[3R\*(1R\*,2R\*)]]- (9CI) (CA INDEX NAME)

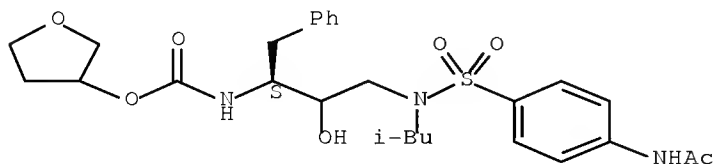
Absolute stereochemistry.



RN 184490-01-5 CAPLUS

CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester, [3(1S)]-[partial]- (9CI) (CA INDEX NAME)

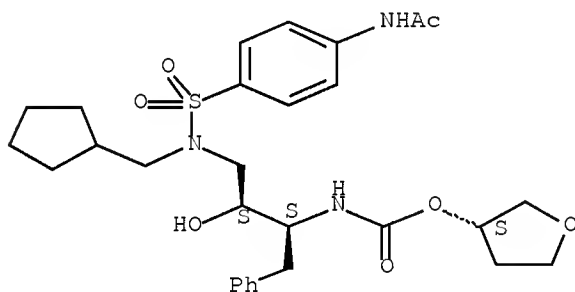
Absolute stereochemistry.



RN 184490-11-7 CAPLUS

CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester, [3S-[3R\*(1R\*,2R\*)]]- (9CI) (CA INDEX NAME)

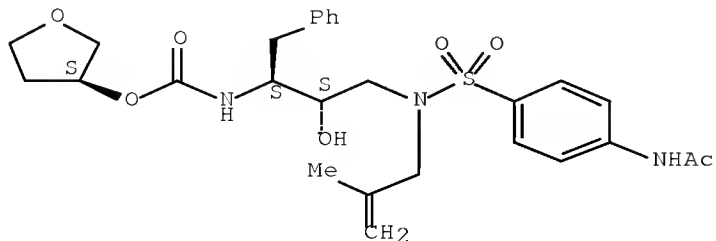
Absolute stereochemistry.



RN 184490-13-9 CAPLUS

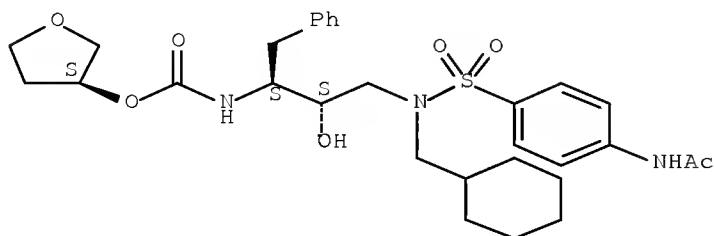
CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester, [3S-[3R\*(1R\*,2R\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 184490-29-7 CAPLUS  
CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester, [3S-[3R\*(1R\*,2R\*)]]- (9CI) (CA INDEX NAME)

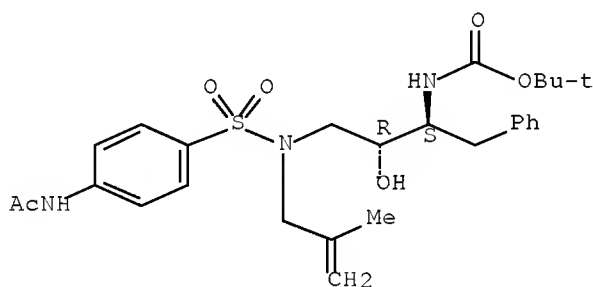
Absolute stereochemistry.



IT 160231-33-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl protease for treatment of HIV infection)

RN 160231-33-4 CAPLUS  
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 36 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 1996:746507 CAPLUS [Full-text](#)  
DOCUMENT NUMBER: 126:18882  
ORIGINAL REFERENCE NO.: 126:3925a,3928a  
TITLE: Preparation of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors  
INVENTOR(S): Tung, Roger D.; Bhisetti, Govinda Rao  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 75 pp.

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

CODEN: PIXXD2

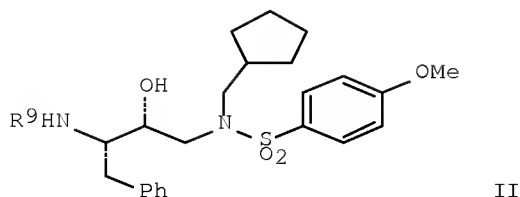
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9633187	A1	19961024	WO 1996-US5473	19960418
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
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ZA 9602891	A	19961015	ZA 1996-2891	19960411
TW 404945	B	20000911	TW 1996-85104278	19960411
CA 2217745	A1	19961024	CA 1996-2217745	19960418
AU 9656655	A	19961107	AU 1996-56655	19960418
AU 712913	B2	19991118		
EP 833826	A1	19980408	EP 1996-913811	19960418
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CN 1184474	A	19980610	CN 1996-193903	19960418
CN 1110491	C	20030604		
BR 9608033	A	19990112	BR 1996-8033	19960418
JP 11504628	T	19990427	JP 1996-531953	19960418
JP 4240532	B2	20090318		
HU 9801948	A2	19990928	HU 1998-1948	19960418
HU 9801948	A3	20020429		
AP 862	A	20000804	AP 1997-1104	19960418
W: KE, LS, MW, SD, SZ, UG				
EP 1136479	A1	20010926	EP 2001-113575	19960418
EP 1136479	B1	20051228		
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AT 212344	T	20020215	AT 1996-913811	19960418
PT 833826	T	20020628	PT 1996-913811	19960418
ES 2171670	T3	20020916	ES 1996-913811	19960418
AT 314360	T	20060115	AT 2001-113575	19960418
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US 5990155	A	19991123	US 1997-977365	19971124
HK 1009811	A1	20021220	HK 1998-110833	19980922
HK 1042892	A1	20061103	HK 2002-102257	19980922

PRIORITY APPLN. INFO.:

US 1995-424810	A	19950419
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EP 2001-113575	A3	19960418
WO 1996-US5473	W	19960418
HK 1998-110833	A	19980922

OTHER SOURCE(S): MARPAT 126:18882  
 GI





AB R1ZNHCHR7CH(OH)CH2NR8SO2R [I; R = heterocyclyl(oxy), (di)(alkyl)amino, alkyl, etc.; R1 = O-containing heterocyclyl(alkyl); R7,R8 = (cyclo)alkyl, aryl, heterocyclyl, etc.; Z = O, CO, SO2, NHCO, etc.] were prepared Thus, glycerol formal was esterified by ClCO2C6H4(NO2)-4 and 1 of the 2 products amidated by aminohydroxyalkylsulfonamide II (R9 = H) to give II (R9 = 1,3-dioxan-5-ylloxycarbonyl). Data for biol. activity of I were given.

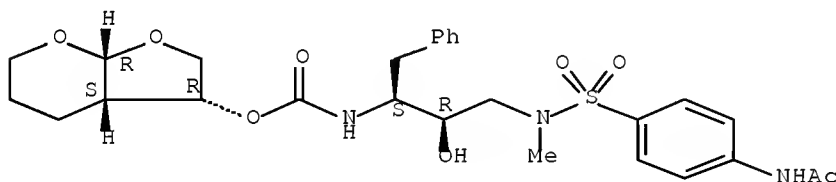
IT 184155-34-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

RN 184155-34-8 CAPLUS

CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3R-[3 $\alpha$ (1S\*,2R\*),3 $\alpha\beta$ ,7 $\alpha\beta$ ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



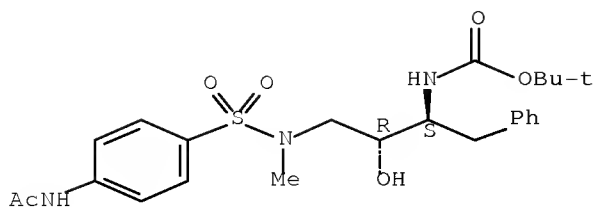
IT 160231-30-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

RN 160231-30-1 CAPLUS

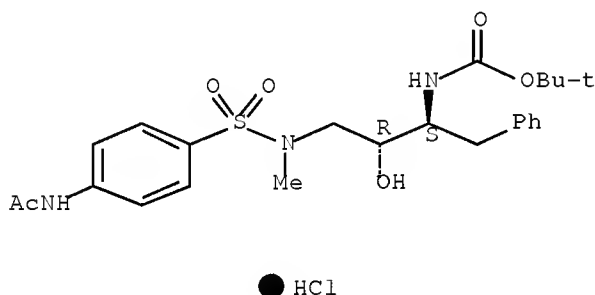
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 184155-45-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates  
 and analogs as aspartyl protease inhibitors)  
 RN 184155-45-1 CAPLUS  
 CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-  
 1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester, monohydrochloride,  
 [R-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1996:380218 CAPLUS Full-text  
 DOCUMENT NUMBER: 125:142289  
 ORIGINAL REFERENCE NO.: 125:26629a,26632a  
 TITLE: Sulfonylalkanoylamino hydroxyethylamino sulfonamides  
 useful as retroviral protease inhibitors  
 INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John  
 J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos,  
 John N.  
 PATENT ASSIGNEE(S): G. D. Searle and Co., USA  
 SOURCE: U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 935,071,  
 abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

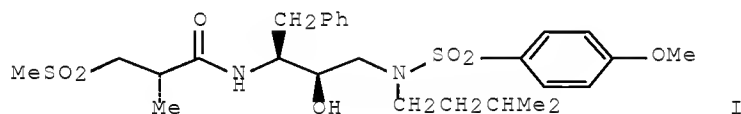
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 5521219	A	19960528	US 1993-110913	19930824
AT 161828	T	19980115	AT 1993-920214	19930824
ES 2112430	T3	19980401	ES 1993-920214	19930824
FI 9500651	A	19950214	FI 1995-651	19950214
US 5508294	A	19960416	US 1995-455051	19950531
US 5510388	A	19960423	US 1995-455947	19950531
US 5639769	A	19970617	US 1996-587688	19960117
US 5760064	A	19980602	US 1997-867430	19970606
US 5965588	A	19991012	US 1998-48034	19980326
US 6147117	A	20001114	US 1999-352215	19990713
US 6743929	B1	20040601	US 2000-655844	20000906
US 20040267022	A1	20041230	US 2004-750213	20040102

PRIORITY APPLN. INFO.:

US 1992-935071	B2	19920825
US 1993-110913	A3	19930824
US 1996-587688	A1	19960117
US 1997-867430	A1	19970606
US 1998-48034	A1	19980326
US 1999-352215	A1	19990713
US 2000-655844	A3	20000906

OTHER SOURCE(S): CASREACT 125:142289; MARPAT 125:142289  
GI



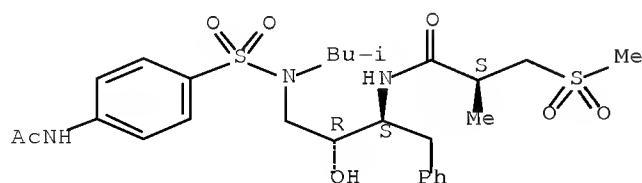
AB RSO<sub>2</sub>(CH<sub>2</sub>)<sub>t</sub>CH<sub>2</sub>CHR<sub>1</sub>C(:Y)NHCHR<sub>2</sub>CH(OH)CH<sub>2</sub>NR<sub>3</sub>SO<sub>2</sub>R<sub>4</sub> (R = alkyl, alkenyl, aryl, etc.; R<sub>1</sub> = H, CMe<sub>2</sub>SMe, alkyl, haloalkyl, amino acid side chain, etc.; R<sub>2</sub> = alkyl, aryl, cycloalkyl, etc.; R<sub>3</sub> = H, alkyl, haloalkyl, alkenyl, etc.; R<sub>4</sub> = alkyl, cycloalkyl, aryl, etc.; t = 0, 1; Y = O, S) and their salts were prepared as retroviral protease inhibitors. Thus, I was prepared in several steps and shown to have an IC<sub>50</sub> of 3.2 nanomolar when tested against HIV protease.

IT 157566-84-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(sulfonylethylamino hydroxyethylamino sulfonamides as retroviral protease inhibitors)

RN 157566-84-2 CAPLUS

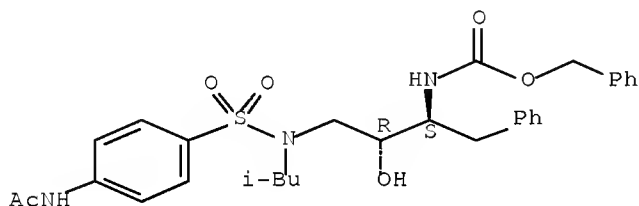
CN Propanamide, N-[3-[[[4-(acetilamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R\*(R\*),2S\*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 157567-04-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (sulfonylalkanoylamino hydroxyethylamino sulfonamides as retroviral  
 protease inhibitors)  
 RN 157567-04-9 CAPLUS  
 CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-  
 methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester  
 (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1995:293723 CAPLUS Full-text  
 DOCUMENT NUMBER: 122:81141  
 ORIGINAL REFERENCE NO.: 122:15415a,15418a  
 TITLE: Preparation of heterocyclaryl sulfonamide inhibitors  
 of HIV-aspartyl protease  
 INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda Rao  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA  
 SOURCE: PCT Int. Appl., 291 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

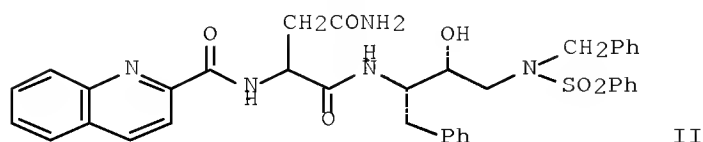
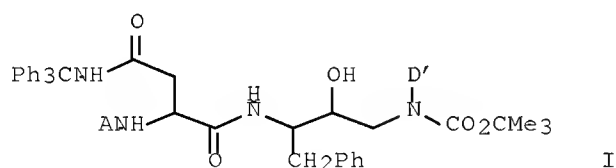
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9405639	A1	19940317	WO 1993-US8458	19930907
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
LT 3302	B	19950626	LT 1993-917	19930901
IL 106927	A	20010111	IL 1993-106927	19930906
AU 9348520	A	19940329	AU 1993-48520	19930907
AU 691160	B2	19980514		
EP 659181	A1	19950628	EP 1993-921428	19930907
EP 659181	B1	19990407		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				

JP 08501299	T	19960213	JP 1994-507525	19930907
JP 3012002	B2	20000221		
HU 71892	A2	19960228	HU 1995-685	19930907
EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
EP 885887	B1	20030528		
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AT 178598	T	19990415	AT 1993-921428	19930907
ES 2131589	T3	19990801	ES 1993-921428	19930907
RU 2135496	C1	19990827	RU 1995-109928	19930907
SK 281360	B6	20010212	SK 1995-293	19930907
CZ 289475	B6	20020116	CZ 1995-587	19930907
CA 2143208	C	20030107	CA 1993-2143208	19930907
AT 241602	T	20030615	AT 1998-113921	19930907
PL 185635	B1	20030630	PL 1993-307858	19930907
RO 118747	B1	20031030	RO 1995-479	19930907
PT 885887	T	20031031	PT 1998-113921	19930907
ES 2200243	T3	20040301	ES 1998-113921	19930907
CN 1087347	A	19940601	CN 1993-117370	19930908
CN 1061339	C	20010131		
ZA 9308470	A	19940620	ZA 1993-8470	19931112
US 5585397	A	19961217	US 1993-142327	19931124
FI 9501059	A	19950418	FI 1995-1059	19950307
NO 9500876	A	19950508	NO 1995-876	19950307
NO 303444	B1	19980713		
HK 1012631	A1	20000623	HK 1998-113971	19981217
HK 1023561	A1	20040716	HK 2000-100689	19981217
US 20060189810	A1	20060824	US 2006-408287	20060419

PRIORITY APPLN. INFO.:

US 1992-941982	A2	19920908
EP 1993-921428	A3	19930907
WO 1993-US8458	W	19930907
US 1993-142327	A3	19931124
US 1995-484326	A3	19950607
US 2002-94790	A3	20020308

OTHER SOURCE(S): MARPAT 122:81141  
GI



AB Title compds. A(B)xNHCH(D)CH(OH)CH2N(D')SO2E (A = H, Het, R1-Het, (substituted)R1-C1-6 alkyl, (substituted) R1-C2-6 alkenyl wherein R1 = CO, SO2, COCO, O2C, etc., Het = C5-7 cycloalkyl, C5-7 cycloalkenyl, C6-10 aryl,

(substituted) 5-7-membered heterocyclyl; R2 = H, (Ar)-C1-3 alkyl; B = NR2CR3CO, null wherein R3 = H, (substituted)Het or C1-6 alkyl or C2-6 alkenyl or C3-6 cycloalkyl or C5-6 cycloalkenyl; x = 0,1; D, D' = Ar, (substituted) C1-4 alkyl wherein Ar = Ph, (substituted) 3-6-membered carbocyclyl or 5-6-membered heterocyclyl; E = Het-O, Het-Het, (substituted) C1-6 alkyl or C2-6 alkenyl, C3-6 carbocyclyl) useful also against viral infection of HIV-2, HIV-2, or HTLV, are prepared 4,3-(AcNH)FC6H3SO2Cl and syn-I (A = quinolin-2-ylcarbonyl, D' = Me2CHCH2) (preparation given) in CH2Cl2 was treated with F3CCO2H followed by NaHCO3 and 4-FC6H4SO2Cl to give the title compound II which inhibited HIV-1 protease with IC50 of <0.1 nM.

IT 160232-32-6P 160232-58-6P 160232-65-5P

160232-75-7P 160232-95-1P 160233-13-6P

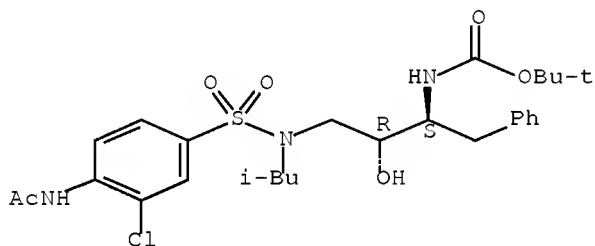
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of HIV-1 protease inhibitors)

RN 160232-32-6 CAPLUS

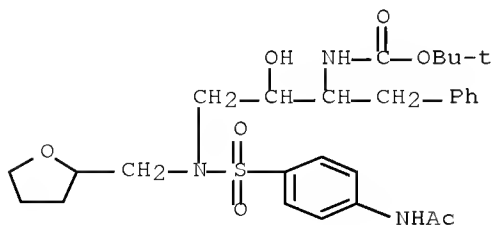
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160232-58-6 CAPLUS

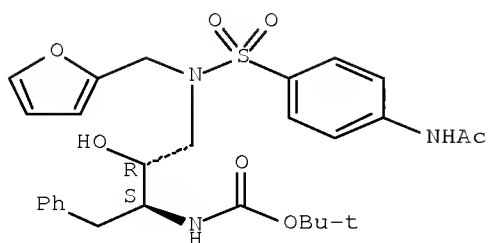
CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl][(tetrahydro-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 160232-65-5 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-furanylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

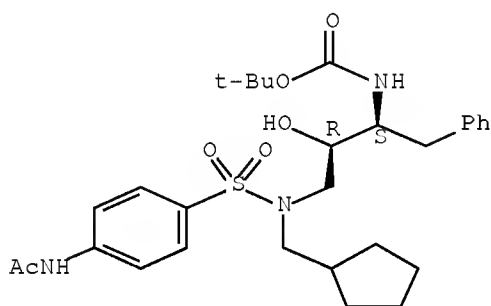
Absolute stereochemistry.



RN 160232-75-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

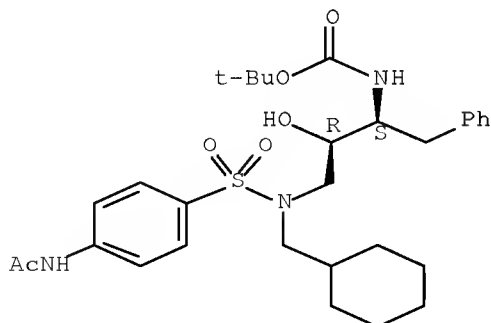
Absolute stereochemistry.



RN 160232-95-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

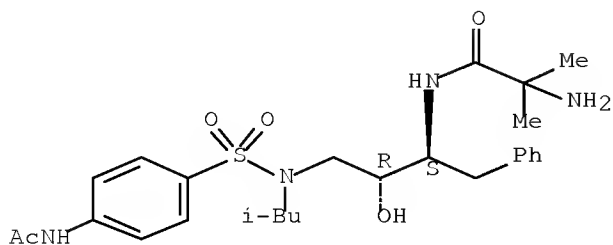


RN 160233-13-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-amino-2-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

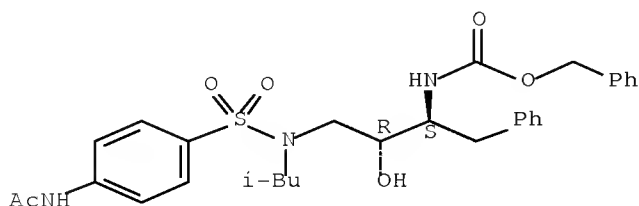
IT 157567-04-9P 160230-19-3P 160230-21-7P  
160230-27-3P 160230-29-5P 160230-36-4P  
160230-37-5P 160230-38-6P 160230-39-7P  
160230-40-0P 160230-43-3P 160230-45-5P  
160230-47-7P 160230-48-8P 160230-49-9P  
160230-50-2P 160230-51-3P 160230-52-4P  
160230-56-8P 160230-60-4P 160230-72-8P  
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160231-02-7P 160231-03-8P 160231-06-1P  
160231-12-9P 160231-22-1P 160231-27-6P  
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160231-36-7P 160231-39-0P 160231-49-2P  
160231-51-6P 160231-58-3P 160231-63-0P  
160231-66-3P 160231-91-4P 160231-92-5P  
160231-96-9P 160333-39-1P 160333-41-5P  
160333-42-6P 160333-44-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of as HIV-1 protease inhibitor)

RN 157567-04-9 CAPLUS

CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester  
(CA INDEX NAME)

Absolute stereochemistry.

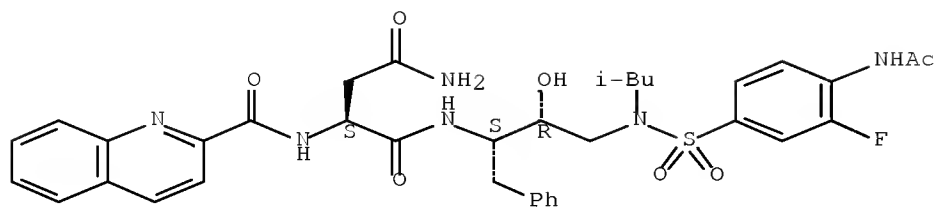


RN 160230-19-3 CAPLUS

CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)



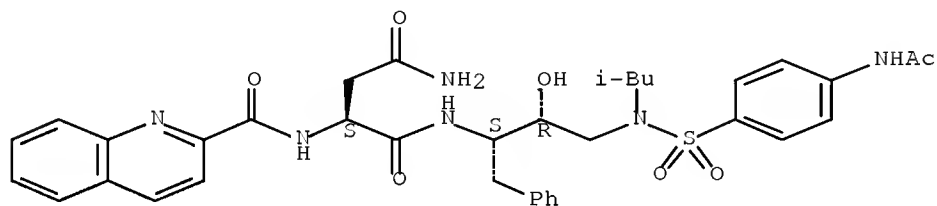
Absolute stereochemistry.



RN 160230-21-7 CAPLUS

CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 160230-27-3 CAPLUS

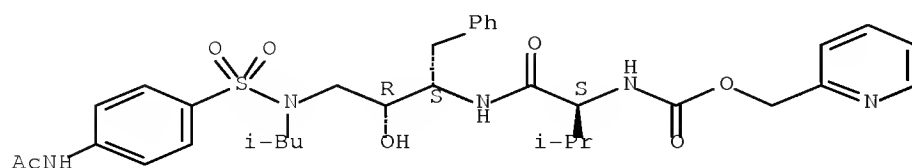
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 2-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 160230-26-2

CMF C34 H45 N5 O7 S

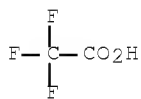
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 160230-29-5 CAPLUS

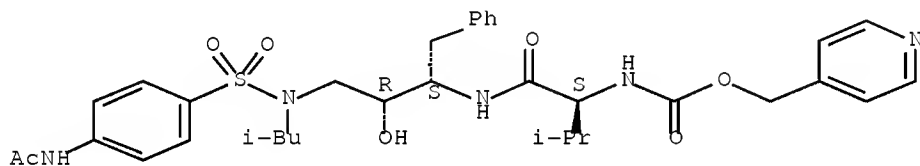
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 4-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 160230-28-4

CMF C34 H45 N5 O7 S

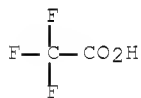
Absolute stereochemistry.



CM 2

CRN 76-05-1

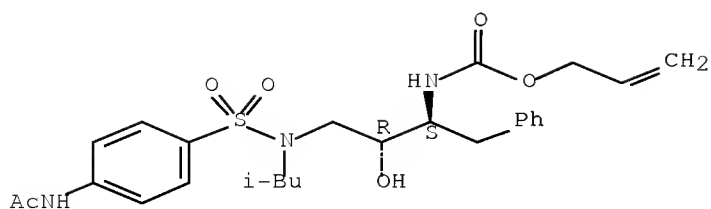
CMF C2 H F3 O2



RN 160230-36-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

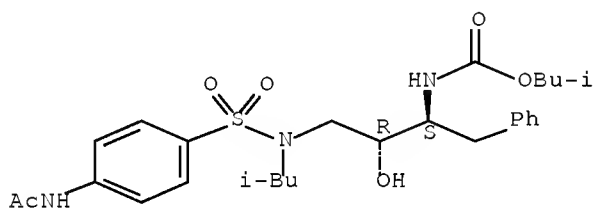
Absolute stereochemistry.



RN 160230-37-5 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

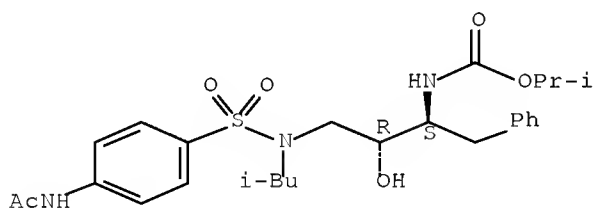
Absolute stereochemistry.



RN 160230-38-6 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

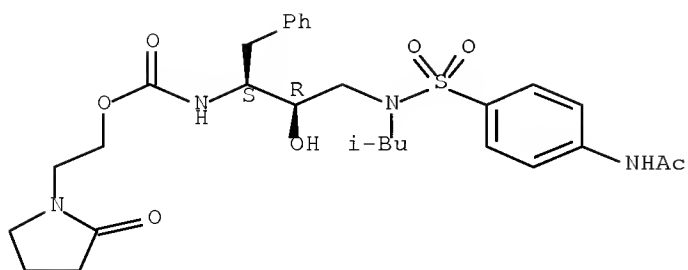
Absolute stereochemistry.



RN 160230-39-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-(2-oxo-1-pyrrolidinyl)ethyl ester (9CI) (CA INDEX NAME)

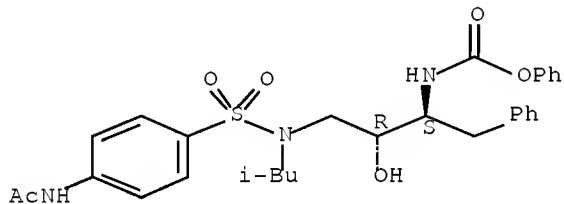
Absolute stereochemistry.



RN 160230-40-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamido)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenyl ester (9CI)  
(CA INDEX NAME)

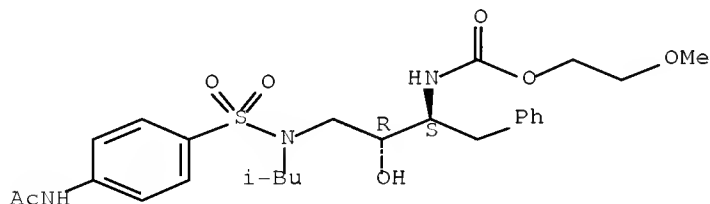
Absolute stereochemistry.



RN 160230-43-3 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamido)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

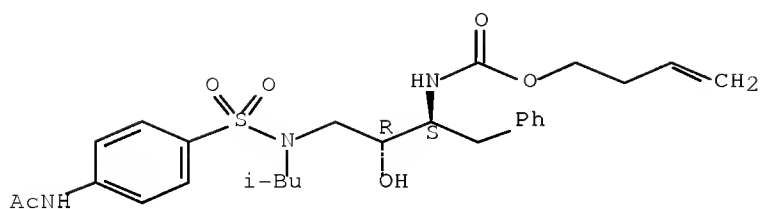
Absolute stereochemistry.



RN 160230-45-5 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamido)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-butenyl ester (9CI) (CA INDEX NAME)

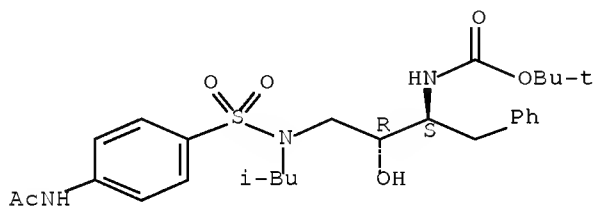
Absolute stereochemistry.



RN 160230-47-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

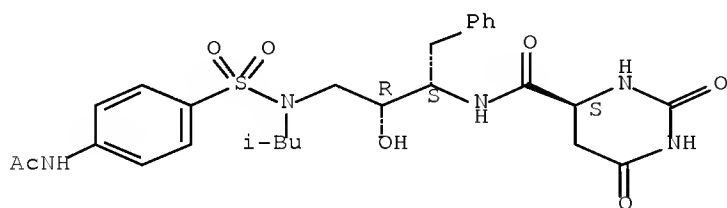
Absolute stereochemistry.



RN 160230-48-8 CAPLUS

CN 4-Pyrimidinecarboxamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]hexahydro-2,6-dioxo-, (4S)- (CA INDEX NAME)

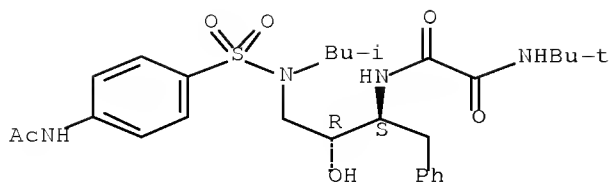
Absolute stereochemistry.



RN 160230-49-9 CAPLUS

CN Ethanediame, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

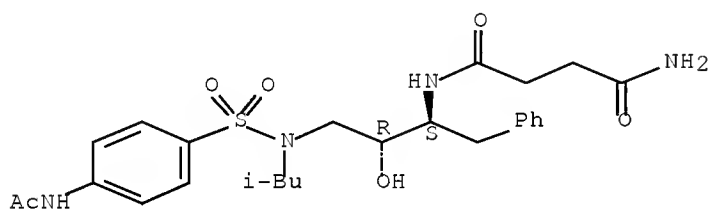
Absolute stereochemistry.



RN 160230-50-2 CAPLUS

CN Butanediamide, N-[(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

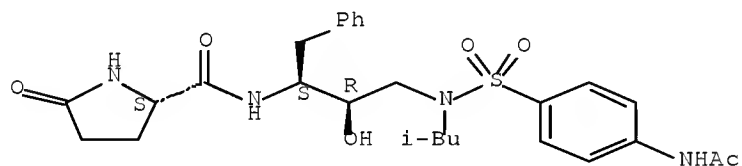
Absolute stereochemistry.



RN 160230-51-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-oxo-, (2S)- (CA INDEX NAME)

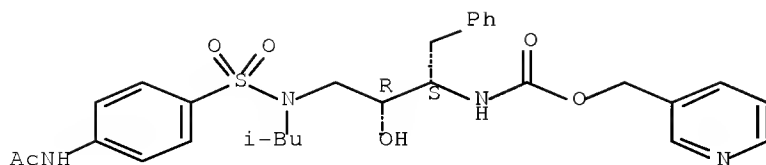
Absolute stereochemistry.



RN 160230-52-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)

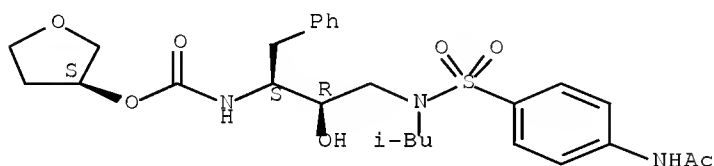
Absolute stereochemistry.



RN 160230-56-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

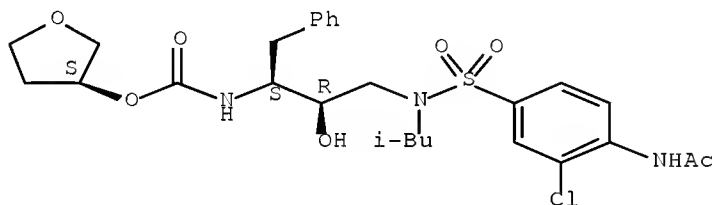
Absolute stereochemistry.



RN 160230-60-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

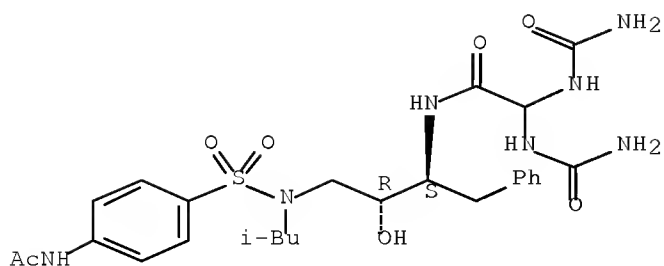
Absolute stereochemistry.



RN 160230-72-8 CAPLUS

CN Acetamide, N-[(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2,2-bis[(aminocarbonyl)amino]- (CA INDEX NAME)

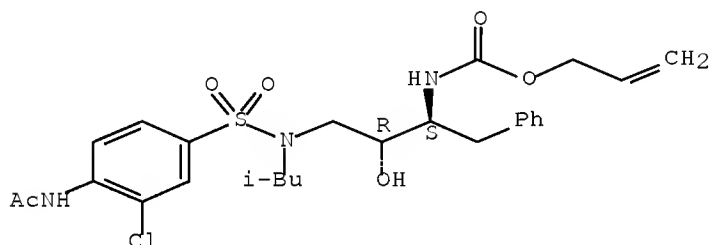
Absolute stereochemistry.



RN 160230-88-6 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

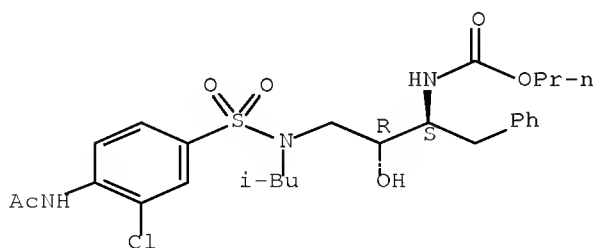
Absolute stereochemistry.



RN 160230-94-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

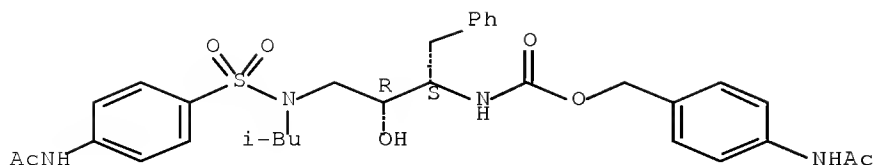


RN 160230-98-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [4-(acetamino)phenyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

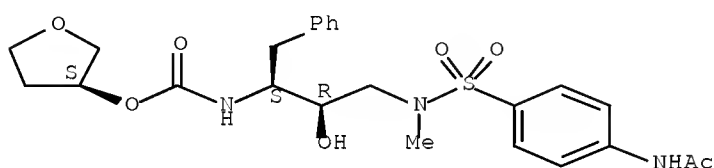




RN 160231-02-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI)  
(CA INDEX NAME)

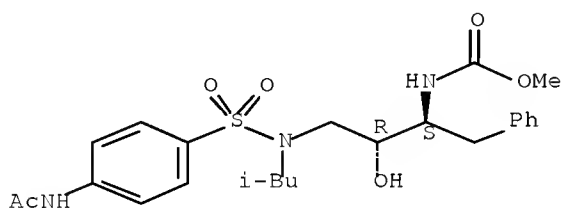
Absolute stereochemistry.



RN 160231-03-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, methyl ester (9CI)  
(CA INDEX NAME)

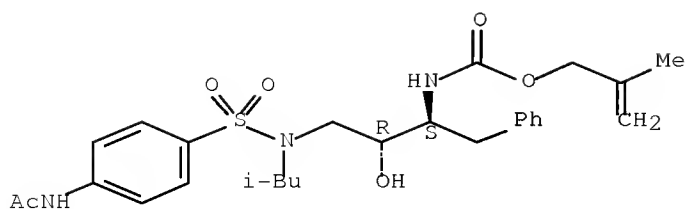
Absolute stereochemistry.



RN 160231-06-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methyl-2-propenyl ester (9CI) (CA INDEX NAME)

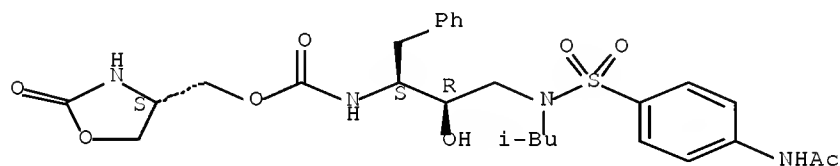
Absolute stereochemistry.



RN 160231-12-9 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [(4S)-2-oxo-4-oxazolidinyl]methyl ester (9CI) (CA INDEX NAME)

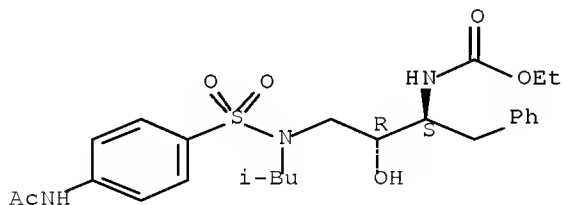
Absolute stereochemistry.



RN 160231-22-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

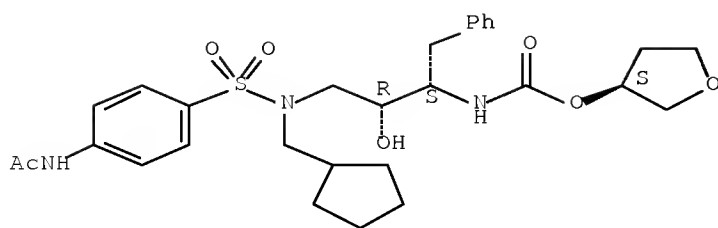
Absolute stereochemistry.



RN 160231-27-6 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

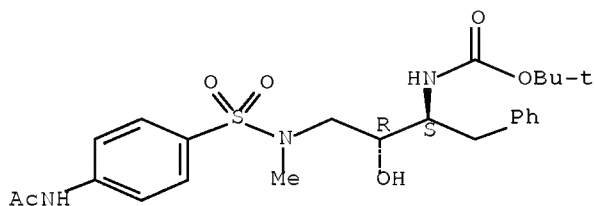
Absolute stereochemistry.



RN 160231-30-1 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

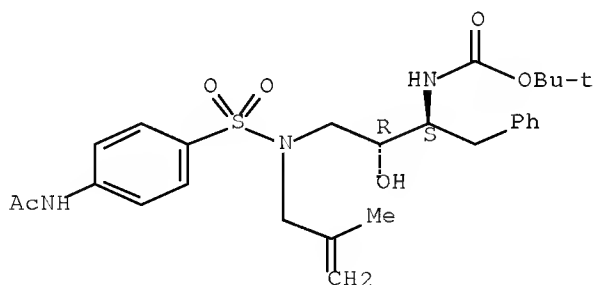
Absolute stereochemistry.



RN 160231-33-4 CAPLUS

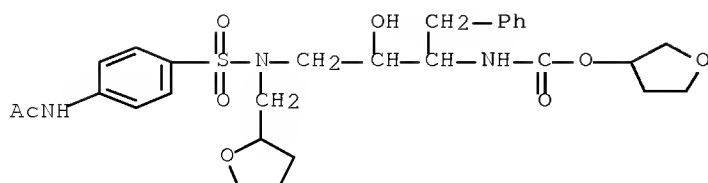
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160231-35-6 CAPLUS

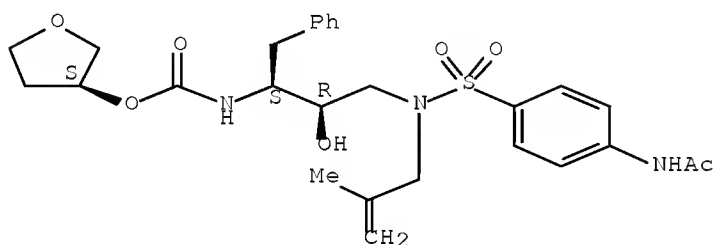
CN Carbamic acid, [3-[[[4-(acetamino)phenyl]sulfonyl][(tetrahydro-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)



RN 160231-36-7 CAPLUS

CN Carbamic acid, [(1S, 2R)-3-[[[4-(acetamido)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

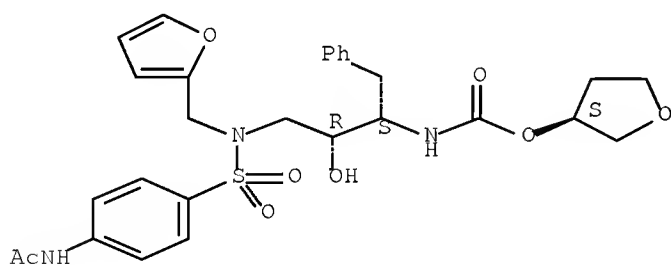
Absolute stereochemistry.



RN 160231-39-0 CAPLUS

CN Carbamic acid, [(1S, 2R)-3-[[[4-(acetamido)phenyl]sulfonyl](2-furanylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

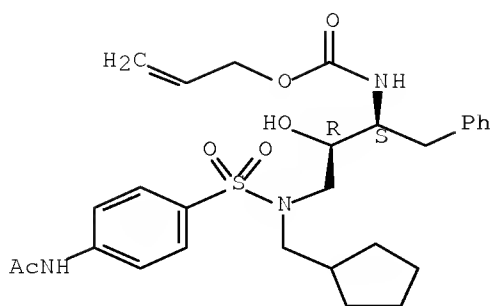
Absolute stereochemistry.



RN 160231-49-2 CAPLUS

CN Carbamic acid, [(1S, 2R)-3-[[[4-(acetamido)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

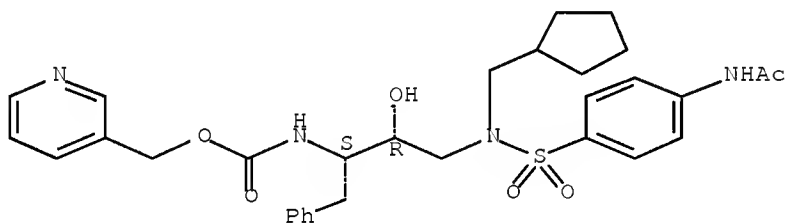


RN 160231-51-6 CAPLUS  
 CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

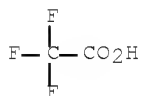
CRN 160231-50-5  
 CMF C31 H38 N4 O6 S

Absolute stereochemistry.



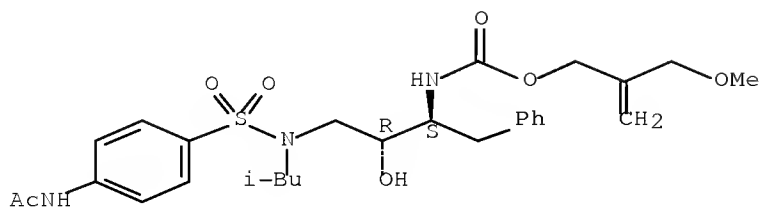
CM 2

CRN 76-05-1  
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RN 160231-58-3 CAPLUS  
 CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-(methoxymethyl)-2-propenyl ester (9CI) (CA INDEX NAME)

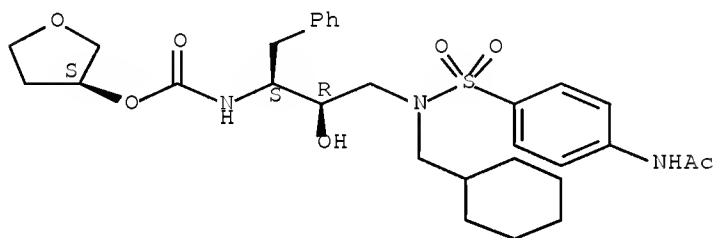
Absolute stereochemistry.



RN 160231-63-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl]-(cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

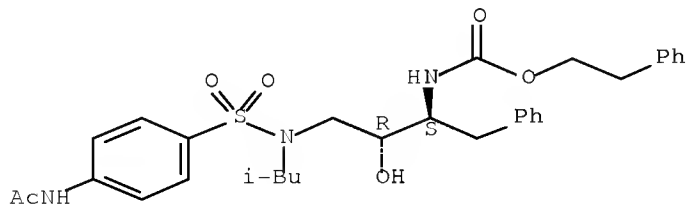
Absolute stereochemistry.



RN 160231-66-3 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

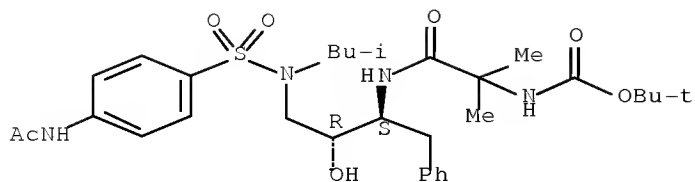
Absolute stereochemistry.



RN 160231-91-4 CAPLUS

CN Carbamic acid, [2-[[[(1S,2R)-3-[[[4-(acetamino)phenyl]sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

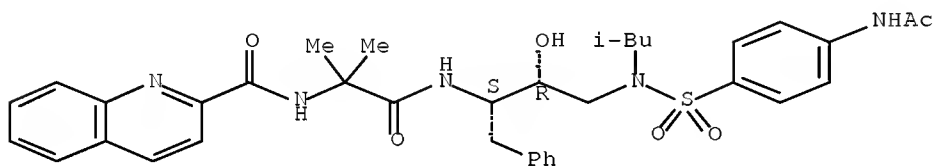
Absolute stereochemistry.



RN 160231-92-5 CAPLUS

CN 2-Quinolinecarboxamide, N-[2-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)

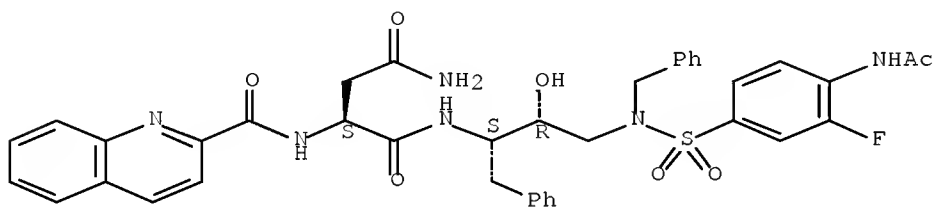
Absolute stereochemistry.



RN 160231-96-9 CAPLUS

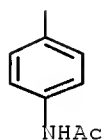
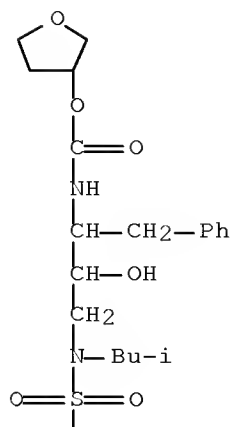
CN Butanediamide, N1-[[[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



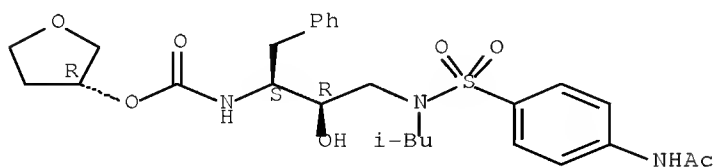
RN 160333-39-1 CAPLUS

CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)



RN 160333-41-5 CAPLUS  
 CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

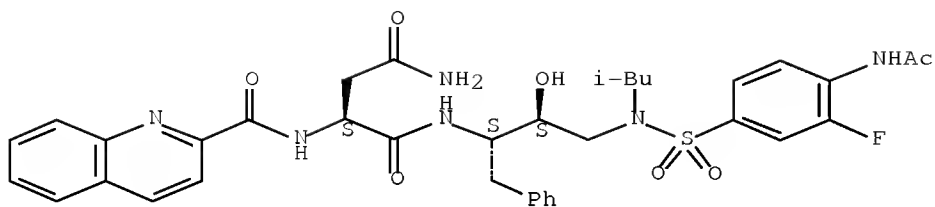
Absolute stereochemistry.



RN 160333-42-6 CAPLUS  
 CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

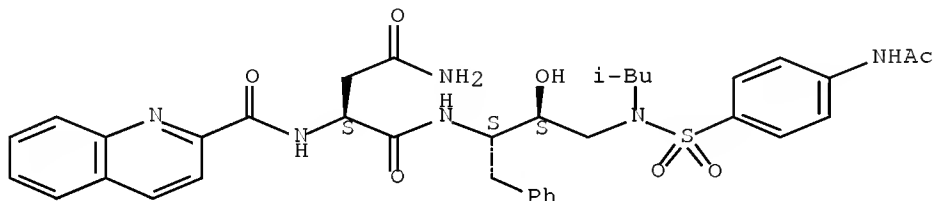




RN 160333-44-8 CAPLUS

CN Butanediarnide, N1-[(1S,2S)-3-[[[4-(acetylamino)phenyl]sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 39 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:3862 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 122:55727

ORIGINAL REFERENCE NO.: 122:10799a,10802a

TITLE: (Sulfonylalkanoylamino)(hydroxyethylamino)sulfonamides as HIV protease inhibitors

INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

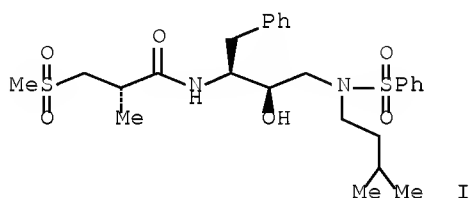
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2140928	A1	19940226	CA 1993-2140928	19930824
CA 2140928	C	20080129		

AU 9350820	A	19940315	AU 1993-50820	19930824
AU 669223	B2	19960530		
EP 656888	A1	19950614	EP 1993-920214	19930824
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JP 08500825	T	19960130	JP 1993-506532	19930824
AT 161828	T	19980115	AT 1993-920214	19930824
ES 2112430	T3	19980401	ES 1993-920214	19930824
RU 2146668	C1	20000320	RU 1995-106996	19930824
JP 4091654	B2	20080528	JP 1994-506532	19930824
FI 9500651	A	19950214	FI 1995-651	19950214
NO 9500550	A	19950214	NO 1995-550	19950214
PRIORITY APPLN. INFO.:			US 1992-935071	A2 19920825
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OTHER SOURCE(S):	MARPAT 122:55727			
GI				



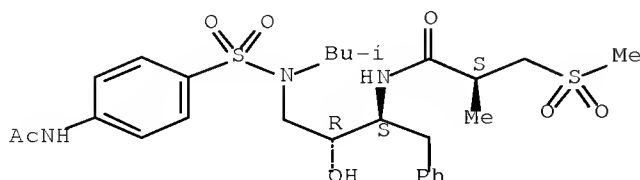
AB The title compds.  $RS(O)x(CH_2)tC(R_{21})(R_{20})CH(R_1)C(:Y)N(R_6)CH(R_2)C(OH)HCH_2N(R_3)S(O)xR_4$  [R = H, alkyl, alkenyl, alkynyl, heteroaryl, cycloalkyl, etc.; R<sub>1</sub>, R<sub>20</sub>, R<sub>21</sub> = H, CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, CH<sub>2</sub>CO<sub>2</sub>Me, CO<sub>2</sub>Me, CONH<sub>2</sub>, etc.; R<sub>2</sub> = (un)substituted alkyl, aryl, cycloalkyl, arylkyl, etc.; R<sub>3</sub> = H, alkyl, haloalkyl, alkenyl, alkynyl, etc.; R<sub>4</sub> = alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, cycloalkyl, etc.; R<sub>6</sub> = H, alkyl; Y = O, S, (un)substituted NH; t = 0, 1; x = 0-2], useful as HIV protease inhibitors for the treatment of AIDS, are prepared. Thus, sulfonamide I was prepared and demonstrated IC<sub>50</sub> against HIV protease of 3 nM.

IT 157566-84-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (HIV protease inhibitor)

RN 157566-84-2 CAPLUS

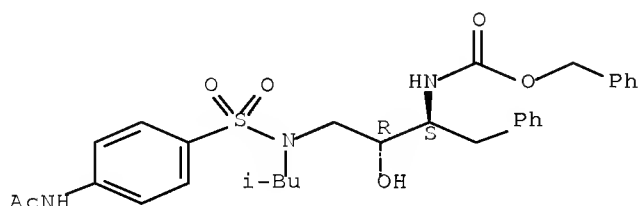
CN Propanamide, N-[3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R\*(R\*),2S\*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



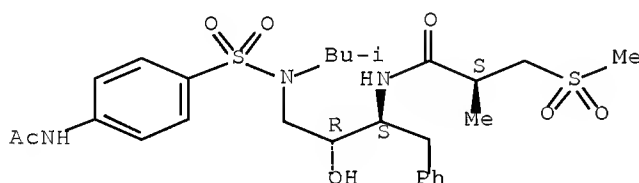
IT 157567-04-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reaction of, in preparation of HIV protease inhibitors)  
 RN 157567-04-9 CAPLUS  
 CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-  
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 (CA INDEX NAME)

Absolute stereochemistry.



IT 157566-84-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as HIV protease inhibitor)  
 RN 157566-84-2 CAPLUS  
 CN Propanamide, N-[3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-  
 2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-,  
 [1S-[1R\*(R\*),2S\*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 40 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1994:701324 CAPLUS Full-text  
 DOCUMENT NUMBER: 121:301324  
 ORIGINAL REFERENCE NO.: 121:55181a,55184a  
 TITLE: Preparation of hydroxyethylamino sulfonamides useful  
 as retroviral protease inhibitors  
 INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John  
 J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos,  
 John N.  
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.  
 SOURCE: PCT Int. Appl., 198 pp.  
 CODEN: PIXXD2

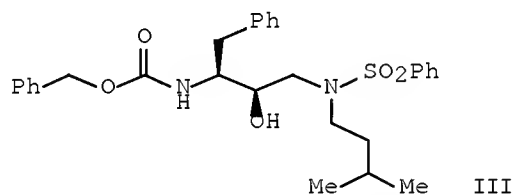
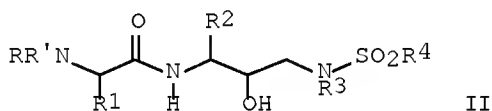
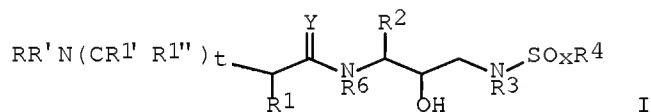
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 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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OTHER SOURCE(S): MARPAT 121:301324  
GI



AB Title compds. [I and II; R = H, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, heterocyclylcarbonyl, heteroaryloxyalkyl, hydroxyalkyl, aryl, alkyl, alkenyl, alkynyl, substituted aminocarbonyl, etc.; R' = H, R3, R''SO2; RR'N = heterocyclyl, heteroaryl; R1 = H, CH2SO2NH2, CH2CO2Me, CO2Me, CONH2, CMe2SH, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R1', R1'' = H, R1; 1 of R1', R1'' together with R1 form a cycloalkyl radical; R2 = (substituted) alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl, heteroaralkyl, (substituted) aminoalkyl, etc.; R4 = R3, except H; R6 = H, alkyl; x = 0-2; t = 0, 1; Y = O, S, imino], were prepared Thus, title compound (III, solution phase preparation given) inhibited HIV protease with IC50 = 16 nM.

IT 157567-04-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

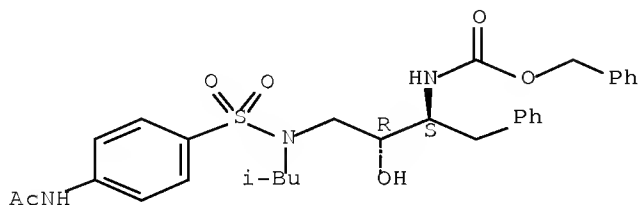
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as HIV protease inhibitor)

RN 157567-04-9 CAPLUS

CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester  
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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